

10/531,714

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FILE COVERS 1907 - 3 Jan 2008 VOL 148 ISS 1

FILE LAST UPDATED: 2 Jan 2008 (20080102/ED)

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L1 1 SEA FILE=REGISTRY 67-47-0/RN

L2 3085 SEA FILE=CAPLUS L1

L3 122 SEA FILE=CAPLUS L2 AND PHARMACEUTIC?

=> d l3 1-122 ibib abs hitstr

L3 ANSWER 1 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1348016 CAPLUS

TITLE: Preparation of azithromycin derivatives as antibacterials

INVENTOR(S): Shen, Shunyi; Wang, Zhangyue; Zhu, Chuanxian; Ge, Han
PATENT ASSIGNEE(S): Shanghai Institute of Pharmaceutical Industry, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 27pp.
CODEN: CNXXEV

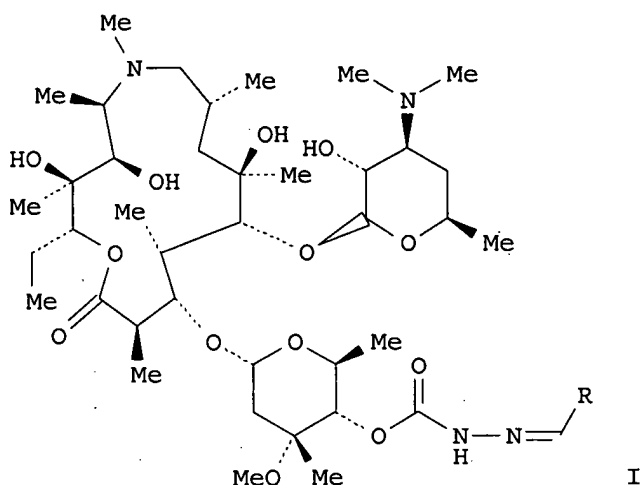
DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|------------------|----------|
| ----- | ---- | ----- | ----- | ----- |
| CN 101074250 | A | 20071121 | CN 2006-10026600 | 20060517 |
| PRIORITY APPLN. INFO.: | | | CN 2006-10026600 | 20060517 |
| GI | | | | |

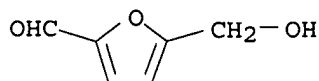


AB The invention relates to azithromycin derivative I (wherein R is R1 or AR1; A is C1-5 alkylene, C2-5 alkenylene, C2-5 alkynylene, C3-6 cycloalkylene, 3-6 membered sub-heterocyclic group or C6-10 arylidene containing 1-2 heteroatom from N, O and S; R1 is 5-15 membered aromatic ring containing 0-3 heteroatoms selected from N, O and S, wherein aromatic ring can be randomly substituted by substitution group). The invention also relates to pharmaceutical salt of the above azithromycin derivs. The invention further relates to application of azithromycin derivs. in preparing medicaments for preventing or treating bacterial infectious diseases.

IT 67-47-0, 5-Hydroxymethylfurfural
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of azithromycin derivs. as antibacterials)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 2 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1140948 CAPLUS

DOCUMENT NUMBER: 147:420129

TITLE: Use of α -ketoglutaric acid and 5-hydroxymethylfurfural for reducing oxidative stress

INVENTOR(S): Moser, Peter Michael; Greilberger, Joachim; Maier, Alfred; Juan, Heinz; Buecherl-Harrer, Christian; Kager, Ernst

PATENT ASSIGNEE(S): C.Y.L. Pharmazeutika GmbH, Austria

SOURCE: Eur. Pat. Appl., 7pp.
 CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

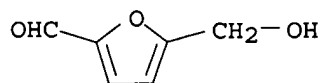
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| EP 1842536 | A1 | 20071010 | EP 2007-104493 | 20070320 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | |

IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
AL, BA, HR, MK, YU

AT 503385 A1 20071015 AT 2006-464 20060320
PRIORITY APPLN. INFO.: AT 2006-464 A 20060320
AB The invention discloses the use of α -ketoglutaric acid and
5-hydroxymethylfurfural for the preparation of a medicament for the treatment
and prevention of oxidative stress in humans and animals, particularly for
the reduction of reactive oxygen and nitrogen species and simultaneously
increasing antioxidant capacity. The compds. of the invention can be used
for the improvement of general conditions and improving performance.
IT 67-47-0, 5-Hydroxymethylfurfural
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(α -ketoglutaric acid and 5-hydroxymethylfurfural for reducing
oxidative stress)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

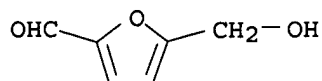
L3 ANSWER 3 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:796075 CAPLUS
DOCUMENT NUMBER: 147:243236
TITLE: Application of 5-hydroxyfurfural in preparing
antidiabetic pharmaceuticals
INVENTOR(S): Zhang, Li; Chen, Ruoyun; Du, Guanhua; Luo, Yuehua;
Tang, Yanbo; Hu, Juanjuan
PATENT ASSIGNEE(S): Institute of Materia Medica, Chinese Academy of
Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 10pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------|------|----------|------------------|----------|
| CN 100998587 | A | 20070718 | CN 2006-10000817 | 20060111 |

PRIORITY APPLN. INFO.: CN 2006-10000817 20060111

AB The invention relates to application of 5-hydroxyfurfural in preparing
pharmaceuticals for lowering blood sugar, preventing and/or
treating diabetes mellitus and its complications. Specifically,
5-hydroxyfurfural can be prepared into tablet, capsule, pill, injection,
controlled-release preparation, slow-release preparation and microparticle in
the presence of pharmaceutical carriers.

IT 67-47-0
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(application of 5-hydroxyfurfural in preparing antidiabetic
pharmaceuticals)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 4 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:762934 CAPLUS

DOCUMENT NUMBER: 147:173158

TITLE: Investigation on influencing factors of 5-HMF content in Schisandra

AUTHOR(S): Xu, Qing; Li, Ying-hua; Lu, Xiu-yang

CORPORATE SOURCE: Institute of Pharmaceutical Engineering, Zhejiang University, Hangzhou, 310027, Peop. Rep. China

SOURCE: Journal of Zhejiang University, Science, B (2007), 8(6), 439-445

CODEN: JZUSAM; ISSN: 1673-1581

PUBLISHER: Zhejiang University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In order to investigate the influencing factors of 5-hydroxymethyl-2-furaldehyde (5-HMF) content in Schisandra, confirm the theory of 5-HMF deriving mainly from Schisandra processing course, and give some suggestions about the Schisandra processing method, the 5-HMF contents in decoctions of Schisandra under different heating temperature, decocting time, soaking time, processing methods and treatment with different solvents before decocting the Schisandra were measured by RP-HPLC method. The results showed that there is great difference of 5-HMF level in decoctions from differently processed Schisandra and unprocessed Schisandra; decocting time of 60 min has some effects on 5-HMF level in decoctions and there is certain quantity 5-HMF in processed Schisandra itself and very little 5-HMF in unprocessed Schisandra. Heating time, heating temperature and treating solvents all have effect on 5-HMF level in decoction of Schisandra. 5-HMF in Schisandra was mainly from processing course. Both long heating time and high heating temperature can increase 5-HMF level in Schisandra. The production of 5-HMF in Schisandra may have some relationships with some polar components, which can dissolve in water, ethanol and acetone, especially in ethanol. To control processing temperature, processing time

and treatment with some solvent is very important for controlling 5-HMF level in Schisandra.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: NPO (Natural product occurrence); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

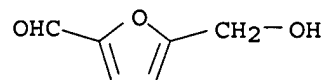
(5-hydroxymethyl-2-furaldehyde content was increased with processing, soaking, decocting time, temperature and influenced with treating solvent

and

Schisandra chinensis (Trucz.) Baill. or Schisandra sphenanthera Rehd. et Wils. in Schisandra)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:758613 CAPLUS

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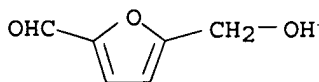
DOCUMENT NUMBER: 147:197593
TITLE: Using tolerance intervals in pre-study validation of analytical methods to predict in-study results
AUTHOR(S): Rozet, Eric; Hubert, Cedric; Ceccato, Attilio; Dewe, Walther; Ziemons, Eric; Moonen, Francois; Michail, Karim; Wintersteiger, Reinhold; Streel, Bruno; Boulanger, Bruno; Hubert, Philippe
CORPORATE SOURCE: Laboratory of Analytical Chemistry, Bioanalytical Chemistry Research Unit, Institute of Pharmacy, CHU, University of Liege, Liege, B-4000, Belg.
SOURCE: Journal of Chromatography, A (2007), 1158(1-2), 126-137
CODEN: JCRAEY; ISSN: 0021-9673
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB It is recognized that the purpose of validation of anal. methods is to demonstrate that the method is suited for its intended purpose. Validation is not only required by regulatory authorities, but is also a decisive phase before the routine use of the method. For a quant. anal. method the objective is to quantify the target analytes with a known and suitable accuracy. For that purpose, first, a decision about the validity of the method based on prediction is proposed: a method is declared proper for routine application if it is considered that most of the future results generated will be accurate enough. This can be achieved by the "β-expectation tolerance interval" (accuracy profile) as the decision tool to assess the validity of the anal. method. Moreover, the concept of "fit-for-purpose" is also proposed here to select the most relevant response function as calibration curve, i.e. choosing a response function based solely on the predicted results this model will allow to obtain. This paper reports 4 case studies where the results obtained with quality control samples in routine were compared to predictions made in the validation phase. Predictions made using the "β-expectation tolerance interval" are shown to be accurate and trustful for decision making. It is therefore suggested that an adequate way to conciliate both the objectives of the anal. method in routine anal. and those of the validation step consists in taking the decision about the validity of the anal. method based on prediction of the future results using the most appropriate response function curve, i.e. the fit-for-future-purpose concept.

IT 67-47-0, Hydroxymethylfurfural
RL: ANT (Analyte); ANST (Analytical study)
(using tolerance intervals in pre-study validation of pharmaceutical anal. methods to predict in-study results)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:696260 CAPLUS

DOCUMENT NUMBER: 147:174005

TITLE: Determination of 5-hydroxymethylfurfural in potassium magnesium aspartate and glucose injection by high-performance liquid chromatography

AUTHOR(S): Zhou, Hui; Wang, Dongkai; Xing, Junjia

10/531,714

CORPORATE SOURCE: Department of Pharmacy, First Affiliated Hospital,
China Medical University, Shenyang, 110001, Peop. Rep.
China

SOURCE: Zhongguo Yike Daxue Xuebao (2006), 35(1), 82-83, 86
CODEN: ZYDXEN; ISSN: 0258-4646

PUBLISHER: Zhongguo Yike Daxue

DOCUMENT TYPE: Journal

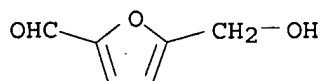
LANGUAGE: Chinese

AB This paper aims to determine the level of 5-Hydroxymethylfurfural in potassium
magnesium aspartate and glucose injection by high-performance liquid
chromatog. (HPLC) and select the chromatog. conditions. C18(4.6 mm*200 mm)
column using methanol-0.2% phosphoric acid(25:75) as mobile phase was
used. The column temperature was 45°. The wave length for detection was
284 nm. The linear range of calibration curves of 5-HMF was 1 to 25
µg/mL. The average recovery was 97.89%, and the relative standard deviation
was 0.52%. The method is simple and accurate with good stability and
liner relationship.

IT 67-47-0, 5-Hydroxymethylfurfural
RL: ANT (Analyte); ANST (Analytical study)
(determination of 5-hydroxymethylfurfural in potassium magnesium aspartate
and
glucose injection by high-performance liquid chromatog.)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 7 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:651272 CAPLUS

TITLE: A GC-MS analysis on Lilium lancifolium and the
lipophilic components in its water extract

AUTHOR(S): Zhang, Zhijie; Cai, Baochang; Wu, Luling; Li, Lin

CORPORATE SOURCE: College of Pharmacy, Nanjing University of Traditional
Chinese Medicine, Nanjing, Jiangsu Province, 210029,
Peop. Rep. China

SOURCE: Nanjing Zhongyiyao Daxue Xuebao (2006), 22(2), 91-93
CODEN: NZDXAU

PUBLISHER: Nanjing Zhongyiyao Daxue Xuebao Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

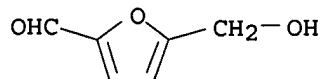
AB The lipophilic components in scale leaves of Lilium lancifolium and its
extract by chloroform and water were analyzed. The contents, structure and
percentage content of the extract of Lilium lancifolium were assayed by gas
chromatog. in combination with mass spectrometry detector (GC-MS) method,
mass spectrum bar graph anal. and NIST98 spectrum retrieval and peak area
normalization method, resp. Thirty-five kinds of lipophilic components
were identified from the chloroform extract of scale leaves of Lilium
lancifolium, which accounted for 69.8%, and fifteen kinds of compds. were
identified from the water extract. Part of the low-polarity components in
Lilium lancifolium had certain dissoln. rates. The experiment had provided the
refs. for further study of a pharmacodynamic basis for Lilium lancifolium.

IT INDEXING IN PROGRESS

IT 67-47-0
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(a GC-MS anal. on Lilium lancifolium and the lipophilic components in
its water extract)

RN 67-47-0 CAPLUS

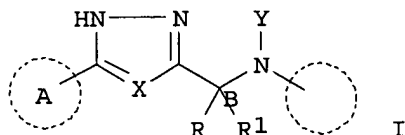
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 8 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:505118 CAPLUS
 DOCUMENT NUMBER: 146:482074
 TITLE: Preparation of azole heterocyclic compounds as G protein-coupled receptor kinase (GRK) inhibitors
 INVENTOR(S): Kawamoto, Tetsuji; Okawa, Tomohiro; Hosono, Hiroshi; Ogino, Masaki
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 175pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|-----------------|------------|
| JP 2007112789 | A | 20070510 | JP 2006-249474 | 20060914 |
| PRIORITY APPLN. INFO.: | | | JP 2005-276722 | A 20050922 |
| OTHER SOURCE(S): | MARPAT 146:482074 | | | |

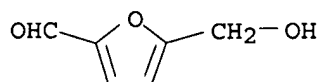
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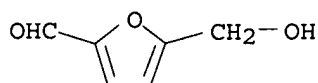
AB The title compds. [I; R = each (un)substituted amino-lower alkyl, N-containing heterocyclyl-lower alkyl, or N-containing heterocyclyl; R1 = H, lower alkyl, each (un)substituted amino-lower alkyl, N-containing heterocyclyl-lower alkyl, or N-containing heterocyclyl; or R and R1 are bonded to each other to form a N-containing heterocyclic ring; ring A = (un)substituted N-containing heterocyclic ring; ring B = (un)substituted aromatic ring; X = N, C-R2; R2 = H, halo, each (un)substituted hydrocarbyl, heterocyclyl, NH2, HO, or CONH2, NO2, cyano, optionally esterified CO2H, acyl; Y = H, each (un)substituted hydrocarbyl, heterocyclyl, or CONH2, optionally esterified CO2H, acyl] or salts thereof are prepared. These compds. are useful as preventive and therapeutic agents of circulatory diseases such as heart failure, hypertension, and arteriosclerosis, etc., based on the potent GRK inhibitory action. Thus, (2S)-2-phenylamino-4-[(tert-butoxycarbonyl)amino]butanoic acid hydrazide underwent cycloaddn. reaction with 4-cyanopyridine NaOEt in ethanol at 95° for 15 h to give 3-[(tert-Butoxycarbonyl)amino]-1-phenylamino-1-[3-(4-pyridyl)-1H-1,2,4-triazol-5-yl]propane which was stirred in concentrated HCl at room temperature for 30 min to give 3-amino-1-phenylamino-1-[3-(4-pyridyl)-1H-1,2,4-triazol-5-yl]propane trihydrochloride (II). II in vitro inhibited the GRK2-dependent phosphorylation of bovine tubulin with IC50 of ≤250 μM. II and 2-amino-1-(3-chlorophenyl)amino-1-[3-(4-pyridyl)-1H-1,2,4-triazol-5-yl]ethane trihydrochloride promoted the accumulation of cAMP in HEK293 cells overexpressing human β2 receptor with EC50 of 3.0 and 0.58 μM, resp. Pharmaceutical

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formulations, e.g. a capsule containing II, were prepared
IT 67-47-0, 5-(Hydroxymethyl)-2-furaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azole heterocyclic compds. as G protein-coupled receptor
kinase (GRK) inhibitors for prevention or treatment of circulatory
diseases)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 9 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:480109 CAPLUS
DOCUMENT NUMBER: 147:101642
TITLE: Study on production process of famotidine and glucose
injection
AUTHOR(S): Yin, Shuang; Tang, Yu
CORPORATE SOURCE: Sanjing Pharmaceutical Co., Ltd., Harbin
Pharmaceutical Group, Harbin, 150000, Peop. Rep. China
SOURCE: Heilongjiang Yiyao (2007), 20(2), 135-136
CODEN: HYEIDM; ISSN: 1006-2882
PUBLISHER: Heilongjiang Sheng Yiyao Qingbao Zhongxinzhuan
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB The paper was to obtain optimal prescription and production process of
famotidine and glucose Injection. The properties, color, and pH of the
famotidine glucose injection and the degradation of main and subsidiary drugs
were studied to optimize the prescription and production process of the
famotidine glucose injection. Results showed that the optimum
prescription and production process of the famotidine glucose injection were
obtained. In conclusion, the prescription of the famotidine glucose
injection was reasonable, and the production process was feasible.
IT 67-47-0, 5-Hydroxymethyl-2-furfural
RL: OCU (Occurrence, unclassified); OCCU (Occurrence)
(study on production process of famotidine and glucose injection)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 10 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:463191 CAPLUS
DOCUMENT NUMBER: 146:462289
TITLE: Preparation of thio-triazolyl derivatives for treating
diseases mediated directly or indirectly by Kv1.5 ion
channel antagonists
INVENTOR(S): Fichman, Merav; Chen, Dongli; Penland, Robert
Christian; Reddy, A. Sekar; Mohanty, Pradyumna;
Melendez, Rosa; Marantz, Yael; Schutz, Nili;
Ramakrishna, Prasad; Shacham, Sharon; Saha, Ashis;
Noiman, Silvia; Becker, Oren M.
PATENT ASSIGNEE(S): Epix Delaware, Inc., USA
SOURCE: PCT Int. Appl., 78pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2007047394 | A2 | 20070426 | WO 2006-US39945 | 20061012 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.:

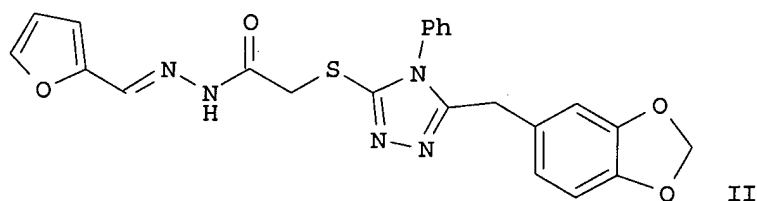
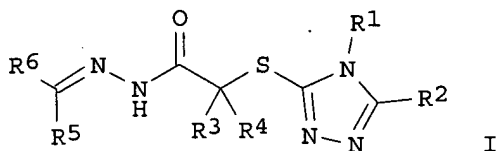
US 2005-726436P

P 20051013

OTHER SOURCE(S):

MARPAT 146:462289

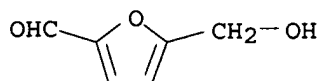
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AB The invention relates to KvI.5 ion channel antagonists. Novel thio-triazolyl derivs. I, wherein R1 is substituted alkyl; alicyclic, heteroalicyclic, aryl, heteroaryl; R2 is alicyclic, heteroalicyclic, aryl, heteroaryl, fused aryl, alicyclic or heterocyclic ring optionally substituted with one or more of a halogen, alkyl, alkoxy, cyano, hydroxyalkyl; amino, lower alkylamino, lower dialkylamino; sulfonamide, hydroxy group; R2 is optionally attached via alkyl linker in place of a direct bond; R3, R4 and R6 are independently H, alkyl; R5 is alicyclic, heteroalicyclic, aryl, heteroaryl, wherein the alicyclic, heteroalicyclic, aryl or heteroaryl groups independently are optionally substituted with one or more halogen, alkyl, alkoxy, cyano, aryl alkoxy, amino, hydroxy, sulfonamide; and R5 is optionally attached via alkyl linker in place of a direct bond, and synthesis and uses thereof for treating diseases mediated directly or indirectly by KvI.5 ion channels, are disclosed. Such conditions include numerous heart conditions including atrial fibrillation, arrhythmia, myocardial ischemia, and ventricular fibrillationand, as well as epilepsy, anxiety, depression, age-related

memory loss, migraine, obesity, Parkinson's disease or Alzheimer's disease. Methods of preparation and novel intermediates and pharmaceutical salts thereof are also provided. Thus, thio-triazolyl derivative II was prepared and may be used for treating diseases mediated directly or indirectly by Kv1.5 ion channel antagonist (no biol. data). The compds. formulated for parenteral administration, such as i.v. or i.m. injection, other pharmaceutically acceptable forms include, e.g., tablets or other solids for oral administration; liposomal formulations; time-release capsules; and any other form currently used, including cremes.

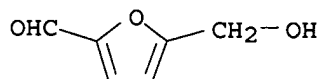
IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of thio-triazolyl derivs. for treating diseases mediated directly or indirectly by Kv1.5 ion channel antagonists)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 11 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:442125 CAPLUS
 DOCUMENT NUMBER: 146:468984
 TITLE: Determination of metronidazole content and 5-hydroxymethyl limes in the metronidazole glucose solution by absorption linear combination
 AUTHOR(S): Xu, Fei; Tian, Kaizhen; Zhu, Liqiong
 CORPORATE SOURCE: People Hospital of Zhangjiajie City, Zhangjiajie, Hunan Province, 427000, Peop. Rep. China
 SOURCE: Zhongguo Yiyuan Yaoxue Zazhi (2005), 25(10), 991-993
 CODEN: ZYYAEP; ISSN: 1001-5213
 PUBLISHER: Zhongguo Yiyuan Yaoxue Zazhi Bianjibu
 DOCUMENT TYPE: Journal
 LANGUAGE: Chinese

AB The metronidazole content and 5-hydroxymethyl limes in the metronidazole glucose solution were determined by absorption linear combination using 0.1 mol·L⁻¹ HCl as solvent at the detection wavelength of 277, 280 and 284 nm, resp. The results showed that the average recovery of metronidazole was 99.71% (RSD=0.56%), and the average recovery of 5-HMF was 101.96% (RSD=2.08%). This method was accurate, precise and reliable, compared with the Chinese pharmacopoeia method.

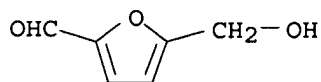
IT 67-47-0
 RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
 (determination of metronidazole and 5-hydroxymethyl 2-furancarboxaldehyde in metronidazole glucose injection by UV spectrophotometry with absorption linear combination)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 12 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:422849 CAPLUS

10/531,714

DOCUMENT NUMBER: 146:507869
TITLE: On-line purity monitoring in high-speed counter-current chromatography: Application of HSCCC-HPLC-DAD for the preparation of 5-HMF, neomangiferin and mangiferin from *Anemarrhena asphodeloides* Bunge
AUTHOR(S): Zhou, Tingting; Zhu, Zhenyu; Wang, Chen; Fan, Guorong; Peng, Jinyong; Chai, Yifeng; Wu, Yutian
CORPORATE SOURCE: Shanghai Key Laboratory for Pharmaceutical Metabolite Research, School of Pharmacy, Second Military Medical University, Shanghai, 200433, Peop. Rep. China
SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2007), 44(1), 96-100
CODEN: JPBADA; ISSN: 0731-7085
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An efficient online purity monitoring strategy based on online coupling of high-speed counter-current chromatog. (HSCCC) with high-performance liquid chromatog.-diode array detection (HPLC-DAD) was successfully applied for the first time to the isolation and purification of 5-hydroxymethyl-2-furancarboxaldehyde (5-HMF), mangiferin and neomangiferin from the Chinese medicinal plant *Anemarrhena asphodeloides* Bunge, a plant used in the traditional Chinese medicine. The introduction of online purity monitoring in HSCCC has greatly improved the efficiency of this technique by overcoming the drawbacks of post-purification sample handling in HSCCC isolation. The effluent from the outlet of HSCCC was splitted into two parts, and one was collected, while the other was introduced directly through a switch valve into a HPLC-DAD system for purity monitoring. Using this method the desired fractions with high purities could be collected. From 600 mg partially purified extract, 165.6 mg neomangiferin and 292.8 mg mangiferin with purities of 98.9 and 99.5%, resp., were obtained with a two-phase solvent system composed of n-butanol-water (1:1, volume/volume) by increasing the flow-rate of the mobile phase stepwise from 1.0 to 2.2 mL min⁻¹ after 210 min. A 17.1 mg 5-HMF with purity of 96.6% was also isolated for the first time.
IT 67-47-0P, 5-Hydroxymethyl-2-furancarboxaldehyde
RL: ANT (Analyte); NPO (Natural product occurrence); PUR (Purification or recovery); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses) (online purity monitoring in high-speed counter-current chromatog. for preparation of 5-HMF, neomangiferin and mangiferin from *Anemarrhena asphodeloides* Bunge)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:417805 CAPLUS
DOCUMENT NUMBER: 147:113798
TITLE: The detection of bioactive components of the powder of bee-pollen - ethanol fraction
AUTHOR(S): Yamaguchi, Isao
CORPORATE SOURCE: Japan
SOURCE: Kenkyu Kiyo - Tokyo Kasei Daigaku, 2: Shizen Kagaku

(2007), 47, 29-34
 CODEN: KSKSFZ; ISSN: 0385-1214

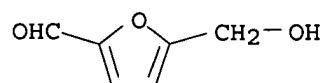
PUBLISHER: Tokyo Kasei Daigaku
 DOCUMENT TYPE: Journal
 LANGUAGE: Japanese

AB About 21.8g of the dark brown-colored ethanol extract was dissolved in 30 mL of ethanol, and 1 µl of the solution was analyzed with the GC-MS equipment. The result showed in tables that 8 kinds of alkanes, 7 kinds of alkenes, 6 kinds of fatty acids. 13 Kinds of esters of fatty acids, 16 kinds of steroids, 3 kinds of ketones, 2 kinds of alcs., 4 kinds of sugar, 5 kinds of aromatic compds., and 8 kinds of miscellaneous compds. were detected.

IT 67-47-0, 5-Hydroxymethylfurfural
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (detection of bioactive components of powder of bee-pollen ethanol fraction)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 14 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:302765 CAPLUS

DOCUMENT NUMBER: 146:513697

TITLE: Isolation and identification of potential cancer chemopreventive agents from methanolic extracts of green onion (Allium cepa)

AUTHOR(S): Xiao, Hang; Parkin, Kirk L.

CORPORATE SOURCE: Department of Food Science, University of Wisconsin-Madison, Madison, WI, 53706, USA

SOURCE: Phytochemistry (Elsevier) (2007), 68(7), 1059-1067
 CODEN: PYTCAS; ISSN: 0031-9422

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Phase II xenobiotic metabolizing enzymes confer amelioration of risk arising from potentially carcinogenic chems. derived both endogenously, and exogenously, from food and the environment. In this study, efforts were made to isolate and identify potentially cancer preventive constituents from methanolic exts. of green onion (Allium cepa) directed by the quinone reductase (QR) induction bioassay using murine hepatoma (Hepa 1c1c7) cells. Crude methanolic exts. of green onion tissue were solvent-partitioned, and subsequently fractionated by flash chromatog., thin layer chromatog. and high pressure preparative liquid chromatog. to afford pure QR-inducing isolates. Multiple isolates were found active at inducing QR. One newly identified compound, 5-hydroxy-3-methyl-4-propylsulfanyl-5H-furan-2-one (3), and four known compds.: 5-(hydroxymethyl) furfural (1), acetovanillone (2), Me 4-hydroxyl cinnamate (4) and ferulic acid Me ester (5), were isolated and identified as active agents.

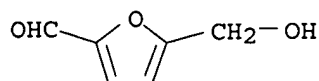
IT 67-47-0P, 5-(Hydroxymethyl) furfural

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(isolation and identification of potential cancer chemopreventive agents from methanolic exts. of green onion)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:234364 CAPLUS

DOCUMENT NUMBER: 146:448686

TITLE: Chemistry study of *Stellaria dichotoma*

AUTHOR(S): Sun, Bohang; Yoshikawa, Masayuki; Chen, Yingjie; Wu, Lijun

CORPORATE SOURCE: School of Traditional Chinese Meteria Medica, Shenyang Pharmaceutical University, Shenyang, 110016, Peop. Rep. China

SOURCE: Shenyang Yaoke Daxue Xuebao (2006), 23(2), 84-87
CODEN: SYDXFF; ISSN: 1006-2858

PUBLISHER: Shenyang Yaoke Daxue Xuebao Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB The chemical constituents of *Stellaria dichotoma* were determined The extract was

extracted with CHCl₃, n-BuOH and H₂O, then isolated with normal and reflect phase silica gel and HPL C. Ten compds. were identified as 5-(hydroxymethyl)-2-furfural(I), 5-pyrrole-2-2carboxaldehyde(II), vanillin(III), vanillic acid (IV), 1-(4-hydroxy-3-methoxyphenyl) ethanone(V), 1-hydroxy-1-(3'-methoxy- 4'5'-methylenedioxy) phenylpropane(VI), dihydroferulic acid(VII), 3, 4- dimethoxy-hydrocinnamic acid(VIII), stigmast-7-en-3-ol-palmitate(IX), and pinocembrin(X). The compds. I, III-VIII were isolated from *Stellaria* plants for the first time.

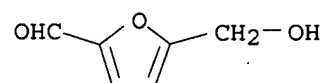
IT 67-47-0, 5-(Hydroxymethyl)-2-furfural

RL: ANT (Analyte); NPO (Natural product occurrence); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(chemical components separation and determination of *Stellaria dichotoma*)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 16 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:216252 CAPLUS

DOCUMENT NUMBER: 146:281299

TITLE: Examination of glucose degradation substance in gatifloxacin glucose injection

AUTHOR(S): Wang, Yajing

CORPORATE SOURCE: Pharmaceutical Center, Tianjin Institute of Pharmaceutical Research, Tianjin, 300193, Peop. Rep. China

SOURCE: Huaxi Yaoxue Zazhi (2006), 21(2), 204-205
CODEN: HYZAE2; ISSN: 1006-0103

PUBLISHER: Huaxi Yike Daxue Yaoxueyuan

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB The paper studied examination method of suitable glucose degradation substance in

gatifloxacin glucose injection. According to the different phys. character between remedy and glucose degradation substance, a suitable ion-exchange resin was chosen in order to eliminate remedy selectively. It was proved that the examination method of glucose degradation substance was successful in quality control of gatifloxacin glucose injection. The method is accurate, applicable, and it is suitable for analogs examination of glucose degradation substance. It is better than controlling 5-hydroxymethylfurfural only.

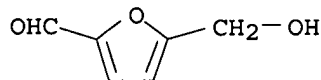
IT 67-47-0, 5-Hydroxymethylfurfural

RL: ANT (Analyte); FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process); USES (Uses)

(examination of glucose degradation substance in gatifloxacin glucose injection)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 17 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:186692 CAPLUS

DOCUMENT NUMBER: 146:398334

TITLE: Antioxidant constituents and a new triterpenoid glycoside from Flos Lonicerae

AUTHOR(S): Choi, Chun-Whan; Jung, Hyun Ah; Kang, Sam Sik; Choi, Jae Sue

CORPORATE SOURCE: Faculty of Food Science and Biotechnology, Pukyong National University, Pusan, 608-737, S. Korea

SOURCE: Archives of Pharmacal Research (2007), 30(1), 1-7
CODEN: APHRDQ; ISSN: 0253-6269

PUBLISHER: Pharmaceutical Society of Korea

DOCUMENT TYPE: Journal

LANGUAGE: English

AB As a component of the continuing investigations into herb-derived antioxidant agents, the antioxidant effects of Flos Lonicerae (*Lonicera japonica* flowers) was evaluated, via 1,1-diphenyl-2-picrylhydrazyl (DPPH) radical, total reactive oxygen species (ROS), hydroxyl radical ($\cdot\text{OH}$), and peroxyxynitrite ($\text{ONOO}\cdot$) assays. Among the methanolic extract and the dichloromethane, Et acetate, n-butanol, and water fractions, the EtOAc fraction of Flos Lonicerae exhibited marked scavenging/inhibitory activities, as follows: IC₅₀ values of 4.37, 27.58 ± 0.71 , 0.47 ± 0.05 , and 12.13 ± 0.79 $\mu\text{g/mL}$ in the DPPH, total ROS, $\text{ONOO}\cdot$, and $\cdot\text{OH}$ assays, resp. Via a bioactivity-guided fractionation approach, a new triterpenoid glycoside, oleanolic acid 28-O- α -L-rhamnopyranosyl-(1 \rightarrow 2)-[β -D-xylopyranosyl(1 \rightarrow 6)]- β -D-glucopyranosyl ester (12), along with 11 known compds., including chrysoeriol (1), luteolin (2), 5-hydroxymethyl-2-furfural (3), caffeic acid (4), protocatechuic acid (5), chrysoeriol 7-O- β -D-glucopyranoside (6), isorhamnetin 3-O- β -D-glucopyranoside (7), kaempferol 3-O- β -D-glucopyranoside (8), quercetin 3-O- β -D-glucopyranoside (9), hederagenin 3-O- α -L-arabinopyranoside (10), and luteolin 7-O- β -D-glucopyranoside (11), were isolated from the EtOAc fraction. The structures of isolated compds. 1-12 were elucidated via spectroscopic analyses. Compound 12 was isolated

10/531,714

from a natural source for the 1st time. Compds. 2, 4, 5, 7, 9, and 11 evidenced marked scavenging activities, with IC50 values of 2.08-11.76 µM for DPPH radicals, and 1.47-6.98 µM for ONOO-.

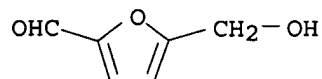
IT 67-47-0, 5-Hydroxymethyl-2-furfural

RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study)

(antioxidant constituents and a new triterpenoid glycoside from Flos Lonicerae)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:150669 CAPLUS

DOCUMENT NUMBER: 146:229612

TITLE: Preparation of macrocyclic carboxylic acids, amides, and acylsulfonamides as inhibitors of HCV replication
INVENTOR(S): Seiwert, Scott D.; Blatt, Lawrence M.; Andrews, Steven W.; Martin, Pierre; Schumacher, Andreas; Barnett, Bradley R.; Eary, Todd C.; Kaus, Robert; Kercher, Timothy; Liu, Weidong; Lyon, Michael; Nichols, Paul; Wang, Bin; Sammakia, Tarek; Kennedy, April; Jiang, Yutong

PATENT ASSIGNEE(S): Intermune, Inc., USA; Array Biopharma Inc.

SOURCE: PCT Int. Appl., 512pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2007015824 | A2 | 20070208 | WO 2006-US27738 | 20060717 |
| WO 2007015824 | A3 | 20070719 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |
| US 2007054842 | A1 | 20070308 | US 2006-491126 | 20060721 |
| PRIORITY APPLN. INFO.: | | | US 2005-702195P | P 20050725 |
| | | | US 2005-725533P | P 20051011 |
| | | | US 2006-789800P | P 20060406 |

OTHER SOURCE(S): CASREACT 146:229612; MARPAT 146:229612

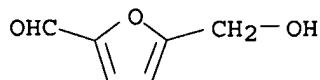
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to macrocyclic compds. I and analogs [R1 = H, OC(:O)R1; R1 = (un)substituted N-heteroaryl; R2 = OH, NHR5; R5 = Ph, alkyl, CN, cyclopropylcarbonyl, etc.; R3 = H, CH2R6, CSNH2, (un)substituted thiazol-2-yl, etc.; R6 = CF3, t-Bu, (un)substituted Ph, cyclopropyl, furanyl, etc.; R4 = H, cyclopropylmethyl; the dashed line represents an optional double bond], and their pharmaceutically acceptable salts, prodrugs, and esters for use in pharmaceutical compns. for the treatment of hepatitis C virus (HCV) infection and liver fibrosis. Thus, compound II, prepared by reaction of the macrocyclic prolinol derivative with CDI in the presence of DCE and treatment with 1-methylcyclopropane-1-sulfonamide in the presence of DBU, showed IC50 < 0.1 µM in the NS3-NS4 protease inhibition assay.

IT 67-47-0, 5-(Hydroxymethyl)furan-2-carboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of macrocyclic carboxylic acids, amides, and acylsulfonamides as inhibitors of HCV replication)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



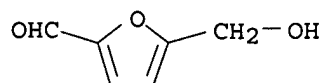
L3 ANSWER 19 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:65800 CAPLUS
 DOCUMENT NUMBER: 146:487127
 TITLE: A variety of volatile compounds as markers in unifloral honey from dalmatian sage (*Salvia officinalis* L.)
 AUTHOR(S): Jerkovic, Igor; Mastelic, Josip; Marijanovic, Zvonimir
 CORPORATE SOURCE: Department of Organic Chemistry, Faculty of Chemistry and Technology, University of Split, Split, 21 000, Croatia
 SOURCE: Chemistry & Biodiversity (2006), 3(12), 1307-1316
 CODEN: CBHIAM; ISSN: 1612-1872
 PUBLISHER: Verlag Helvetica Chimica Acta AG
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Volatile compds. of unifloral *Salvia officinalis* L. honey has been investigated for the first time. The botanical origin of ten unifloral *Salvia* honey samples has been ascertained by pollen anal. (the honey samples displayed 23-60% of *Salvia* pollen). Fifty-four volatile compds. were identified by GC and GC/MS in ten *Salvia* honey exts. obtained by ultrasound-assisted extraction with pentane/Et2O 1:2. The yield of isolated volatiles varied from 25.7 to 30.5 mg kg⁻¹. *Salvia* honey could be distinguished on the basis of the high percentage of benzoic acid (6.4-14.8%), and especially phenylacetic acid (5.7-18.4%). Minor, but floral-origin important volatiles were identified such as shikimate pathway derivs., 'degraded-carotenoid-like' structures (3,5,5-trimethylcyclohex-2-ene derivs.) and 2,6,6-trimethylcyclohex-2-ene derivs. Compds. from other metabolic pathways such as aliphatic acids and higher linear hydrocarbons, as well as heterocycles (pyrans, furans, and pyrroles), were also present. Most of the identified compds. do not constitute specific *Salvia* honey markers, due to their presence in honeys of other botanical origins; however, their ratio in different honeys could be useful to distinguish floral origin. *Salvia*-honey volatile markers

were: benzoic acid, phenylacetic acid, p-anisaldehyde, α -isophorone, 4-ketoisophorone, dehydrovomifoliol, 2,6,6-trimethyl-4-oxocyclohex-2-ene-1-carbaldehyde, 2,2,6-trimethylcyclohexane-1,4-dione, and coumaran.

IT 67-47-0, 5-(Hydroxymethyl)furan-2-carboxaldehyde
 RL: ANT (Analyte); FFD (Food or feed use); NPO (Natural product occurrence); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
 (volatile compds. as markers in unifloral honey from Dalmatian sage *Salvia officinalis* L.)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1229196 CAPLUS

DOCUMENT NUMBER: 146:7837

TITLE: Preparation of 3-cyanoquinolines as Tpl-2 kinase inhibitors for treating inflammatory diseases

INVENTOR(S): Green, Neal Jeffrey; Hu, Yonghan; Kaila, Neelu; Janz, Kristin Marie; Thomason, Jennifer R.; Li, Huan-Qiu; Hotchandani, Rajeev; Wu, Junjun; Gopalsamy, Ariamala; Tam, Steve Y.; Lin, Lih-Ling; Cuzzo, John William; Guler, Satenig Y.

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 240pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

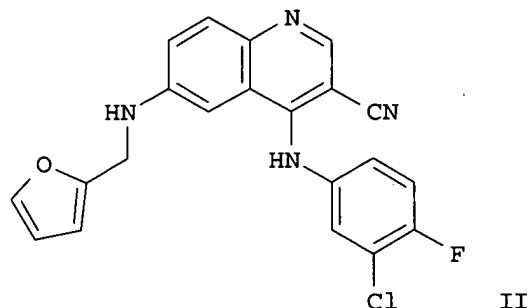
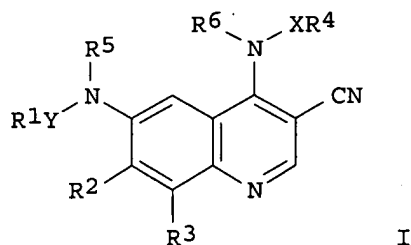
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2006124692 | A2 | 20061123 | WO 2006-US18582 | 20060512 |
| WO 2006124692 | A3 | 20070412 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| AU 2006247520 | A1 | 20061123 | AU 2006-247520 | 20060512 |
| US 2006264460 | A1 | 20061123 | US 2006-436485 | 20060518 |
| PRIORITY APPLN. INFO.: | | | US 2005-682331P | P 20050518 |
| | | | WO 2006-US18582 | W 20060512 |

OTHER SOURCE(S): MARPAT 146:7837

GI



AB The invention is related to the preparation of cyanoquinolines I [R1 = (un)substituted cycloalkyl, hetero/aryl, cycloheteroalkyl; R2 = H, halo, CN, NO2, (un)substituted alk(en/yn)yl, aryl, etc.; R3 = H, halo, (un)substituted halo/alkyl, alkoxy, etc.; R4 = (un)substituted cyclo/alkyl, hetero/aryl, 3-10 membered cycloheteroalkyl; R5, R6 = independently H, CHO and derivs., CO2H and derivs., (un)substituted hetero/aryl, alk(en/yn)yl, etc.; Y = (CR72)m; X = (CR82)n; R7, R8 = independently H, halo, OH and derivs., NH2 and derivs., etc.; or CR72, CR82 = independently C:O; m = 0-4; n = 0-1; with the exception of two specified compds.], their analogs, and their pharmaceutically acceptable salts as Tpl-2 kinase inhibitors. The invention is also related to methods of using title compds. I for treating inflammatory diseases, such as rheumatoid arthritis (no data). Thus, cyclization of 2-cyano-3-(4-nitrophenylamino)acrylic acid Et ester, aromatization of quinolone with POCl3, amination of the chloride with 3-chloro-4-fluoroaniline, reduction of the nitro compound, and reductive alkylation of the amine with 2-furaldehyde gave cyanoquinoline II. Cyanoquinoline II inhibited Tpl-2 kinase with an IC50 value of 0.24 μ M.

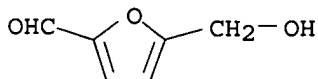
IT 67-47-0, 5-(Hydroxymethyl)furfural

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 3-cyanoquinolines as Tpl-2 kinase inhibitors for treating inflammatory diseases)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 21 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1173009 CAPLUS

DOCUMENT NUMBER: 146:13006

TITLE: Composition of traditional Chinese medicine for treating gynecopathy, methods for preparation and

quality control thereof
 INVENTOR(S): Yang, Wenlong
 PATENT ASSIGNEE(S): Peop. Rep. China
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 13pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|------------------|----------|
| CN 1857527 | A | 20061108 | CN 2006-10018541 | 20060309 |

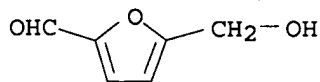
PRIORITY APPLN. INFO.: CN 2006-10018541 20060309

AB The title traditional Chinese medicine composition is composed of (by weight parts): Rheum (fried) 2-10, Eupolyphaga sinensis 1-8, Whitmania 1-8, Prunus 1-6, Typha 1-6, Scutellaria baicalensis 1-5, Citrus aurantium 1-6, Ostrea 2-10, Rehmannia glutinosa 2-10, Paeonia lactiflora 1-6 and Glycyrrhiza 1. The traditional Chinese medicine composition can be further manufactured into tablets, capsules, soft capsules or dripping pills, preferential tablets. The traditional Chinese medicine composition can be used to treat gynecopathy, and has the advantages of high content of effective components, low effective dosage, high bioavailability, high curative effects, controllable quality, advanced manufacturing process and good reproducibility.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
 RL: ARG (Analytical reagent use); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
 (composition of traditional Chinese medicine for treating gynecopathy, methods for preparation and quality control thereof)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 22 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1144700 .CAPLUS

DOCUMENT NUMBER: 145:511959

TITLE: Quality control method for Lujiao Buxue preparation

INVENTOR(S): Xu, Lei

PATENT ASSIGNEE(S): Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 15pp.
 CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

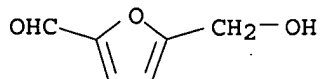
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|------------------|----------|
| CN 1850208 | A | 20061025 | CN 2006-10200163 | 20060222 |

PRIORITY APPLN. INFO.: CN 2006-10200163 20060222

AB The quality control method for Lujiao Buxue preparation comprises (a) qual. identification of Rhizoma Atractylodis Macrocephalae; (b) qual. identification of Radix Rehmannia Preparata; (c) qual. identification of Radix Codonopsis; (d) quant. identification of astragaloside A. The method comprises thin layer chromatog. and high performance liquid chromatog. The quality control method is used in granule, pill, tablet, capsule, syrup,

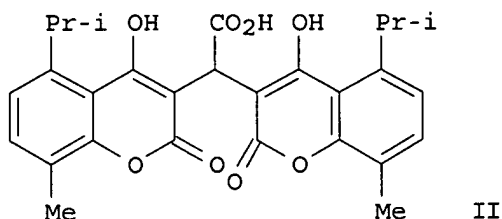
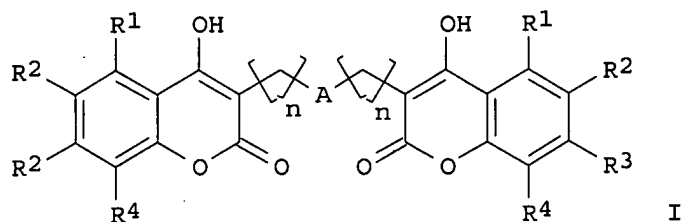
10/531,714

mistura, fluid extract and extract
IT 67-47-0, 5-Hydroxymethyl furfural
RL: ANT (Analyte); ANST (Analytical study)
(quality control method for Lujiao Buxue preparation)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

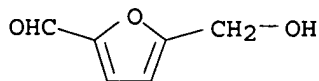


L3 ANSWER 23 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1120547 CAPLUS
DOCUMENT NUMBER: 145:454935
TITLE: Bis-(coumarin) compounds with antiinflammatory activity and their preparation, pharmaceutical compositions and use in the treatment of asthma and inflammatory diseases
INVENTOR(S): Mercep, Mladen; Malnar, Ivica; Hrvacic, Boska; Markovic, Stribor; Filipovic Sucic, Anita; Bosnjak, Berislav; Cempuh Klonkay, Andreja; Rupcic, Renata; Hutinec, Antun; Elenkov, Ivaylo Jivkov; Mesic, Milan
PATENT ASSIGNEE(S): GlaxoSmithKline Istrazivacki Centar Zagreb D.O.O., Croatia
SOURCE: PCT Int. Appl., 161pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2006111858 | A2 | 20061026 | WO 2006-IB1259 | 20060113 |
| WO 2006111858 | A3 | 20061130 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |
| EP 1846387 | A2 | 20071024 | EP 2006-765427 | 20060113 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | |
| PRIORITY APPLN. INFO.: | | | US 2005-644359P | P 20050114 |
| | | | US 2005-647793P | P 20050127 |
| | | | WO 2006-IB1259 | W 20060113 |
| OTHER SOURCE(S): | MARPAT 145:454935 | | | |
| GI | | | | |



- AB Certain bis-(coumarin) compds. of formula I as well as the products of their intramol. cyclization including pharmaceutically acceptable salts, hydrates, solvates, clathrates, prodrugs, tautomers and stereoisomers thereof are disclosed. Certain processes and intermediates for the preparation of certain bis-(coumarin) compds., as well as for the use of these compds. as therapeutically active agents in the prophylaxis and treatment of asthma and other inflammatory diseases and conditions in mammals, especially humans are also disclosed. Compds. of formula I wherein R1-R4 are independently H, F, Cl, Br, C1-4 (halo)alkyl, C2-4 alkenyl, C2-4 alkynyl, OH, C1-4 alkoxy, CF3, C1-4 alkanoyl, amino, (mono/di)C1-4 alkylamino, SH, C1-4 alkylthio, sulfo, C1-4 alkylsulfo, sulfinio, C1-4 alkylsulfinio, carboxy, C1-4 alkoxy-carbonyl, CN and NO2; A is CO, CH-X, and C=NR5; n is 0 and 1; X is OH, carboxy, acetyl, alkylcarbonyl, formyl, (un)substituted C1-6 alkyl, and C(=NR5)R6; R5 is Oh, alkoxy, amino alkylamino, aryl and arylamino; R6 is H and Me; and their pharmaceutically acceptable salts, solvates, tautomers, and stereoisomers thereof are claimed. Example compound II was prepared by condensation of 4-hydroxy-5-isopropyl-8-methylcoumarin with glyoxylic acid. All the invention compds. were evaluated for their leukotriene B4 inhibitory activity. Several of the tested compds. exhibited good inhibitory activity at 10 μ M.
- IT 67-47-0, 5-(Hydroxymethyl)furan-2-carboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (starting material; preparation of biscoumarin compds. useful in prophylaxis and treatment of asthma and other inflammatory diseases)
- RN 67-47-0 CAPLUS
- CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 24 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1093311 CAPLUS
 DOCUMENT NUMBER: 145:437232
 TITLE: Polyclonal and monoclonal antibodies specific to advanced glycosylation end product for immunoassay and diagnosis of AGE-associated diseases
 INVENTOR(S): Yamamoto, Takashi; Kimura, Yuko

10/531,714

PATENT ASSIGNEE(S): Jms Co., Ltd., Japan
SOURCE: PCT Int. Appl., 41pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

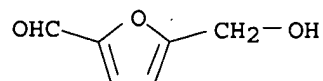
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|------------|
| WO 2006109599 | A1 | 20061019 | WO 2006-JP306906 | 20060331 |
| W: | | | | |
| AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: | | | | |
| AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| JP 2006312621 | A | 20061116 | JP 2006-94937 | 20060330 |
| EP 1867659 | A1 | 20071219 | EP 2006-730854 | 20060331 |
| R: | | | | |
| AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| KR 2007094950 | A | 20070927 | KR 2007-717760 | 20070731 |
| PRIORITY APPLN. INFO.: | | | JP 2005-108623 | A 20050405 |
| | | | WO 2006-JP306906 | W 20060331 |

AB Disclosed is an antibody against an AGE derived from a carbonyl compound which is highly reactive with a protein or peptide. Also disclosed is a method for detecting the AGF. 3,4-dideoxyglucosone-3-ene (3,4-DGE) is reacted with a protein to produce a reaction product AGE. A host animal is immunized with the AGE, the serum is collected from the host animal, and an antibody against the AGE (anti-AGE antibody) is isolated from the serum. The presence or content of a AGE in a sample can be determined by allowing the isolated anti-AGE antibody to react with the sample and detecting the antigen-antibody reaction between the AGE and the anti-AGE antibody in the sample.

IT 67-47-0, 5-Hydroxymethylfurfural
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(polyclonal and monoclonal antibodies specific to advanced glycosylation end product for immunoassay and diagnosis of AGE-associated diseases)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1079184 CAPLUS

DOCUMENT NUMBER: 146:407710

TITLE: Experimental study on analysis of ingredients of Jianguerxian gum

AUTHOR(S): Wang, Li-xin; Dang, Xiao-wu; Li, Quan; Qing, Mao-sheng

CORPORATE SOURCE: Department of Orthopedics, Shenzhen Hospital of TCM, Shenzhen, Guangdong, 518033, Peop. Rep. China

SOURCE: Zhongyiyao Xuebao (2006), 34(3), 29-30
CODEN: ZXHUCP; ISSN: 1002-2392

PUBLISHER: Zhongyiyao Xuebao Bianji Weiyuanhui

DOCUMENT TYPE: Journal

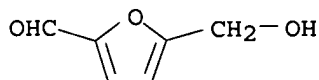
LANGUAGE: Chinese

AB The aim of the paper was to analyze the ingredients of Jianguerxian gum. Gas chromatog. combined with mass spectrometry, HPLC, and flame atomic absorption spectrometry were used. The results from gas chromatog. combined with mass spectrometry showed that Jianguerxian gum mainly included C₆H₈O₄, C₆H₆O₃, C₇H₁₀N₂O₂, C₁₄H₂₈O₂, C₁₆H₃₂O₂, C₁₈H₃₄O₂, C₁₈H₃₆O₂, etc, wherein the content of protein was the highest (21.18%). The content of calcium was only 4.66%, and vitamin D was no detectable. In conclusion, the therapeutic mechanism of Jianguerxian gum against osteoporosis was not supplement of vitamin D and calcium.

IT 67-47-0, 5-Hydroxymethyl-2-furan-carboxaldehyde
RL: NPO (Natural product occurrence); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(medicine ingredients anal. of Jianguerxian gum)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 26 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1065275 CAPLUS

DOCUMENT NUMBER: 147:15558

TITLE: Comparative analysis of steam distillation extraction method and supercritical CO₂ fluid extraction method for extracting volatile oil from Ephedra sinica by gas chromatography-mass spectrometry

AUTHOR(S): Lao, Yan-xia; Chen, Kang; Lin, Wen-jin; Lin, Li

CORPORATE SOURCE: Guangzhou Wang Lao Ji Pharm. Com. Ltd., Guangzhou, Guangdong, 510450, Peop. Rep. China

SOURCE: Xiandai Zhongyao Yanjiu Yu Shijian (2005), 19(2), 53-56
CODEN: XZYYAA

PUBLISHER: Xiandai Zhongyao Yanjiu Yu Shijian Zazhishe

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB This paper compared the chemical components and their relative contents of volatile oil extracted from Ephedra sinica by the methods of steam distillation (SD) and supercrit. CO₂ fluid extraction (SFE-CO₂). This paper extracted the volatile oil of Ephedra sinica by steam distillation (SD) and supercrit. CO₂ fluid extraction (SFE-CO₂), then analyzed the extns. by gas chromatog.-mass spectrometry (GC-MS). The chemical components and their relative contents of volatile oil extracted by the above two methods were different. SFE-CO₂ was superior to SD in increasing yield and shoring extractive time, and was a good method for the extraction of volatile oil from Ephedra sinica.

IT 67-47-0P, 5-Hydroxymethyl-2-furaldehyde
RL: ANT (Analyte); PUR (Purification or recovery); ANST (Analytical study); PREP (Preparation)
(comparative anal. of steam distillation extraction method and supercrit.

CO₂

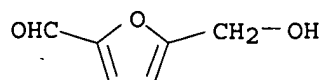
10/531,714

fluid extraction method for extracting volatile oil from Ephedra sinica by
gas

chromatog.-mass spectrometry)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 27 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1030825 CAPLUS

DOCUMENT NUMBER: 145:383525

TITLE: Xanthine oxidase inhibitors for the treatment of hyperuricemia and gout

INVENTOR(S): Suwa, Yoshihide; Koshimizu, Seiichi; Nukaya, Haruo

PATENT ASSIGNEE(S): Suntory, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| JP 2006265174 | A | 20061005 | JP 2005-85755 | 20050324 |

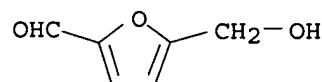
PRIORITY APPLN. INFO.: JP 2005-85755 20050324

AB Claimed are xanthine oxidase inhibitors which are safely used daily for the treatment of hyperuricemia and gout; and foods, beverages, cosmetics, and oral pharmaceuticals containing the xanthine oxidase inhibitors. The xanthine oxidase inhibitors include 3,4,5-trihydroxybenzaldehyde, 3,4,5-trihydroxybenzyl alc., 1,2,3-benzenetriol carboxaldehyde, vanillic acid, vanillin, coniferyl aldehyde, cinnamic aldehyde, and 5-hydroxymethyl-2-furaldehyde.

IT 67-47-0, 5-Hydroxymethyl 2-furaldehyde
RL: COS (Cosmetic use); FFD (Food or feed use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(xanthine oxidase inhibitors for treatment of hyperuricemia and gout)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 28 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1028121 CAPLUS

DOCUMENT NUMBER: 147:125994

TITLE: Identification of liposoluble components of Ophiopogon japonicus by GC-MS

AUTHOR(S): Zhang, Xiao-yan; Zhang, Zhi-jie; Wu, Lu-ling; Zhang, Xu; Cai, Bao-chang

CORPORATE SOURCE: Jiangsu Provincial Research Center for Quality Control of Chinese Medicine, Nanjing University of Traditional Chinese Medicine, Nanjing, 210029, Peop. Rep. China

SOURCE: Zhongguo Xinyao Zazhi (2006), 15(15), 1281-1282, 1306

CODEN: ZXZHA6; ISSN: 1003-3734

PUBLISHER: Zhongguo Xinyao Zazhi Youxian Gongsi
 DOCUMENT TYPE: Journal
 LANGUAGE: Chinese

AB This paper was aimed to analyzing the liposol. components of *Ophiopogon japonicus*. GC-MS was used to identify the chemical components of trichloromethane exts. of *Ophiopogon japonicus*. The structures of the identified components were confirmed by anal. of MS spectra and indexing of NIST98 spectral database. The GC-MS condition was optimized and thirty-two compds. were obtained. The GC-MS technique laid a basis for further anal. of active components of *Ophiopogon japonicus*.

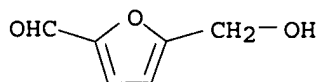
IT 67-47-0, 5-Hydroxymethyl-2-furfural

RL: ANT (Analyte); NPO (Natural product occurrence); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(identification of liposol. components in *Ophiopogon japonicus* by gas chromatog. combined with mass spectrometry)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 29 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:998323 CAPLUS

DOCUMENT NUMBER: 146:13381

TITLE: Determination of degradation product 5-HMF in vinpocetine and glucose injection by HPLC

AUTHOR(S): Huang, Xunming

CORPORATE SOURCE: Hainan Tianya Pharmaceutical Factory, Haikou, 571159, Peop. Rep. China

SOURCE: Huaxi Yaoxue Zazhi (2005), 20(6), 546-547

CODEN: HYZAE2; ISSN: 1006-0103

PUBLISHER: Huaxi Yike Daxue Yaoxueyuan

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB Objective: to establish a method for the determination of the degradation product

5-hydroxymethylfurfural (5-HMF) in vinpocetine and glucose injection.

Methods: HPLC with Kromasil ODS-1 C18 column (4.6 mm x 250 mm) and UV detector was used. The mobile phase was 75:25 0.2% H3PO4-methanol, flow rate 1.0 mL/min, and detection wavelength 284 nm. External standard method was used for the assay of 5-HMF. Results: the linear range for 5-HMF was 1.0-20.0 µg/mL, detection limit 7.7 ng/mL, recovery of standard addition 99.5%, and RSD 1.0 % (n = 5). Conclusion: the method is simple, reliable, and accurate, and can be used for the determination of degradation product

5-HMF in

vinpocetine and glucose injection.

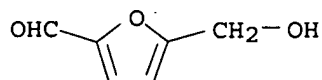
IT 67-47-0, 5-Hydroxymethylfurfural

RL: ANT (Analyte); FMU (Formation, unclassified); ANST (Analytical study); FORM (Formation, nonpreparative)

(determination of degradation product 5-HMF in vinpocetine and glucose injection by HPLC)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



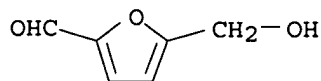
L3 ANSWER 30 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:737189 CAPLUS
 DOCUMENT NUMBER: 145:404012
 TITLE: Chinese medical composition and its preparation and quality control methods
 INVENTOR(S): Xu, Ming
 PATENT ASSIGNEE(S): Beijing Kairui Innovative Pharmaceutical Science and Technology Co., Ltd., Peop. Rep. China
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 14 pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------|----------|------------------|----------|
| ----- | ----- | ----- | ----- | ----- |
| CN 1803180 | A | 20060719 | CN 2005-10200030 | 20050113 |
| PRIORITY APPLN. INFO.: | | | CN 2005-10200030 | 20050113 |

AB The Chinese medical composition is made from cooked *Rehmannia glutinosa* 450-550, yam 200-250, *Poria cocos* 120-160, tree peony bark 120-160, *Alisma orientalis* 120-160, *Polygala sibirica* 120-160, dragon bone (*Os Draconis*, animal bone fossil) 350-400, *Ligustrum lucidum* 200-250, *Phellodendron amurense* 120-160, *Anemarrhena asphodeloides* 60-80, Chinese magnolcavine fruit 60-80 and *Acorus tatarinowii* 200-250 weight part. The invention relates to preparation of Chinese medical composition, such as granule, capsule, soft capsule, pill or tablet, by water extraction. The 5-hydroxymethylfurfural, sarsasapogenin, paeoniflorin and berberine hydrochloride in the medical composition are all identified by TLC on silica gel G plate with petroleum ether-Et acetate(1:1), toluene-acetone(9:1), Et acetate-methanol-water(10:3:1) and toluene-Et acetate-isopropanol-methanol-ammonia(6:3:1.5:1.5:0.5) as developing agent resp. The berberine hydrochloride content in the medical composition is determined by HPLC on octadecyl silane column at 265 nm with 0.05 mol/L potassium dihydrogen phosphate-acetonitrile(75:25) as mobil phase. The invention also relates to use of the Chinese medical composition for preparing drugs for treating hyperactivity in children.

IT 67-47-0, 5-Hydroxymethylfurfural
 RL: ANT (Analyte); PAC (Pharmacological activity); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
 (Chinese medical composition and its preparation and quality control methods)

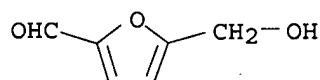
RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



10/531,714

DOCUMENT NUMBER: 145:152921
TITLE: Method for simultaneously detecting contents of
protocatechuic acid and 5-hydroxymethylfurfural in
shengmai injection with HPLC
INVENTOR(S): Zeng, Xiaochun
PATENT ASSIGNEE(S): Yaan Sanjiu Pharmaceutical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 10 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|------------------|----------|
| ----- | --- | ----- | ----- | ----- |
| CN 1790013 | A | 20060621 | CN 2004-10081501 | 20041216 |
| PRIORITY APPLN. INFO.: | | | CN 2004-10081501 | 20041216 |
| AB | The title method comprises recrystg. crude protocatechuic acid with acetone and dichloromethane, vacuum-drying at 80°C, and quantitating by electrotitration with 0.1M NaOH solution and HPLC, wherein mobile phase and fillers are acetonitrile/ammonium acetate/glacial acetic acid and octadecyl silane bonding silica gel resp. The contents of protocatechuic acid and 5-hydroxymethylfurfural in Shengmai injection are determined by external standard method. The method has the advantages of accuracy and simplicity. | | | |
| IT | 67-47-0, 5-Hydroxymethylfurfural RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses) (method for simultaneously detecting contents of protocatechuic acid and 5-hydroxymethylfurfural in shengmai injection with HPLC) | | | |
| RN | 67-47-0 CAPLUS | | | |
| CN | 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) | | | |



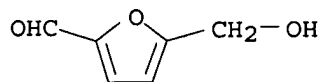
L3 ANSWER 32 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:529715 CAPLUS
DOCUMENT NUMBER: 145:195937
TITLE: Comparison of the volatile compounds of Atractylodes medicinal plants by headspace solid-phase microextraction-gas chromatography-mass spectrometry
AUTHOR(S): Guo, Fang-Qiu; Huang, Lan-Fang; Zhou, Shao-Yun; Zhang, Tai-Ming; Liang, Yi-Zeng
CORPORATE SOURCE: College of Chemistry and Chemical Engineering, Research Center for Modernization of Chinese Herbal Medicine, Central South University, Changsha, 410083, Peop. Rep. China
SOURCE: Analytica Chimica Acta (2006), 570(1), 73-78
CODEN: ACACAM; ISSN: 0003-2670
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Atractylodes macrocephala (baizhu) and Atractylodes lancea (cangzhu), which are two famous Atractylodes medicinal plants, have traditionally been used as important ingredient of several Chinese herbal medicines. The volatile constituents are the main active components in them. To avoid the traditional and time-consuming hydrodistn., the analyses of

volatile components in baizhu and cangzhu were carried out by means of headspace solid-phase microextn. (HS-SPME) coupled to gas chromatog.-mass spectrometry (GC-MS). The headspace volatiles were collected using a polydimethylsiloxane-divinylbenzene (PDMS-DVB, 65 μ m) fiber. The extraction conditions including extraction temperature, equilibrium time, extraction time and desorption

time were optimized using the total peak areas as index. The best response was obtained when the extraction temperature, equilibrium time, extraction time and

desorption time were 70°, 30, 30 and 4 min, resp. Thirty-six components representing 90.72% of the total peak areas of baizhu were identified. The highest content component of the HS-SPME sample of baizhu was atractylone (40.12%). For cangzhu, 56 components representing 90.38% of the total peak areas of cangzhu were identified and the highest content component of the HS-SPME sample of cangzhu was eudesma-4(14),11-diene (16.49%). This study showed that baizhu and cangzhu have 23 common components. The result suggested the developed method could be used to compare the similarities and differences between the above-mentioned two Chinese herbs.

IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde
 RL: NPO (Natural product occurrence); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
 (comparison of volatile compds. of Atractylodes medicinal plants by headspace solid-phase microextn.-gas chromatog.-mass spectrometry)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:383706 CAPLUS

DOCUMENT NUMBER: 144:412277

TITLE: Preparation of novel curcumin analogs for use in pharmaceutical compositions as androgen receptor antagonists

INVENTOR(S): Lee, Kuo-Hsiung; Lin, Li; Shih, Charles C-Y.; Su, Ching-Yuan; Ishida, Junko; Ohtsu, Hironori; Wang, Hui-Kang; Itokawa, Hideji; Chang, Chawnshang

PATENT ASSIGNEE(S): University of North Carolina At Chapel Hill, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

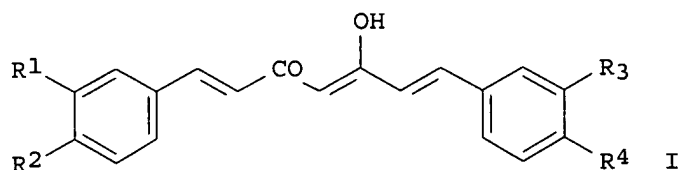
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2006044379 | A2 | 20060427 | WO 2005-US36522 | 20051012 |
| WO 2006044379 | A3 | 20060615 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,

YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 US 2005187255 A1 20050825 US 2004-966723 20041015
 AU 2005295876 A1 20060427 AU 2005-295876 20051012
 CA 2583943 A1 20060427 CA 2005-2583943 20051012
 EP 1799213 A2 20070627 EP 2005-815933 20051012
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 CN 101076336 A 20071121 CN 2005-80042393 20051012
 PRIORITY APPLN. INFO.:
 US 2004-966723 A 20041015
 US 2002-124642 A1 20020417
 WO 2003-US9350 A2 20030327
 WO 2005-US36522 W 20051012
 OTHER SOURCE(S): MARPAT 144:412277
 GI



AB Curcumin analogs, such as I [R1-4 = H, OH, alkoxy, etc.], were prepared for therapeutic use as androgen receptor antagonists. These curcumin analogs were claimed for use in the treatment of androgen-related disorders which may include cancers of the colon, skin and prostate, as well as for treatment of baldness, hirsutism, behavioral disorders, acne and uninhibited spermatogenesis wherein inhibition of spermatogenesis is so desired. Thus, curcumin I (R1 = R3 = OMe, R2 = R4 = OH) was O-methylated using diazomethane in Et2O and MeOH to give di-O-methylated curcumin derivative I (R1 = R2 = R3 = R4 = OMe) with 19.8% yield. The prepared curcumin analogs were assayed for androgen receptor transactivation and for their effect on LNCaP cell growth.

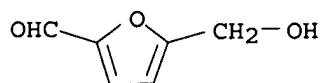
IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of novel curcumin analogs for use in pharmaceutical compns. as androgen receptor antagonists)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 34 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:377802 CAPLUS

DOCUMENT NUMBER: 145:306268

TITLE: Effects of Eucommia ulmoides Oliver leaf extract on 3T3-L1 differentiation into adipocytes

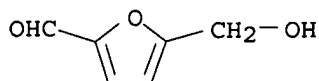
AUTHOR(S): Matsuda, Eriko; Yoshizawa, Yuko; Yokosawa, Yuki;

Watanabe, Naomi; Kawaii, Satoru; Murofushi, Noboru
 CORPORATE SOURCE: Laboratory of Bio-organic Chemistry, Akita Prefectural
 University, Akita, 010-0195, Japan
 SOURCE: Journal of Natural Medicines (2006), 60(2), 126-129
 CODEN: JNMOBN
 PUBLISHER: Springer Tokyo
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The extract prepared from roasted *Eucommia ulmoides* Oliver leaves, Du-Zhong tea, was examined to explore its effect on differentiation of mouse 3T3-L1 preadipocyte cells into adipocytes. The boiling water extract of Du-Zhong tea inhibited lipid accumulation in 3T3-L1. The HPLC anal. of the extract identified catechin, protocatechuic acid, pyrogallol, and chlorogenic acid. Catechin weakly inhibited lipid accumulation after 3T3-L1 differentiation, while protocatechuic acid and chlorogenic acid showed almost no effect. The activity guided separation of Du-Zhong tea lead the isolation of 5-hydroxymethyl-2-furaldehyde (HMF). HMF inhibited lipid accumulation at a concentration of 100 μ M, and the amount of lipid in the cells was reduced to the similar level of neg. control. This is the first isolation of HMF from Du-Zhong tea and the first observation of its effect on 3T3-L1 differentiation.

IT 67-47-0P, 5-Hydroxymethyl-2-furaldehyde
 RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
 (5-hydroxymethyl-2-furaldehyde inhibited lipid accumulation in 3T3-L1 cell)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



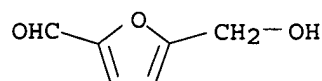
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:319955 CAPLUS
 DOCUMENT NUMBER: 144:310953
 TITLE: Evaluation of front-face fluorescence for assessing thermal processing of milk
 AUTHOR(S): Schamberger, Gerry P.; Labuza, Theodore P.
 CORPORATE SOURCE: Dept. of Food Science and Nutrition, Univ. of Minnesota, St. Paul, MN, 55108, USA
 SOURCE: Journal of Food Science (2006), 71(2), C69-C74
 CODEN: JFDSA; ISSN: 0022-1147
 PUBLISHER: Institute of Food Technologists
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The use of front-face fluorescence spectroscopy (FFFS) was assessed for its ability to monitor the development of Maillard browning in milk during thermal processing. Skim milk was processed using a Microthermics thermal processing system for a range of conditions from 70 $^{\circ}$ C to 140 $^{\circ}$ C from 3 to 30 s. Milk was analyzed using FFFS, Hunter L*, a*, b*, hydroxymethylfurfural (HMF), tryptophan, and optical d. FFFS and HMF were found to be the most sensitive methods for distinguishing the heat treatment of milk. Activation energies of 126 and 190 kJ/mol were found for HMF and FFFS, resp. A strong correlation was found between these 2 methods. As a fast nonpreparatory method, FFFS is quite useful for

evaluating the effect on the 1st stages of the Maillard reaction caused by the heat processing of milk. This work indicates that FFFS with no sample preparation has the potential to be of use as an online instrument for monitoring and control of thermal processing of milk; it can be applied as a process anal. technol. (PAT) as is being done in the pharmaceutical industry with other methods.

IT 67-47-0, Hydroxymethylfurfural
 RL: ANT (Analyte); ANST (Analytical study)
 (Maillard browning in thermal processed milk assessed by front-face fluorescence)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:212297 CAPLUS

DOCUMENT NUMBER: 144:274134

TITLE: Preparation of isoindolin-1-one derivatives that inhibit the MDM2-p53 interaction for use against cancer

INVENTOR(S): Willems, Hendrika Maria Gerarda; Kallblad, Per; Hardcastel, Ian Robert; Griffin, Roger John; Golding, Bernard Thomas; Lunec, John; Nobel, Martin E. M.; Newell, David R.; Calvert, Alan H.

PATENT ASSIGNEE(S): Cancer Research Technology Limited, UK

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

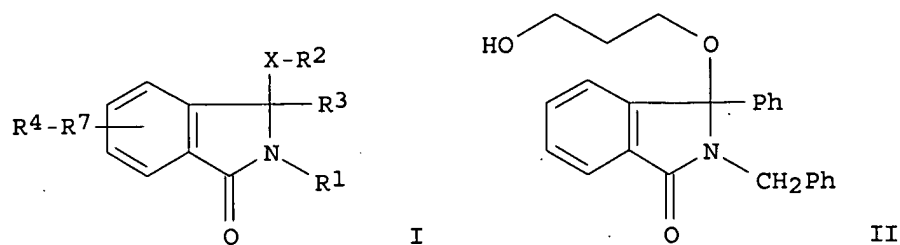
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2006024837 | A1 | 20060309 | WO 2005-GB3345 | 20050826 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2005278962 | A1 | 20060309 | AU 2005-278962 | 20050826 |
| CA 2578955 | A1 | 20060309 | CA 2005-2578955 | 20050826 |
| EP 1786773 | A1 | 20070523 | EP 2005-782577 | 20050826 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| PRIORITY APPLN. INFO.: | | | GB 2004-19481 | A 20040902 |
| | | | WO 2005-GB3345 | W 20050826 |

OTHER SOURCE(S): MARPAT 144:274134

GI

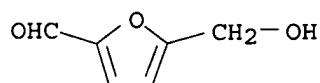


AB Disclosed are isoindolin-1-one derivs. (shown as I; variables defined below; e.g. 2-benzyl-3-(3-Hydroxypropoxy)-3-phenyl-2,3-dihydro-1H-isoindol-1-one (shown as II)) or a prodrug and/or pharmaceutically acceptable salt thereof that inhibit the MDM2-p53 interaction and are useful against cancer (e.g. osteosarcoma). For I: X = O, N or S; R1 = H, halo, hydroxy, (un)substituted alkyl, (un)substituted hydroxyalkyl, (un)substituted alkylamine, alkoxy, (un)substituted aryl or heteroaryl, and (un)substituted aralkyl or heteroaralkyl; R2 = H, halo, hydroxy, (un)substituted alkyl, (un)substituted hydroxyalkyl (un)substituted alkylamine, alkoxy, (un)substituted aryl or heteroaryl, and (un)substituted aralkyl or heteroalkyl; R3 = H, halo, hydroxy, (un)substituted alkyl, (un)substituted hydroxyalkyl, (un)substituted alkylamine, alkoxy, (un)substituted aryl or heteroaryl, and (un)substituted aralkyl or heteroalkyl; and R4-R7 = H, OH, alkyl, alkoxy, alkylamine, hydroxyalkyl, halo, CF3, NH2, NO2, COOH, C=O. Although the methods of preparation are not claimed, preps. and/or characterization data for many examples of I are included. For example, II was prepared (35 %) from 2-Benzyl-3-chloro-3-phenyl-2,3-dihydro-1H-isoindol-1-one (preparation described) and 1,3-propanediol.

IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of isoindolin-1-one derivs. that inhibit the MDM2-p53 interaction for use against cancer)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1317104 CAPLUS

DOCUMENT NUMBER: 144:94554

TITLE: Determination of chemical constituents in Rhizoma Acori Tatarinowii decoction by GC-MS

AUTHOR(S): Wei, Gang; Lin, Shuangfeng; Fang, Yongqi

CORPORATE SOURCE: First Affiliated Hospital, Guangzhou University of Traditional Chinese Medicine, Guangzhou, 510405, Peop. Rep. China

SOURCE: Guangzhou Zhongyiyao Daxue Xuebao (2005), 22(2), 147-149

CODEN: GZDXFQ; ISSN: 1007-3213

PUBLISHER: Guangzhou Zhongyiyao Daxue Xuebao Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB The main chemical constituents in decoction and concentrated decoction of Rhizoma

Acori Tatarinowii (RAT) were analyzed by gas chromatog.-mass spectrometry (GC-MS). RAT was decocted and concentrated in the pottery for two times, and then 6 batches of the decoction and their concentrated decoction were analyzed by GC-MS. Five components in a higher amount were found in the first and second decoction of RAT, including: β -asarone, α -asarone, 2,3-dihydro-3,5-dihydroxy-6-methyl-4H-pyran-4-one, 5-hydroxymethylfurfural and acoramone. The contents of volatile components, α -asarone and β -asarone, were lower, and those of water-soluble components were higher in the concentrated decoction of RAT. The therapeutic effects of RAT were the coaction of the multiple components in RAT and related not only with the volatile components but also with the water-soluble components. More attention should be paid to the difference of the components in the clin. used decoction and in the concentrated decoction, which was generally used in the research of new Chinese herbal medicine.

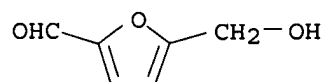
IT 67-47-0, 5-Hydroxymethylfurfural

RL: ANT (Analyte); ANST (Analytical study)

(determination of chemical constituents in Rhizoma Acori Tatarinowii decoction by GC-MS)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 38 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1240986 CAPLUS

DOCUMENT NUMBER: 144:22906

TITLE: Preparation of fused heterocycle kinase inhibitors for treatment of protein tyrosine kinase-related diseases
 INVENTOR(S): Cusack, Kevin; Salmeron-Garcia, Jose-Andres; Gordon, Thomas D.; Barberis, Claude E.; Allen, Hamish J.; Bischoff, Agnieszka K.; Ericsson, Anna M.; Friedman, Michael M.; George, Dawn M.; Roth, Gregory P.; Talanian, Robert V.; Thomas, Christine; Wallace, Grier A.; Wishart, Neil; Yu, Zhengtian

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 362 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

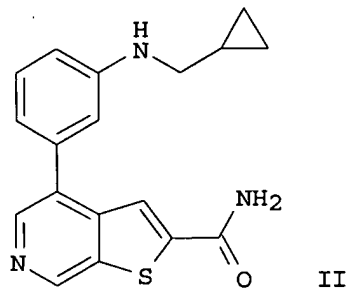
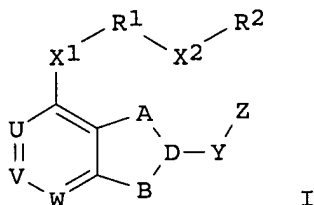
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005110410 | A2 | 20051124 | WO 2005-US16903 | 20050513 |
| WO 2005110410 | A3 | 20070329 | | |

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SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
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 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG
 CA 2566158 A1 20051124 CA 2005-2566158 20050513
 US 2006074102 A1 20060406 US 2005-129624 20050513
 EP 1753428 A2 20070221 EP 2005-778736 20050513
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 HR, LV, MK, YU
 JP 2007537296 T 20071220 JP 2007-513433 20050513
 PRIORITY APPLN. INFO.: US 2004-571281P P 20040514
 WO 2005-US16903 W 20050513
 OTHER SOURCE(S): MARPAT 144:22906
 GI

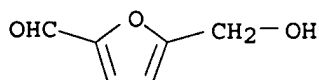


AB The invention is related to the preparation of fused heterocycles of formula I [A, B = independently N, S, O, a bond, etc.; D = C, N, S, O, C:C; U, V, W = independently CH and derivs., N; Y = a bond, CONH2 and derivs., SO, etc.; Z = H, halo, CN, etc.; X1 = a bond, halo, O, SO, NHSO2, etc.; R1 = a bond, (un)substituted benzofuranyl, benzimidazolyl, pyrrolyl, etc.; when R1 is not a bond, then X2 = a bond, O, S, NHCO and derivs., aliphatic group, etc.; or when R1 = a bond, then X2 = a bond and R2 is not a bond; R2 = a bond or (un)substituted benzoxazolyl, Ph, etc.; with provisos; and with the exception of certain compds.], and their pharmaceutically acceptable salts as inhibitors of kinases, particularly COT or MK2 kinases. The invention is also related to the use of certain compds. I as inhibitors of angiogenic receptor tyrosine kinases. Thus, reacting 4-(3-aminophenyl)thieno[2,3-c]pyridine-2-carboxamide with cyclopropanecarboxaldehyde gave thienopyridine II. All compds. I significantly inhibit either COT or MK2 at concns. of 50 μ M or below.

IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of fused heterocycles as kinase inhibitors)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



10/531,714

L3 ANSWER 39 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1117211 CAPLUS
DOCUMENT NUMBER: 143:399848
TITLE: Use of 5-hydroxymethyl furaldehyde in preparation of
medicines for treating nervous system diseases
INVENTOR(S): Li, Lin; Wei, Haifeng; Zhang, Lan; Zhao, Ling; Chu,
Jin
PATENT ASSIGNEE(S): Xuanwu Hospital Attached To Capital University of
Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

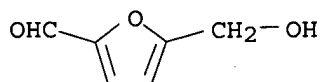
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| CN 1565438 | A | 20050119 | CN 2003-146245 | 20030704 |

PRIORITY APPLN. INFO.: CN 2003-146245 20030704

AB The invention relates to the use of 5-hydroxymethyl furaldehyde and its
derivs. in the preparation of medicines for preventing and/or treating nervous
system diseases. The compds. are effective in relieving ischemia and
hypoxia of nerves and functional disturbances caused by nerve injury,
alleviating edema of nerve cells, enhancing the function of scavenging
free radicals, preventing the damages due to free radicals, and reducing
calcium overload of nerve cells. The invention also provides
pharmaceutical compns. containing 5-hydroxymethyl furaldehyde or its
derivs. as active ingredient and the application of 5-hydroxymethyl
furaldehyde or its derivs. in the prevention and/or treatment of nervous
system diseases.

IT 67-47-0, 5-Hydroxymethyl furaldehyde
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(use of 5-hydroxymethyl furaldehydes in preparation of medicines for
treating nervous system diseases)

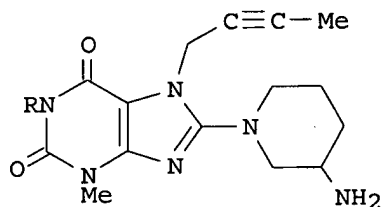
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



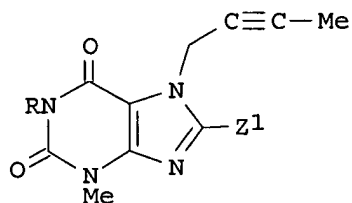
L3 ANSWER 40 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1004745 CAPLUS
DOCUMENT NUMBER: 143:306333
TITLE: Production of 8-[3-aminopiperidin-1-yl]xanthine
derivatives and their use as DPP-IV inhibitors
INVENTOR(S): Himmelsbach, Frank; Langkopf, Elke; Eckhardt,
Matthias; Tadayyon, Mohammad; Thomas, Leo
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany;
Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

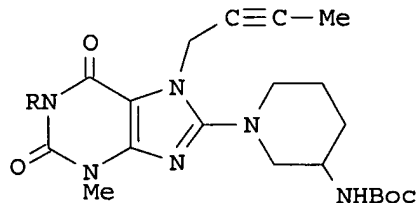
| WO 2005085246 | A1 | 20050915 | WO 2005-EP1427 | 20050212 |
|---|-------------------|----------|-----------------------|------------|
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 102004008112 | A1 | 20050901 | DE 2004-102004008112 | 20040218 |
| DE 102004012921 | A1 | 20051013 | DE 2004-102004012921 | 20040317 |
| DE 102004032263 | A1 | 20060119 | DE 2004-102004032263 | 20040703 |
| AU 2005219508 | A1 | 20050915 | AU 2005-219508 | 20050212 |
| CA 2555050 | A1 | 20050915 | CA 2005-2555050 | 20050212 |
| EP 1758905 | A1 | 20070307 | EP 2005-707354 | 20050212 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU | | | | |
| CN 1980930 | A | 20070613 | CN 2005-80005423 | 20050212 |
| BR 2005007873 | A | 20070724 | BR 2005-7873 | 20050212 |
| JP 2007522251 | T | 20070809 | JP 2006-553504 | 20050212 |
| NO 2006002688 | A | 20060914 | NO 2006-2688 | 20060609 |
| IN 2006DN04175 | A | 20070713 | IN 2006-DN4175 | 20060719 |
| MX 2006PA09289 | A | 20061009 | MX 2006-PA9289 | 20060816 |
| KR 2007006780 | A | 20070111 | KR 2006-719124 | 20060918 |
| PRIORITY APPLN. INFO.: | | | DE 2004-102004008112A | 20040218 |
| | | | DE 2004-102004012921A | 20040317 |
| | | | DE 2004-102004032263A | 20040703 |
| | | | WO 2005-EP1427 | W 20050212 |
| OTHER SOURCE(S): | MARPAT 143:306333 | | | |
| GI | | | | |



I



II

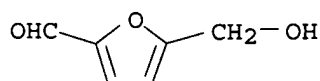


III

AB The invention relates to substituted xanthines, e.g., I [R = CH₂Ph,

CH₂C₆H₄-R', 2,6-dicyanobenzyl, 3,4-dicyanobenzyl, 3,5-dicyanobenzyl, 2-(trifluoromethyl)-4-cyanobenzyl, 4-cyano-3-nitrobenzyl, 2-cyano-3-methoxybenzyl, 2-cyano-4-methoxybenzyl, 2-cyano-5-methoxybenzyl, 2-cyano-4-fluorobenzyl, 2-cyano-5-fluorobenzyl, 2-cyano-6-fluorobenzyl, 3-cyano-4-fluorobenzyl, 4-cyano-3-fluorobenzyl, 4-cyano-2-fluorobenzyl, 3-chloro-2-cyanobenzyl, 2-chloro-4-cyanobenzyl, 4-bromo-2-cyanobenzyl, 2-fluoro-3-methoxybenzyl, 2-fluoro-4-methoxybenzyl, 2-fluoro-5-methoxybenzyl, 3-fluoro-4-methoxybenzyl, 3,4-dimethoxybenzyl, 3,5-dimethoxybenzyl, 3,4-dimethoxy-6-fluorobenzyl, (benzo[1,3]dioxol-5-yl)methyl, (4-cyanobenzo[1,3]dioxol-5-yl)methyl, etc.; R' = 2-F, 3-F, 4-F, 2,6-F₂, 3,4-F₂, 2-Cl, 3-Cl, 4-Cl, 2-CF₃, 3-CF₃, 4-CF₃, 3-CF₃O, 4-CF₃O, 2-CN, 3-CN, 4-CN, 2-MeO, 3-MeO, 4-MeO], and to its tautomers, stereoisomers, mixts. and pharmaceutically acceptable salts, said products exhibiting precious pharmacol. properties, in particular an inhibiting effect on a dipeptidylpeptidase-IV (DPP-IV) enzyme activity. The procedure for the preparation of I comprises: (a) reaction of xanthine II [Z1 = halogen, substituted OH, substituted SH, sulfinyl, sulfonyl, sulfonyloxy group] with (±)-, (R)- or (S)-3-aminopiperidine or (±)-, (R)- or (S)-3-(Boc-amino)piperidine [Boc = CO₂CMe₃] or their salts; and (b) dealkoxycarbonylation of protected 8-[3-aminopiperidin-1-yl]xanthine III [Boc = CO₂CMe₃]. Thus, (R)-I [R = {4-(phenylamino)quinazolin-2-yl}methyl; (β-NH₂)] was prepared from 8-bromo-3-methylxanthine via regioselective N-alkylation with 1-bromo-2-butyne in DMF containing Hunig's base, amination with (R)-3-(Boc-amino)piperidine in DMSO containing K₂CO₃, N-alkylation with 2-(chloromethyl)-4-(phenylamino)quinazoline in DMF containing Cs₂CO₃, and dealkoxycarbonylation in CH₂Cl₂ with HCl in isopropanol. The enzyme inhibiting activity of I [R = {4-(phenylamino)quinazolin-2-yl}methyl] was determined [IC₅₀ = 6 nM]. Drug dosage forms (dragees, tablets, hard gelatins, suppositories, suspensions, ampuls) containing I were prepared

IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with methanesulfonyl chloride; preparation of
 8-[3-aminopiperidin-1-yl]xanthines and their use as DPP-IV inhibitors)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:673292 CAPLUS

DOCUMENT NUMBER: 143:172866

TITLE: Preparation of isothiazole dioxides as CXC- and CC-chemokine receptor ligands

INVENTOR(S): Taveras, Arthur G.; Zheng, Junying; Biju, Purakkattil J.; Yu, Younong; Chao, Jianhua; Fine, Jay; Lundell, Daniel; Priestley, Tony; Reggiani, Angelo; Merritt, J. Robert; Baldwin, John J.; Lai, Gaifa; Wu, Minglang
 PATENT ASSIGNEE(S): Schering Corporation, USA; PharmacoPeia Drug Discovery, Inc.

SOURCE: PCT Int. Appl., 427 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|------------------|------------|
| WO 2005068460 | A1 | 20050728 | WO 2004-US42720 | 20041220 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2550540 | A1 | 20050728 | CA 2004-2550540 | 20041220 |
| US 2006025453 | A1 | 20060202 | US 2004-17505 | 20041220 |
| EP 1697354 | A1 | 20060906 | EP 2004-814856 | 20041220 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU | | | | |
| CN 1918156 | A | 20070221 | CN 2004-80041794 | 20041220 |
| JP 2007515489 | T | 20070614 | JP 2006-547206 | 20041220 |
| MX 2006PA07205 | A | 20060831 | MX 2006-PA7205 | 20060622 |
| PRIORITY APPLN. INFO.: | | | US 2003-531693P | P 20031222 |
| | | | WO 2004-US42720 | W 20041220 |
| OTHER SOURCE(S): | | MARPAT 143:172866 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

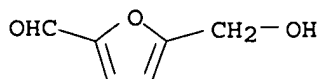
AB Disclosed are novel compds. I [D, E = N, CR50; provided that D and E are not the same (one is N and the other is CR50); R50 = H, CF3, CN, etc.; A = (hetero)aryl, (hetero)arylalkyl; B = (hetero)aryl] and the pharmaceutically acceptable salts and solvates thereof. Also disclosed is a method of treating a chemokine mediated diseases, such as, cancer, angiogenesis, angiogenic ocular diseases, pulmonary diseases, multiple sclerosis, rheumatoid arthritis, osteoarthritis, stroke and cardiac reperfusion injury, pain (e.g., acute pain, acute and chronic inflammatory pain, and neuropathic pain) using a compound I. Although the methods of preparation are not claimed, hundreds of example preps. and/or characterization data are included. For example, II was prepared in 68% yield from the isothiazoledioxide III and the amine IV.pTSA (preparation of reactants given). Antagonist activities of some examples of I towards CXCR1, CXCR2 and CCR7 are given.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of isothiazole dioxides as CXC- and CC-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/531,714

L3 ANSWER 42 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:638859 CAPLUS

DOCUMENT NUMBER: 143:153384

TITLE: Preparation of diaminothiadiazoles as CXC- and CC-chemokine receptor ligands

INVENTOR(S): Biju, Purakkattle J.; Taveras, Arthur G.; Yu, Younong; Zheng, Junying; Chao, Jianhua; Aki, Cynthia J.; Fine, Jay; Lundell, Daniel; Priestley, Tony; Reggiani, Angelo; Merritt, J. Robert; Baldwin, John J.

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia Drug Discovery, Inc.

SOURCE: PCT Int. Appl., 593 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

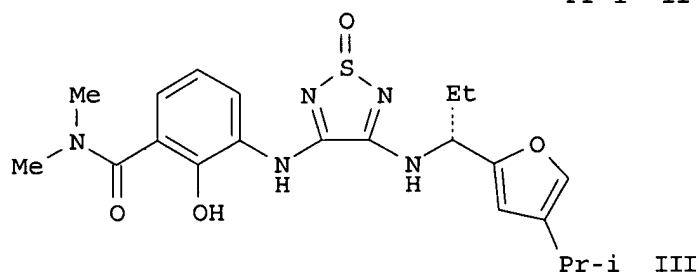
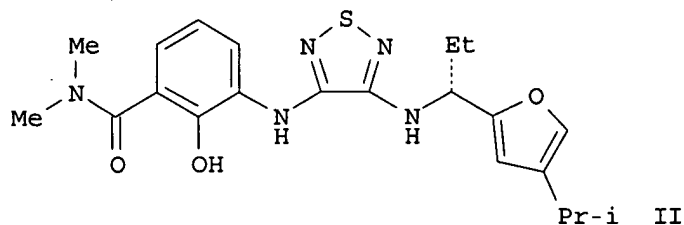
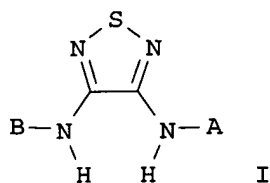
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2005066147 | A1 | 20050721 | WO 2004-US42060 | 20041216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2550189 | A1 | 20050721 | CA 2004-2550189 | 20041216 |
| EP 1694659 | A1 | 20060830 | EP 2004-814266 | 20041216 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU | | | | |
| US 2006223864 | A1 | 20061005 | US 2004-13753 | 20041216 |
| CN 1918138 | A | 20070221 | CN 2004-80041695 | 20041216 |
| JP 2007514746 | T | 20070607 | JP 2006-545364 | 20041216 |
| MX 2006PA07076 | A | 20060831 | MX 2006-PA7076 | 20060619 |
| PRIORITY APPLN. INFO.: | | | US 2003-531311P | P 20031219 |
| | | | US 2003-531713P | P 20031222 |
| | | | WO 2004-US42060 | W 20041216 |

OTHER SOURCE(S): MARPAT 143:153384

GI



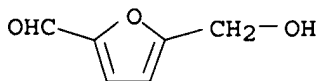
AB Disclosed are diaminothiadiazoles I [A = (hetero)aryl, (hetero)arylmethyl (substituted at CH₂), etc.; B = (hetero)aryl] and the pharmaceutically acceptable salts and solvates thereof. Also disclosed is a method of treating a chemokine mediated diseases, such as, cancer, angiogenesis, angiogenic ocular diseases, pulmonary diseases, multiple sclerosis, rheumatoid arthritis, osteoarthritis, stroke and ischemia reperfusion injury, acute pain, acute and chronic inflammatory pain, and neuropathic pain using I. Although the methods of preparation are not claimed, hundreds of example prepns. and/or characterization data are included. For example, II was prepared in 43% yield from its monooxide III (preparation given). Antagonist activities of some examples of I towards CXCR1, CXCR2 and CCR7 are given.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diaminothiadiazoles as CXC- and CC-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:504449 CAPLUS

DOCUMENT NUMBER: 143:83400

TITLE: Plant extract and compound for treating endotoxin blood disease, and its extraction method and application

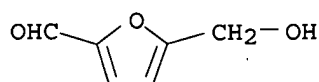
10/531,714

INVENTOR(S): Pu, Wenying
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp.
given
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|------------------|-------------|
| ----- | ---- | ----- | ----- | ----- |
| CN 1520837 | A | 20040818 | CN 2003-102065 | 20030130 |
| CN 1704050 | A | 20051207 | CN 2005-10075356 | 20030130 |
| CN 1704066 | A | 20051207 | CN 2005-10075357 | 20030130 |
| CN 1704401 | A | 20051207 | CN 2005-10075358 | 20030130 |
| PRIORITY APPLN. INFO.: | | | CN 2003-102065 | A3 20030130 |

AB The present invention relates to the effective part of isatis root for treating endotoxemia. The effective part contains four kinds of compds.: furfuraldehyde compound with main component 5-methylol furfuraldehyde; lignin compound with main component isolariciresinol; indole compound with main component 1-N-methoxy-2-oxy-indole-3-acetamide; and organic acid compound with main components o-aminobenzoic acid, salicylic acid, benzoic acid, syringic acid and long-chain fatty acid containing hydroxy group and double bond. The effective part of the present invention and its compound components have excellent effect of resisting endotoxemia.

IT 67-47-0
RL: NPO (Natural product occurrence); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(plant extract and compound for treating endotoxin blood disease, and its extraction method and application)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 44 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:447252 CAPLUS

DOCUMENT NUMBER: 142:469168

TITLE: Fractions of shiitake (Lentinula edodes) mycelial extracts containing polyphenols, their preparation, and their uses for pharmaceuticals and foods

INVENTOR(S): Oda, Machiko; Mitsunaga, Toru; Yagi, Kiyohito; Kawase, Masaya; Nakamura, Risa; Yamaguchi, Yoshihiro; Tamesada, Makoto

PATENT ASSIGNEE(S): Kobayashi Pharmaceutical Co., Ltd., Japan; Nagaoka, Hitoshi

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

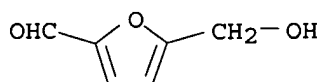
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| ----- | ---- | ----- | ----- | ----- |
| JP 2005132812 | A | 20050526 | JP 2004-23417 | 20040130 |
| PRIORITY APPLN. INFO.: | | | JP 2003-349998 | A 20031008 |

AB The fractions of shiitake mycelial exts. containing polyphenols are manufactured by adding EtOH-containing solns. to shiitake mycelial exts., and subjecting the resulting soluble fractions to gel filtration chromatog., elution with water, and elution with MeOH-containing solns. The fractions are useful for antioxidants, pharmaceutical compns. for prevention and/or treatment of liver diseases, oral compns., foods, beverages, and biol. membrane protectants. Shiitake mycelial extract was treated with aqueous 50% EtOH solution, the EtOH-soluble fraction was applied on a gel filtration chromatog. column packed with Sephadex LH-20, and eluted with H₂O and then with MeOH to give an EtOH-soluble MeOH fraction (ES-Me fraction) containing carbohydrates 9.48, proteins 76.79, and polyphenols 13.73 weight%. The ES-Me fraction (at 0.125 mg/mL) showed 100% inhibition of lipid peroxidn. in rat liver microsome. The ES-Me fraction was fractionated by open-column chromatog. (LH-20gel) to give 122 fractions. A fraction having the highest DPPH radical-scavenging activity contained syringic acid, vanillic acid, protocatechuic acid (3,4-dihydroxybenzoic acid), and Me gallate, and a fraction having the 2nd highest activity contained 5-hydroxymethylfurfural.

IT 67-47-0P, 5-Hydroxymethylfurfural
 RL: FFD (Food or feed use); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of antioxidant shiitake (*Lentinula edodes*) mycelial extract fractions containing polyphenols for pharmaceuticals and foods)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 45 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:443243 CAPLUS
 DOCUMENT NUMBER: 142:469335
 TITLE: 5-hydroxymethylfurfural pharmaceuticals for prevention of degenerative nervous system disease and cognition disorders
 INVENTOR(S): Li, Lin; Zhang, Lan; Chu, Jin
 PATENT ASSIGNEE(S): Xuanwu Hospital of Capital University of Medical Science, Peop. Rep. China
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| CN 1504188 | A | 20040616 | CN 2002-153732 | 20021203 |
| PRIORITY APPLN. INFO.: | | | CN 2002-153732 | 20021203 |

AB The invention relates to the use of 5-hydroxymethyl-2-furfural or its derivative in preparing pharmaceuticals and health products for preventing or treating nerve retrogression diseases or cognition impairment.

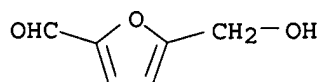
IT 67-47-0, 5-Hydroxymethylfurfural
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (hydroxymethylfurfural pharmaceuticals for prevention of

10/531,714

degenerative nervous system disease and cognition disorders)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 46 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:369236 CAPLUS

DOCUMENT NUMBER: 142:430124

TITLE: Preparation of 3-azabicyclo[3.1.0]hexane derivatives as glycine transporter inhibitors for enhancing cognition and treating psychoses

INVENTOR(S): Lowe, John A.; Mchardy, Stan

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

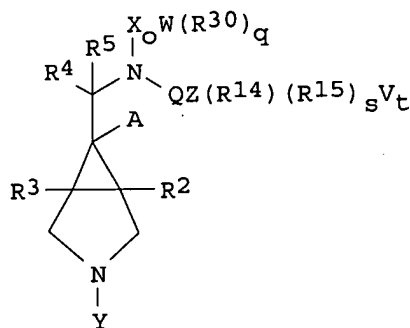
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2005037216 | A2 | 20050428 | WO 2004-US34083 | 20041014 |
| WO 2005037216 | A3 | 20050804 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2004281794 | A1 | 20050428 | AU 2004-281794 | 20041014 |
| CA 2542279 | A1 | 20050428 | CA 2004-2542279 | 20041014 |
| US 2005096375 | A1 | 20050505 | US 2004-964931 | 20041014 |
| EP 1680124 | A2 | 20060719 | EP 2004-795270 | 20041014 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | |
| CN 1867338 | A | 20061122 | CN 2004-80030044 | 20041014 |
| BR 2004015356 | A | 20061212 | BR 2004-15356 | 20041014 |
| JP 2007508374 | T | 20070405 | JP 2006-535348 | 20041014 |
| IN 2006DN01426 | A | 20070810 | IN 2006-DN1426 | 20060316 |
| MX 2006PA04279 | A | 20060628 | MX 2006-PA4279 | 20060417 |
| NO 2006002193 | A | 20060515 | NO 2006-2193 | 20060515 |
| PRIORITY APPLN. INFO.: | | | US 2003-510846P | P 20031014 |
| | | | WO 2004-US34083 | W 20041014 |

OTHER SOURCE(S): CASREACT 142:430124; MARPAT 142:430124

GI

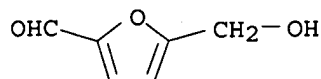


I

AB The present invention relates to substituted bicyclic [3.1.0]amines (shown as I; variables defined below; e.g. thiophene-2-carboxylic acid N-[(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide (II)), their pharmaceutically acceptable salts, pharmaceutical compns. thereof, and their use (no data) for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. Compds. of the invention analyzed by an assay for their activity in inhibiting glycine reuptake in synaptosomes have IC50 values more potent than 10 μ M; no values for individual examples of I are given. For I: y = H or (R100)k-R1-(R6)m; k = 0-1; l = 0-3; m = 1-3; n = 0-4; o = 0-1; p = 0-3; q = 0-4; r = 1-2; s = 0-4; t = 0-1; u = 1-3; v = 1-3; R100 is -CH2-, -CH(C1-C3)alkyl-, -C(O)- or -SO2-. R1 is -(C1-C6)alkyl, -(C3-C8)cycloalkyl, -(4 to 7 membered) heterocycloalkyl, -(CH2)1-(C6-C10 aryl) or -(5 to 10 membered) heteroaryl, or (5 to 10 membered) tetrahydroheteroaryl; each R6 = H, halo, -(C1-C6) alkyl-B, (C1-C7) alkoxy-D, (C2-C4)alkenoxy, (C1-C6)alkyl-OH, -OH, CN, -NO2, -CR7R8R9, -NR20R21, -NHCOalkyl(C1-C3), NHSO2alkyl(C1-C3), C(O)OR22, -R23C(O)OR22, -C(O)NH2, phenyl-E, phenoxy-F, morpholine, -NR20R21, aryl, heteroaryl, -SR24, and -SO2R25; B and D = H, OH, Ph, di-Ph or trifluoro; E and F = H, alkyl, or halo. R2 and R3 = H or (C1-C3)alkyl; R4 and R5 = H or (C1-C3)alkyl; or R4 and R5 taken together form a double bond to an O to form (C:O), or R4 and R5 are connected with 2 to 4 C atoms to form a 3-5 member carbocyclic ring; A is H or (C1-C3)alkyl-(R28)n; R28 = (C1-C3)alkoxy, -OH, -NR12R13 or -NHC(O)(C1-C4)alkyl; X is a bond, -CH2(R29)p, -C(O) or -SO2; R29 is -(C1-C3)alkyl; W is alkyl, -(C3-C6)cycloalkyl, -(3 to 7 membered) heterocycloalkyl, -(3 to 7 membered) heterocycloalkyl with 1 or 2 C:O groups, Ph, or -(5 to 7 member) heteroaryl or heterocyclic; R30 is -(C1-C4)alkyl, -(C1-C3)alkoxy, CN, -F, -Cl, -Br, -I, -NR18R19, -NHC(O)R18, -SCH3 or -C(O)CH3. Q is a bond, -CH(R31)r, -C(O) or SO2; R31 = H or (C1-C3)alkyl; Z is -(C1-C8)alkyl, -(C3-C8)cycloalkyl, -(4 to 8 member) heterocycloalkyl, Ph or -(5 to 7 membered) heteroaryl or heterocyclic; R14 is F, Cl, Br, I, V, H, -NR16R17, -OR16, -C(O)NR16R17, -(SO2)NR16R17, or NR32C:O-R33; R15 is -(C1-C3)alkyl, -(C1-C3)alkoxy, -F, -Br, -Cl, -I -OH or CN; V is -(C3-C8)cycloalkyl, -(C1-C5)alkyl, (5 to 7 membered) heterocycloalkyl, (5 to 7 membered)heterocycloalkyl substituted with 1 or 2 C:O groups or 1, 2, or 3-(C1-C5)alkyl groups; addnl. details are given in the claims. Although the methods of preparation are not claimed, 6 example preps. are included. For example, II was prepared in 5 steps starting from (3-azabicyclo[3.1.0]hex-6-yl)methanol hydrochloride and involving 6-hydroxymethyl-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl]amino]methyl]-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl] [(thien-2-yl)carbonyl]amino]methyl]-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester and thiophene-2-carboxylic acid N-[(3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide trifluoroacetate as intermediates.

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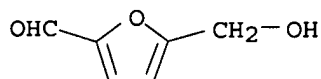
IT 67-47-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-azabicyclo[3.1.0]hexane derivs. as glycine transporter inhibitors)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 47 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:119536 CAPLUS
DOCUMENT NUMBER: 143:77296
TITLE: Changes of the constituents in the Rehmanniae Radix Preparata during processing
AUTHOR(S): Lee, Chong-Ki; Seo, Jung-Mi
CORPORATE SOURCE: Dept. of Medical Management, Chodang University, Jeonnam, 534-701, S. Korea
SOURCE: Han'guk Sikip'um Yongyang Kwahak Hoechi (2004), 33(10), 1748-1752
CODEN: HSYHFB; ISSN: 1226-3311
PUBLISHER: Korean Society of Food Science and Nutrition
DOCUMENT TYPE: Journal
LANGUAGE: Korean

AB This study was performed to obtain the good processing in the Rehmanniae Radix Preparata. The contents of the Rehmanniae Radix and the Rehmanniae Radix Preparata produced through different processes were analyzed in the 5-hydroxymethyl-2-furaldehyde (5-HMF), sugar, total nitrogen, crude lipid and ash. 5-HMF was not detected in the Rehmanniae Radix, but detected in the Rehmanniae Radix Preparata. 5-HMF content was increased gradually with processing times (1-9 times) and increased expressly in the Rehmanniae Radix Preparata steamed for 7 times. Sucrose, fructose and glucose were contained in the Rehmanniae Radix, but sucrose was not detected and fructose and glucose were increased largely in the Rehmanniae Radix Preparata steamed for 1 time. Fructose and glucose were decreased gradually with processing times (2-9 times), but the gap of decrease was insignificant. Total nitrogen was changed slightly and crude lipid was decreased slowly with processing times. The ash was suitable to KPVIII rules (less than 6.0%). From this anal. we found out the content of 5-HMF from Rehmanniae Radix Preparata steamed more than 7 times was suitable to KPVIII rules (more than 0.1%).

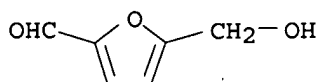
IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(Rehmanniae Radix Preparata constituent during processing)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 48 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:997434 CAPLUS
DOCUMENT NUMBER: 142:232855
TITLE: Hypotensive and toxicological study of citric acid and other constituents from Tagetes patula roots

10/531,714

AUTHOR(S): Saleem, Rubeena; Ahmad, Mohammad; Naz, Aneela;
Siddiqui, Humaira; Ahmad, Syed Iqbal; Faizi, Shaheen
CORPORATE SOURCE: Dr. HMI Institute of Pharmacology and Herbal Sciences,
Hamdard University, Karachi, 74600, Pak.
SOURCE: Archives of Pharmacal Research (2004), 27(10),
1037-1042
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Study of the effects of the methanolic extract of *Tagetes patula* roots on
blood pressure led to the isolation of well known citric (1) and malic
acid (7) as hypotensive, and pyridine hydrochloride (4) as hypertensive
constituents of the plant along with a new constituent, 2-hydroxy,
5-hydroxymethyl furan (9). Citric acid and malic acid caused 71% and 43%
fall in Mean Arterial Blood Pressure (MABP) of rats at the doses of 15
mg/kg and 30mg/kg resp. while pyridine hydrochloride produced 34% rise in
the MABP of rats at the dose of 30mg/kg. LD50 and LD100 of citric acid in
mice have been determined as 545 mg/kg and 1000 mg/kg, resp.
IT 67-47-0P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological
activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USES (Uses)
(hypotensive and toxicol. study of citric acid and other constituents
from *Tagetes patula* roots)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

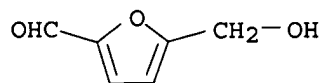
L3 ANSWER 49 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:976915 CAPLUS
DOCUMENT NUMBER: 142:140739
TITLE: Flash gas chromatography for analysis of volatile
compounds from *Houttuynia cordata* Thunb
AUTHOR(S): Qi, Meiling; Ge, Xiaoxia; Liang, Minmin; Fu, Ruonong
CORPORATE SOURCE: Department of Chemistry, School of Science, Beijing
Institute of Technology, Zhongguancun, Beijing,
100081, Peop. Rep. China
SOURCE: Analytica Chimica Acta (2004), 527(1), 69-72
CODEN: ACACAM; ISSN: 0003-2670
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This paper describes a novel anal. method, flash gas chromatog. (FGC), for
the anal. of volatile compds. from *Houttuynia cordata* Thunb. This method
does not demand time-consuming extraction process. The ground powder of the
plant material can be directly applied for the anal. and only a few
milligrams of sample are needed. The identification of the components was
made by FGC-MS. The results between FGC and ordinary GC (using the extracted
essential oil as sample) were compared and found that FGC offered a
similar number and types of the components with GC. FGC is a novel and
feasible method for the quality control of traditional Chinese medicines
(TCMs)..
IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde
RL: ANT (Analyte); ANST (Analytical study)

10/531,714

(flash gas chromatog. for anal. of volatile compds. from Houttuynia cordata)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 50 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:451668 CAPLUS

DOCUMENT NUMBER: 141:23213

TITLE: Preparation of 3,4-di-substituted cyclobutene-1,2-diones as CXC-chemokine receptor ligands

INVENTOR(S): Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Biju, Purakkattile J.; Nelson, Kingsley H.; Rokosz, Laura L.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 331 pp., Cont.-in-part of U.S. Ser. No. 208,412.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| US 2004106794 | A1 | 20040603 | US 2002-241326 | 20020911 |
| CN 1990457 | A | 20070704 | CN 2006-10137409 | 20020415 |
| EP 1818325 | A2 | 20070815 | EP 2007-10711 | 20020415 |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI | | | | |
| US 2004097547 | A1 | 20040520 | US 2002-208412 | 20020730 |
| CA 2496676 | A1 | 20040205 | CA 2003-2496676 | 20030730 |
| WO 2004011418 | A1 | 20040205 | WO 2003-US23785 | 20030730 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003259302 | A1 | 20040216 | AU 2003-259302 | 20030730 |
| US 2004147559 | A1 | 20040729 | US 2003-630258 | 20030730 |
| US 7132445 | B2 | 20061107 | | |
| EP 1539678 | A1 | 20050615 | EP 2003-772075 | 20030730 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003013109 | A | 20050621 | BR 2003-13109 | 20030730 |
| JP 2005534684 | T | 20051117 | JP 2004-524185 | 20030730 |
| CN 1723194 | A | 20060118 | CN 2003-823160 | 20030730 |
| MX 2005PA01274 | A | 20050908 | MX 2005-PA1274 | 20050131 |
| NO 2005001036 | A | 20050420 | NO 2005-1036 | 20050225 |

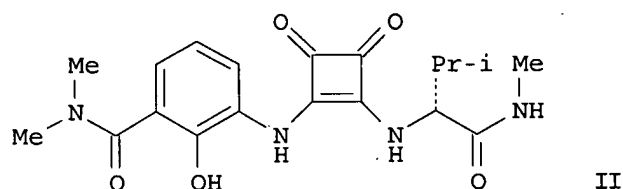
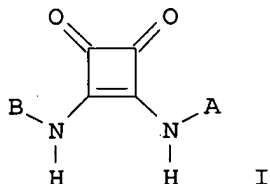
10/531,714

US 2007021494
PRIORITY APPLN. INFO.:

A1 20070125

| | |
|-----------------|-------------|
| US 2006-500739 | 20060808 |
| US 2001-284026P | P 20010416 |
| US 2002-122841 | A2 20020415 |
| US 2002-208412 | A2 20020730 |
| CN 2002-811979 | A3 20020415 |
| EP 2002-739172 | A3 20020415 |
| US 2002-241326 | A 20020911 |
| US 2003-630258 | A3 20030730 |
| WO 2003-US23785 | W 20030730 |

OTHER SOURCE(S): MARPAT 141:23213
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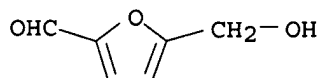
AB Title compds. I [A = (un)substituted heterocycle, heterocyclalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un)substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino)(ethoxy)cyclobutenedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC₅₀ value of < 20 μ M in CXCR1 SPA assay and < 5 μ M in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 51 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:448180 CAPLUS

DOCUMENT NUMBER: 141:199446

TITLE: Phenolic and furan type compounds isolated from
Gastrodia elata and their anti-platelet effects

AUTHOR(S): Pyo, Mi Kyung; Jin, Jing Ling; Koo, Yean Kyoungh;

10/531,714

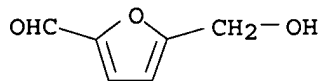
Yun-Choi, Hye Sook
CORPORATE SOURCE: Natural Products Research Institute, Seoul National
University, Seoul, 110-460, S. Korea
SOURCE: Archives of Pharmacal Research (2004), 27(4), 381-385
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Nine phenolic (1.apprx.9) and two furan type (10, 11) compds., were isolated from the methanolic extract of the tuber of *Gastrodia elata* Blume (Orchidaceae) in the course of continuing search for platelet anti-aggregating plant components. Compound 1 was identified as 4,4'-dihydroxybenzyl sulfone, a novel compound for the best of our knowledge. Compound 10, 5-hydroxymethyl-2-furancarboxaldehyde, was isolated for the first time from this plant. Compound 1 (IC₅₀; 83 µM) was about four times more inhibitory to U46619 induced aggregation than ASA (IC₅₀; 340 µM). Compound 9, 4,4'-dihydroxy-dibenzylether, (IC₅₀; 5 µM, 3 µM and 33 µM, resp.) was 10-80 fold more potent than ASA (IC₅₀; 420 µM, 53 µM and 340 µM resp.) to collagen, epinephrine and U46619 induced aggregation, although it is less active than ASA to AA induced aggregation.

IT 67-47-0P, 5-Hydroxymethyl-2-furancarboxaldehyde
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(antiplatelet activity of phenolic and furan type compds. isolated from *Gastrodia elata*)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:414638 CAPLUS

DOCUMENT NUMBER: 140:406571

TITLE: Preparation of 3,4-di-substituted cyclobutene-1,2-diones as CXC-chemokine receptor ligands

INVENTOR(S): Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Nelson, Kingsley H.; Rokosz, Laura L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 308 pp., Cont.-in-part of U.S. Ser. No. 122,841.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|------------------|----------|
| US 2004097547 | A1 | 20040520 | US 2002-208412 | 20020730 |
| CN 1990457 | A | 20070704 | CN 2006-10137409 | 20020415 |
| EP 1818325 | A2 | 20070815 | EP 2007-10711 | 20020415 |

R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,
 NL, PT, SE, TR, AL, LT, LV, MK, RO, SI

US 2004106794 A1 20040603 US 2002-241326 20020911
 CA 2496676 A1 20040205 CA 2003-2496676 20030730
 WO 2004011418 A1 20040205 WO 2003-US23785 20030730

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
 ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
 MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
 SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

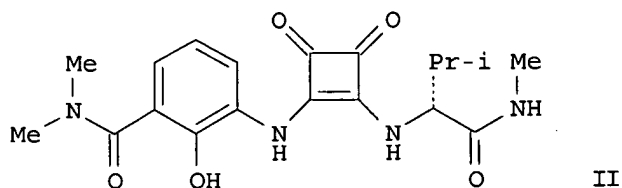
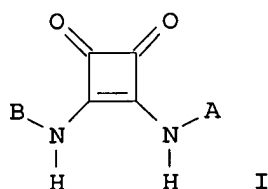
AU 2003259302 A1 20040216 AU 2003-259302 20030730
 US 2004147559 A1 20040729 US 2003-630258 20030730
 US 7132445 B2 20061107
 EP 1539678 A1 20050615 EP 2003-772075 20030730

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003013109 A 20050621 BR 2003-13109 20030730
 JP 2005534684 T 20051117 JP 2004-524185 20030730
 CN 1723194 A 20060118 CN 2003-823160 20030730
 MX 2005PA01274 A 20050908 MX 2005-PA1274 20050131
 IN 2005CN00263 A 20070406 IN 2005-CN263 20050224
 NO 2005001036 A 20050420 NO 2005-1036 20050225
 US 2007021494 A1 20070125 US 2006-500739 20060808

PRIORITY APPLN. INFO.: US 2001-284026P P 20010416
 US 2002-122841 A2 20020415
 CN 2002-811979 A3 20020415
 EP 2002-739172 A3 20020415
 US 2002-208412 A2 20020730
 US 2002-241326 A 20020911
 US 2003-630258 A3 20030730
 WO 2003-US23785 W 20030730

OTHER SOURCE(S): MARPAT 140:406571
 GI



AB Title compds. I [A = (un)substituted heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un)substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by

substitution of (dimethylaminocarbonylhydroxyphenylamino) (ethoxy) cyclobutenedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC₅₀ value of < 20 µM in CXCR1 SPA assay and < 5 µM in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

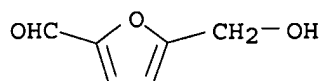
IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 53 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:370917 CAPLUS

DOCUMENT NUMBER: 140:391189

TITLE: Preparation of furan derivatives for treatment of osteoporosis

INVENTOR(S): Kim, Jung-Keun; Kim, Se-Won; Oh, Kwi-Ok; Ko, Seon Yle; Kim, Jong Yeo; Lee, Byung-Eui; Kim, Bum Tae; Lee, Yeon Soo; Min, Yong Ki; Park, No Kyun

PATENT ASSIGNEE(S): Oscotec Inc., S. Korea; Korea Research Institute of Chemical Technology

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

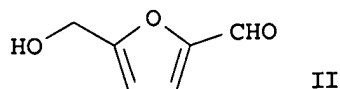
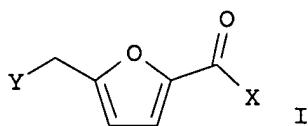
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004037804 | A1 | 20040506 | WO 2003-KR2231 | 20031022 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| KR 2004035559 | A | 20040429 | KR 2003-72536 | 20031017 |
| AU 2003273096 | A1 | 20040513 | AU 2003-273096 | 20031022 |
| JP 2006515276 | T | 20060525 | JP 2004-546535 | 20031022 |
| US 2006004088 | A1 | 20060105 | US 2005-531714 | 20050418 |
| KR 2005080452 | A | 20050812 | KR 2005-56454 | 20050628 |
| PRIORITY APPLN. INFO.: | | | KR 2002-64670 | A 20021022 |
| | | | KR 2003-72536 | A 20031017 |
| | | | WO 2003-KR2231 | W 20031022 |

OTHER SOURCE(S): MARPAT 140:391189

GI

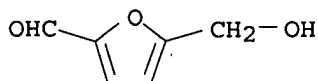


AB The title compds. I [wherein X = H, (un)substituted OH, or NH₂; Y = SC(=NH)NH₂, (un)substituted OH, or NH₂] or pharmaceutically acceptable salts thereof are prepd for the treatment of bone disease. For example, the compound II was obtained by extraction from a plant rehmannia glutinosa libosch. I showed strong effect on bone proliferation with the side effect reduced. I also showed high inhibition rate against osteoclast formation at different concns. Formulations containing I as an active ingredient were also described.

IT 67-47-0P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of furan derivs. for treatment of osteoporosis)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 54 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:333705 CAPLUS

DOCUMENT NUMBER: 140:357355

TITLE: Preparation of diaminothiadiaazole dioxides and monoxides as CXC- and CC-chemokine receptor ligands

INVENTOR(S): Taveras, Arthur G.; Chao, Jianhua; Biju, Purakkattle J.; Yu, Younong; Fine, Jay S.; Hipkin, William; Aki, Cynthia J.; Merritt, J. Robert; Li, Ge; Baldwin, John J.; Lai, Gaifa; Wu, Minglang; Hecker, Evan A.

PATENT ASSIGNEE(S): Pharmacoopia, Inc., USA; Schering Corporation; Pharmacoopia Drug Discovery, Inc.

SOURCE: PCT Int. Appl., 540 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

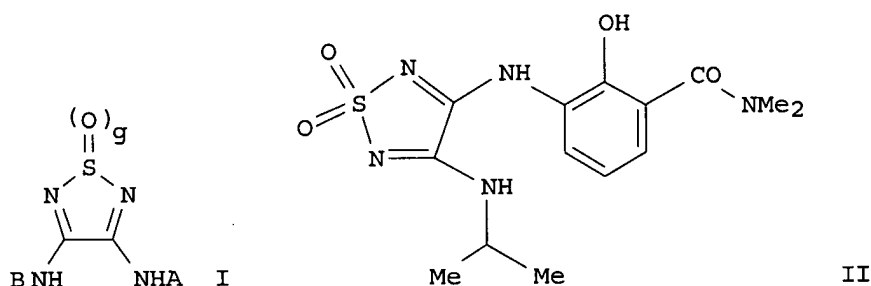
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004033440 | A1 | 20040422 | WO 2003-US31707 | 20031007 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

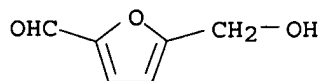
| | | | | |
|--|----|-------------------|------------------|-------------|
| CA 2501535 | A1 | 20040422 | CA 2003-2501535 | 20031007 |
| AU 2003288922 | A1 | 20040504 | AU 2003-288922 | 20031007 |
| US 2004186142 | A1 | 20040923 | US 2003-680393 | 20031007 |
| EP 1551818 | A1 | 20050713 | EP 2003-781311 | 20031007 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1720240 | A | 20060111 | CN 2003-80105139 | 20031007 |
| JP 2006508079 | T | 20060309 | JP 2004-543449 | 20031007 |
| US 2007264230 | A1 | 20071115 | US 2007-651128 | 20070109 |
| PRIORITY APPLN. INFO.: | | | US 2002-417371P | P 20021009 |
| | | | US 2003-680393 | B1 20031007 |
| | | | WO 2003-US31707 | W 20031007 |
| OTHER SOURCE(S): | | MARPAT 140:357355 | | |
| GI | | | | |



AB Disclosed are diaminothiadiazaole mono- and dioxides (shown as I; e.g. II) and the pharmaceutically acceptable salts and solvates thereof. Examples of substituent A include heteroaryl, aryl, heterocycloalkyl, cycloalkyl, aryl, alkynyl, alkenyl, aminoalkyl, alkyl or amino; examples of substituent B include aryl and heteroaryl; g = 1, 2. Also disclosed is a method of treating a chemokine mediated diseases, such as, cancer, angiogenesis, angiogenic ocular diseases, pulmonary diseases, multiple sclerosis, rheumatoid arthritis, osteoarthritis, stroke and cardiac reperfusion injury, acute pain, acute and chronic inflammatory pain, and neuropathic pain using I. Although the methods of preparation are not claimed, hundreds of example preps. and/or characterization data are included. For example, II was prepared in 31% yield from the 4-methoxy analog and isopropylamine in the presence of DIEA in MeOH; the 4-methoxy analog was prepared from the dimethoxy analog and N,N-dimethyl-3-amino-2-hydroxybenzamide in 99% crude yield. Antagonist activities of some examples of I towards CXCR1, CXCR2 and CCR7 are given.

IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of diaminothiadiazaole dioxides and monoxides as CXC- and CC-chemokine receptor ligands)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

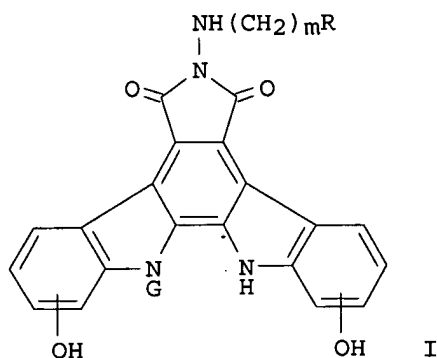


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/531,714

L3 ANSWER 55 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:191117 CAPLUS
DOCUMENT NUMBER: 140:236007
TITLE: Preparation of indolopyrrolocarbazole derivatives
having glucopyranosyl group and antitumor agents
containing them
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu;
Ohkubo, Mitsuru; Suda, Hiroyuki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

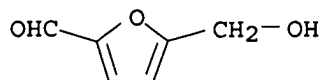
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|-----------------|------------|
| US 6703373 | B1 | 20040309 | US 2002-70825 | 20020311 |
| WO 2004083228 | A1 | 20040930 | WO 1999-JP4911 | 19990910 |
| W: US | | | | |
| PRIORITY APPLN. INFO.: | | | WO 1999-JP4911 | W 19990910 |
| OTHER SOURCE(S): | MARPAT 140:236007 | | | |
| GI | | | | |



AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β -D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH₂)_mR = CH₂C₆H₃(OH)_{2-3,5}] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

IT 67-47-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of glucopyranosylindolopyrrolocarbazole derivs. as antitumor agents)

RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 56 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:162689 CAPLUS

DOCUMENT NUMBER: 140:199327

TITLE: Preparation of imidazopyridines as Itk kinase inhibitors for use against asthma and allergic rhinitis

INVENTOR(S): Johansson, Henrik; Lawitz, Karolina; Nikitidis, Grigorios; Sjoe, Peter; Storm, Peter

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

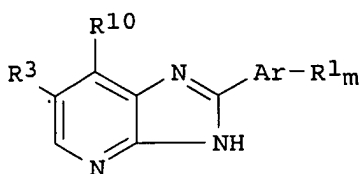
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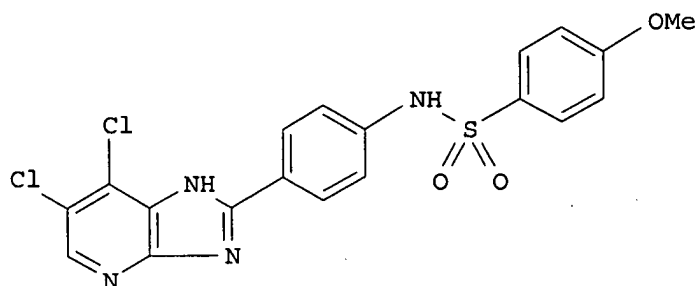
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004016611 | A1 | 20040226 | WO 2003-SE1279 | 20030813 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2495511 | A1 | 20040226 | CA 2003-2495511 | 20030813 |
| AU 2003251272 | A1 | 20040303 | AU 2003-251272 | 20030813 |
| EP 1539759 | A1 | 20050615 | EP 2003-788216 | 20030813 |
| EP 1539759 | B1 | 20070815 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003013461 | A | 20050705 | BR 2003-13461 | 20030813 |
| CN 1684964 | A | 20051019 | CN 2003-823193 | 20030813 |
| JP 2006503010 | T | 20060126 | JP 2004-529004 | 20030813 |
| NZ 538156 | A | 20060929 | NZ 2003-538156 | 20030813 |
| AT 370138 | T | 20070915 | AT 2003-788216 | 20030813 |
| ZA 2005000887 | A | 20060222 | ZA 2005-887 | 20050131 |
| MX 2005PA01581 | A | 20050425 | MX 2005-PA1581 | 20050209 |
| US 2005261333 | A1 | 20051124 | US 2005-524204 | 20050210 |
| NO 2005001265 | A | 20050512 | NO 2005-1265 | 20050311 |
| PRIORITY APPLN. INFO.: | | | SE 2002-2462 | A 20020814 |
| | | | WO 2003-SE1279 | W 20030813 |

OTHER SOURCE(S): MARPAT 140:199327

GI



I



II

AB The use of imidazopyridines (shown as I; variables defined below; e.g. II trifluoroacetate) and pharmaceutically acceptable salts thereof in the manufacture of a medicament for the treatment or prophylaxis of diseases or conditions in which inhibition of kinase Itk activity is beneficial is disclosed. Certain novel compds. I, together with processes for their preparation, compns. containing them and their use in therapy are also disclosed.

For I: R3 = halogen, CN, C1-3-alkyl or C1-3-alkoxy; Ar = Ph, a 5-6-membered heteroarom. ring or an indole ring, said heteroarom. ring incorporating 1 to 3 O, N and S; R1 = H, halogen, CN, C1-6-alkyl, NO2, SO2Me, C1-6-alkynyl, CH2OH, OR2, (CH2)_nNR4R5 or Ph (un)substituted by NH2; m = 1-2 and when m = 2, each R1 may be selected independently; n = 0 or 1; R10 = H, halogen, CN, C1-4-alkyl, C1-4-alkoxy, NR14R15 or a group -X-Y-Z (X = O, S, a bond or NR16 wherein R16 = H or C1-4-alkyl; Y = C1-4-alkyl or a bond; Z = Ph, naphthyl or a 5- or 6-membered heteroarom. ring, a 5- or 6-membered saturated heterocyclic ring containing 1-2 heteroatoms = O, N and

S, or

C3-6-cycloalkyl); addnl. details are given in the claims. Methods of preparation are claimed and >250 example preps. of I are included. For example, II was prepared by condensing 4-(6,7-dichloro-1H-imidazo[4,5-b]pyridin-2-yl)aniline with 4-methoxybenzenesulfonyl chloride in pyridine. In another example, 5-bromo-2,3-diaminopyridine was cyclized with 4-hydroxybenzaldehyde in DMF in the presence of iron(III) chloride hexahydrate to give 65% 4-(6-bromo-3H-imidazo[4,5-b]pyridin-2-yl)phenol. In another example, N-benzyl-5-(6-bromo-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine bis(trifluoroacetate) was prepared in 3 steps starting with cyclization of 2,3-diamino-5-bromopyridine with 6-chloronicotinic acid in the presence of polyphosphoric acid (53%) followed by chlorination using POCl3 to give 44% 6-bromo-2-(6-chloropyridin-3-yl)-3H-imidazo[4,5-b]pyridine followed by condensation with benzylamine (51%). Compds. of Examples 1 to 278 gave IC50 values for inhibition of Itk activity of <25 μM, e.g. 0.26 μM for II.

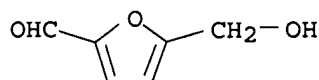
IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of imidazopyridines as Itk kinase inhibitors for use against asthma and allergic rhinitis)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 57 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:91375 CAPLUS

DOCUMENT NUMBER: 140:259211

TITLE: Identification and determination of the major constituents in traditional Chinese medicine Si-Wu-Tang by HPLC coupled with DAD and ESI-MS

AUTHOR(S): Zhang, Haijiang; Shen, Peng; Cheng, Yiyu

CORPORATE SOURCE: Pharmaceutical Informatics Institute, College of Pharmaceutical Sciences, Zhejiang University, Hangzhou, 310027, Peop. Rep. China

SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2004), 34(3), 705-713

CODEN: JPBADA; ISSN: 0731-7085

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An HPLC/DAD/ESI/MS method was established for the qual. and quant. anal. of the major constituents in Si-Wu-Tang, a traditional Chinese medicine formula. Based on the baseline chromatog. separation of most constituents in Si-Wu-Tang on hypersil C18 column with water-acetonitrile-acetic acid as mobile phase, 12 compds. including phenolic acids, phthalides, and terpene glycoside were identified by online ESI-MS and the comparison with literature data and standard samples. Most of these compds. derive from Paeonia lactiflora and Ligusticum chuanxiong. Seven of them were quantitated by HPLC coupled with DAD. The validation of the method, including sensitivity, linearity, repeatability, recovery, were examined. The linear calibration curve were acquired with $R^2 > 0.99$ and LOD ($S/N = 3$) were between 0.75 and 5 ng. The repeatability was evaluated by intra- and inter-day assays and R.S.D. value were within $\pm 2.38\%$. The recovery rates of selected compds. were in the range of 96.64-105.21% with R.S.D. less than 3.22%.

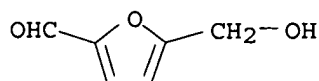
IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: ANT (Analyte); ANST (Analytical study)

(determination of major constituents in Chinese medicine Si-Wu-Tang by HPLC coupled with DAD and ESI-MS)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 58 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:80693 CAPLUS

DOCUMENT NUMBER: 140:128434

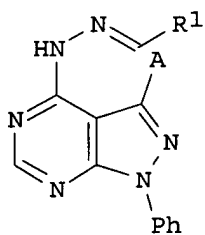
TITLE: Preparation of pyrazolopyrimidines as kinase inhibitors for the treatment of type 2 diabetes

INVENTOR(S): Brown, Matthew Lee; Cheung, Mui; Dickerson, Scott

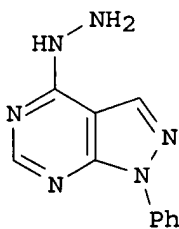
Howard; Drewry, David Harold; Lackey, Karen Elizabeth;

Peat, Andrew James; Thomson, Stephen Andrew; Veal,
 James Marvin; Wilson, Jayme Lyn Roark
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 85 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

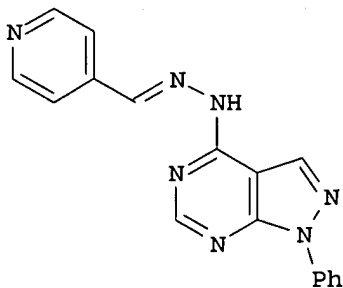
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004009596 | A2 | 20040129 | WO 2003-US22717 | 20030721 |
| WO 2004009596 | A3 | 20040318 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2003254051 | A1 | 20040209 | AU 2003-254051 | 20030721 |
| EP 1534389 | A2 | 20050601 | EP 2003-765826 | 20030721 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| JP 2006514918 | T | 20060518 | JP 2004-523201 | 20030721 |
| US 2005267133 | A1 | 20051201 | US 2005-521910 | 20050120 |
| PRIORITY APPLN. INFO.: | | | US 2002-397898P | P 20020723 |
| | | | WO 2003-US22717 | W 20030721 |
| OTHER SOURCE(S): | MARPAT 140:128434 | | | |
| GI | | | | |



I



II

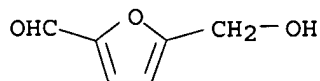


III

AB Title compds. I [A = H, alkyl, aryl; R1 = substituted Ph, e.g., NR3R4, SO2R8, COR17, etc.; R3, R4 = H, alkyl, alkylsulfonyl, etc.; R8 = alkyl, NR9R10; R9, R10 = H, alkyl, (CH2)xNR6R7; R6, R7 = H, alkyl or combined to form 5-6 membered ring; x = 0-3; R17 = OH, alkoxy, NR18R19; R18, R19 = H, alkyl, (CH2)xR20; R20 = (un)substituted alkyl sulfonyl, OH] and their pharmaceutically acceptable salts were prepared For example, condensation of hydrazone II and isonicotinaldehyde afforded pyrazolopyrimidine III in 63% yield. In GSK-3 kinase inhibition assays, 61-examples of compds. I exhibited pIC50 values ranging from 5.0- >7.0, e.g., the pIC50 value of pyrazolopyrimidine III was 6.0-7.0. Compds. I are claimed useful for the treatment of type 2 diabetes, hyperlipidemia, obesity, etc.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of pyrazolopyrimidines as kinase inhibitors for the treatment of type 2 diabetes)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 59 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:2688 CAPLUS
 DOCUMENT NUMBER: 140:65204
 TITLE: Composition for the treatment of skin diseases and for improving hair growth
 INVENTOR(S): Gardovic, Milenka
 PATENT ASSIGNEE(S): Swed.
 SOURCE: PCT Int. Appl., 19 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004000305 | A1 | 20031231 | WO 2003-SE1029 | 20030618 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003237742 | A1 | 20040106 | AU 2003-237742 | 20030618 |
| PRIORITY APPLN. INFO.: | | | SE 2002-1880 | A 20020619 |
| | | | WO 2003-SE1029 | W 20030618 |

AB The present invention is related to a composition comprising at least one of furfural, furfuryl alc. and, 5-hydroxymethylfurfural and also at least one of 2-methoxy-p-cresol, 4-hydroxy-3-methoxybenzaldehyde and isoeugenol, and especially a composition comprising all of these compds. Composition according to the above may be used as a pharmaceutical, for example for the

treatment of skin diseases and for the improvement of hair growth. The invention relates also to a process for the treatment of the conditions mentioned above and the use of a composition mentioned above for the production of

a pharmaceutical composition for the treatment of skin diseases and for the improvement of hair growth. A hair preparation contained furfural 8.0, furfuryl alc. 16.0, 5-hydroxymethylfurfural 21.7, 2-methoxy-p-cresol 21.7, 4-hydroxy-3-methoxybenzaldehyde 10.9, and isoeugenol 21.7%. The front part of the crown of a 67-yr-old man, who had suffered from substantial loss of hair was greased once per day during three weeks. The result was that brown hair grew out on 60 % of the bald area.

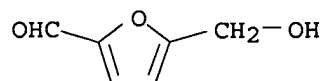
IT 67-47-0, 5-Hydroxymethylfurfural

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)

(composition for treatment of skin diseases and for improving hair growth)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 60 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:502250 CAPLUS

DOCUMENT NUMBER: 140:270725

TITLE: A method of synthesis of 5-hydroxymethylfurfurol ethers, useful in the pharmaceutical and perfume industries, by dehydration of sugars and alcohols

INVENTOR(S): Taraban'ko, V. E.; Chernyak, M. Yu.; Kuznetsov, B. N.

PATENT ASSIGNEE(S): Institut Khimii i Khimicheskoi Tekhnologii SO RAN, Russia

SOURCE: Russ., No pp. given

CODEN: RUXXE7

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|---------------------|-----------------|----------|
| RU 2203279 | C1 | 20030427 | RU 2001-128587 | 20011022 |
| PRIORITY APPLN. INFO.: | | | RU 2001-128587 | 20011022 |
| OTHER SOURCE(S): | | CASREACT 140:270725 | | |

AB The invention relates to technol. of synthesis of 5-hydroxymethylfurfurol ethers [i.e., 5-(hydroxymethyl)furan-2-carboxaldehyde ethers] from sucrose. The end product is synthesized by acid-catalyzed dehydration of sucrose or fructose in a biphasic system, in the presence of sodium bisulfate or a mixture of sodium bisulfate and sulfuric acid as a catalyst, and aliphatic alcs. as an alkylating agent. Advantages of the proposed method include (1) use of low-priced and easily available parent substances (sucrose or fructose) and (2) use of sodium bisulfate instead of expensive BaCO₃. For instance, 5-butoxymethylfurfurol was prepared from sucrose, sodium bisulfate, and butanol, with a yield of 9-10%. The synthesized ethers can be used in the pharmaceutical and perfume industries as raw materials for many syntheses.

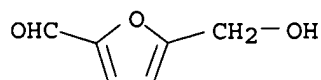
IT 67-47-0P, 5-Hydroxymethylfurfurol

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of hydroxymethylfurfurol ethers via sodium bisulfate-catalyzed dehydration of sucrose or fructose and subsequent etherification of hydroxymethylfurfurol)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



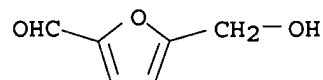
IT 67-47-0DP, 5-Hydroxymethylfurfurol, ether derivs.

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of hydroxymethylfurfurol ethers via sodium bisulfate-catalyzed dehydration of sucrose or fructose and subsequent etherification of hydroxymethylfurfurol)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 61 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:462307 CAPLUS

DOCUMENT NUMBER: 140:47243

TITLE: Studies on the stability of cardioplegic solutions and on their shelf life

AUTHOR(S): Takekuma, Yoh; Yamashita, Yasunori; Iwai, Miwako; Shiga, Hiroyasu; Suda, Noriyuki; Kishino, Satoshi; Miyazaki, Katsumi

CORPORATE SOURCE: Department of Pharmacy, Hokkaido University Hospital, Hokkaido, 060-8648, Japan

SOURCE: Iryo Yakugaku (2003), 29(2), 225-229
CODEN: IYRAA3

PUBLISHER: Nippon Iryo Yakugakkai

DOCUMENT TYPE: Journal

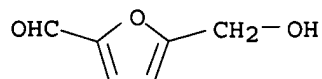
LANGUAGE: Japanese

AB Cardioplegic solution (CPS), a pharmaceutical product manufactured at our hospital, is used for operative myocardial protection. Glucose, one of the elements of CPS, is known to disintegrate into formic acid, levulinic acid and 5-Hydroxymethylfurfural (5-HMF). Accordingly, the stability and their shelf life of CPS were evaluated by pH variation, visual inspection and the amount of 5-HMF. CPS was preserved for 12 mo at room temperature (25°) and at 4°(under room light or in darkness) after autoclaving at 115° and 0.7 kg/cm² for 30 min. The pH of the sample was observed along with a periodical visual inspection. The amount of 5-HMF in the CPS was determined by high-performance liquid chromatog. (HPLC) with

an UV detector at 284 nm. It was found that light had no effect on the production of 5-HMF. The amts. of 5-HMF in the samples preserved at 25°C tended to be greater than those in samples preserved at 4°C. However, it seems that the CPS was relatively stable since the amount of 5-HMF in the CPS was less than 1/40 of the limit noted in the fourteenth revised edition of the Japanese Pharmacopoeia (JPXIV). These findings suggest that a temperature of 4°C is preferable to 25°C for the preservation of CPS and that the CPS remains relatively stable for more than 12 mo.

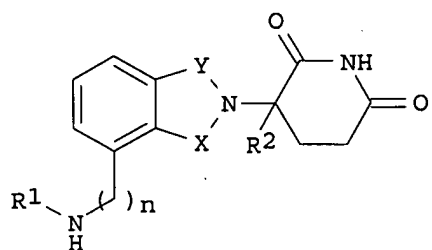
10/531,714

IT 67-47-0, 5-Hydroxymethylfurfural
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(studies on the stability of cardioplegic solns. and on their shelf
life)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

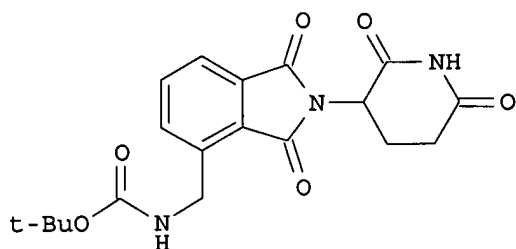


L3 ANSWER 62 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:396458 CAPLUS
DOCUMENT NUMBER: 138:385311
TITLE: Preparation of 2-(2,6-dioxo-3-piperidyl)isoindoline-
1,3-diones, related compounds, and compositions
thereof as TNF- α inhibitors for treatment of
cancer, inflammatory disorders, heart disease, and
related disorders
INVENTOR(S): Robarge, Michael J.; Chen, Roger Shen-Chu; Muller,
George W.; Man, Hon-Wah
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 100 pp., CCont.-in-part of U.S.
Ser. No. 972,487.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|-------------------|----------|-----------------|-------------|
| US 2003096841 | A1 | 20030522 | US 2001-32286 | 20011221 |
| US 7091353 | B2 | 20060815 | | |
| US 2003045552 | A1 | 20030306 | US 2001-972487 | 20011005 |
| AT 352548 | T | 20070215 | AT 2001-997133 | 20011221 |
| EP 1767533 | A1 | 20070328 | EP 2006-17608 | 20011221 |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI | | | | |
| ES 2275758 | T3 | 20070616 | ES 2001-1997133 | 20011221 |
| ZA 2003005759 | A | 20050117 | ZA 2003-5759 | 20030101 |
| US 2006025597 | A1 | 20060202 | US 2005-230448 | 20050921 |
| JP 2006089495 | A | 20060406 | JP 2005-321049 | 20051104 |
| AU 2006200717 | A1 | 20060316 | AU 2006-200717 | 20060221 |
| PRIORITY APPLN. INFO.: | | | US 2000-258372P | P 20001227 |
| | | | US 2001-972487 | A2 20011005 |
| | | | AU 2002-248252 | A3 20011221 |
| | | | EP 2001-997133 | A3 20011221 |
| | | | JP 2002-559408 | A3 20011221 |
| | | | US 2001-32286 | A3 20011221 |
| OTHER SOURCE(S): | MARPAT 138:385311 | | | |
| GI | | | | |



I



II

AB The invention relates to isoindole-imide compds. and pharmaceutically acceptable salts, hydrates, solvates, clathrates, enantiomers, diastereomers, racemates, or mixts. of stereoisomers thereof, pharmaceutical compns. comprising these isoindole-imide compds., and methods for reducing the level of cytokines and their precursors in mammals. In particular, the invention pertains to isoindole-imide compds. that are potent inhibitors of the production of TNF- α in mammals. The isoindole-imides described herein are useful for treating or preventing diseases or disorders in mammals, for example, cancers, such as solid tumors and blood-born tumors; heart disease, such as congestive heart failure; osteoporosis; and genetic, inflammatory; allergic; and autoimmune diseases. Title isoindole-imides I [wherein one of X and Y is CO and the other is CH₂ or CO; R₁ = H, (cyclo)alkyl, alkenyl, alkynyl, benzyl, aryl, alkylheterocycloalkyl, alkylheteroaryl, COR₃, CSR₃, CO₂R₄, alkyl-(NR₆)₂, alkyl-OR₅, alkyl-CO₂R₅, CONHR₃, CSNHR₃, CON(R₃)₂, CSN(R₃)₂, or alkyl-OCOR₅; R₂ = H, benzyl, alkyl, alkenyl, or alkynyl; R₃ = independently (cyclo)alkyl, alkenyl, alkynyl, benzyl, aryl, alkylheterocycloalkyl, alkylheteroaryl, alkyl-N(R₆)₂, alkyl-OR₅, alkyl-CO₂R₅, alkyl-OCOR₅, or CO₂R₅; R₄ = alkyl, alkenyl, alkynyl, alkyl-OR₅, benzyl, aryl, alkylheterocycloalkyl, or alkylheteroaryl; R₅ = alkyl, alkenyl, alkynyl, benzyl, aryl, or heteroaryl; R₆ = independently H, alkyl, alkenyl, alkynyl, benzyl, (hetero)aryl, or alkyl-CO₂R₅; or R₆ groups may join to form a heterocycloalkyl group; n = 0-1; with the proviso that when n = 0, R₁ \neq H; or pharmaceutically acceptable salts, hydrates, solvates, clathrates, enantiomers, diastereomers, racemates, or mixts. of stereoisomers thereof] were prepared for reducing the level of cytokines and their precursors in mammals. In particular, the invention pertains to isoindole-imide compds. that are potent inhibitors of the production of TNF- α (no data). For example, Me 2-(methoxycarbonyl)-3-nitrobenzoate was hydrogenated with 10% Pd/C (87%). The amine was converted to the nitrile by diazonium salt formation effected by treatment with NaNO₃ followed by cyanide formation using classic Sandmeyer procedure (65%). The nitrile was reduced with 10% Pd/C in MeOH and aqueous HCl under hydrogen to afford Me 3-aminomethyl-2-(methoxycarbonyl)benzoate•HCl (90%), which was treated with TEA and then reacted with di-t-Bu dicarbonate to give the carbamate (93%). Cyclization with 3-aminoglutarimide•HCl using diisopropylethylamine in DMF produced II (82%). The 2-(2,6-dioxo-3-piperidyl)isoindoline-1,3-diones and pharmaceutical compns. comprising them are useful for treating or preventing diseases or disorders in mammals, e.g. cancers,

10/531,714

such as solid tumors and blood-born tumors; heart disease, such as congestive heart failure; osteoporosis; and genetic, inflammatory, allergic, and autoimmune diseases (no data).

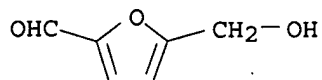
IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of (oxopiperidyl)isoindolinone TNF- α inhibitors by cycloaddn. of aminoglutarimides to carboxybenzoates)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 63 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:319708 CAPLUS

DOCUMENT NUMBER: 138:337984

TITLE: Preparation of bis-heteroaryl alkanes as protein tyrosine phosphatase 1B inhibitors

INVENTOR(S): Mjalli, Adnan M. M.; Shahbaz, Kathy G. J.

PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

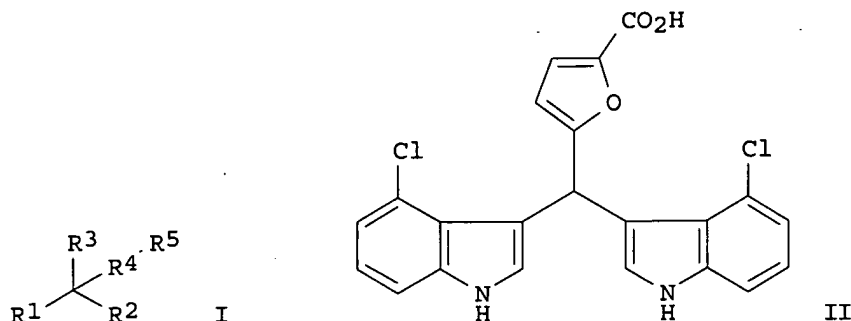
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|-------------|
| WO 2003032982 | A1 | 20030424 | WO 2002-US33517 | 20021018 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2002337912 | A1 | 20030428 | AU 2002-337912 | 20021018 |
| US 2003130335 | A1 | 20030710 | US 2002-273795 | 20021018 |
| US 7022730 | B2 | 20060404 | | |
| EP 1438044 | A1 | 20040721 | EP 2002-773816 | 20021018 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | |
| JP 2005508355 | T | 20050331 | JP 2003-535785 | 20021018 |
| US 2006128784 | A1 | 20060615 | US 2006-345065 | 20060201 |
| PRIORITY APPLN. INFO.: | | | US 2001-348187P | P 20011019 |
| | | | US 2002-273795 | A1 20021018 |
| | | | WO 2002-US33517 | W 20021018 |

OTHER SOURCE(S): MARPAT 138:337984

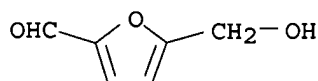
GI



AB Title compds. I [R1-2 = indolyl, etc.; R3 = H, alk(en/yn)yl; R4 = (hetero)arylene; R5 = H, alk(en/yn)yl, (hetero)aryl, etc.] are prepared For instance, bis(4-chloroindol-3-yl)(5-carboxy-2-furyl)methane was prepared in several steps from 4-chloroindole and 5-formylfuran-2-carboxylic acid. Eighteen examples are provided. Compds. of the invention are found to inhibit protein tyrosine phosphatase in the range of 0.01 to 30 μ M. I are useful for the management, treatment, control and adjunct treatment of diseases in mammals mediated by PTPase activity. Such diseases include type I diabetes, type II diabetes, immune dysfunction, AIDS, autoimmunity, glucose intolerance, obesity, cancer, psoriasis, allergic diseases, etc.

IT 67-47-0, 5-Hydroxymethylfurfural
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of bis-indolyl alkanes as protein tyrosine phosphatase 1B inhibitors)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 64 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:52705 CAPLUS
 DOCUMENT NUMBER: 139:173305
 TITLE: Cytotoxicities of metabolites from a Monocillium species
 AUTHOR(S): Khondkar, Proma; Rahman, Mohammad Mukhlesur; Islam, Mohammad Anwarul
 CORPORATE SOURCE: Department of Pharmacy, University of Rajshahi, Rajshahi, 6205, Bangladesh
 SOURCE: Pakistan Journal of Pharmacology (2002), 19(1), 9-12
 CODEN: PJPHEO; ISSN: 0255-7088
 PUBLISHER: Pakistan Journal of Pharmacology
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The cultural broth of a Monocillium species upon extraction with Et acetate afforded an antimicrobial compound, 5-hydroxymethylfurfural (1). Both the Et acetate extract and isolated compound (1) showed strong cytotoxicities in brine shrimp lethality' bioassay. The LC50 values of Et acetate extract and compound 1 were determined graphically and found to be 14.16 μ g/mL and 27.99 μ g/mL, resp.

IT 67-47-0P, 5-Hydroxymethyl furfural
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

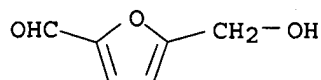
10/531,714

(Uses)

(cytotoxicities of antimicrobial metabolites from a Monocillium species)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 65 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:814089 CAPLUS

DOCUMENT NUMBER: 137:325178

TITLE: Preparation of 3,4-di-substituted cyclobutene-1,2-diones as cxc-chemokine receptor ligands

INVENTOR(S): Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Nelson, Kingsley H.; Rokosz, Laura L.

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.

SOURCE: PCT Int. Appl., 394 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

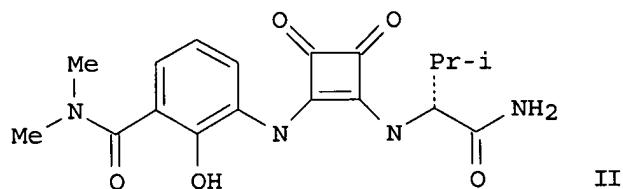
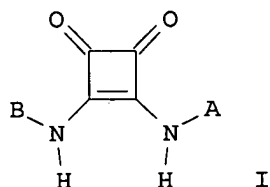
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|------------------|----------|
| WO 2002083624 | A1 | 20021024 | WO 2002-US12681 | 20020415 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2444031 | A1 | 20021024 | CA 2002-2444031 | 20020415 |
| AU 2002311841 | A1 | 20021028 | AU 2002-311841 | 20020415 |
| NZ 529551 | A | 20031219 | NZ 2002-529551 | 20020415 |
| EP 1381590 | A1 | 20040121 | EP 2002-739172 | 20020415 |
| EP 1381590 | B1 | 20070620 | | |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| BR 2002008957 | A | 20040622 | BR 2002-8957 | 20020415 |
| CN 1516687 | A | 20040728 | CN 2002-811979 | 20020415 |
| JP 2004532846 | T | 20041028 | JP 2002-581381 | 20020415 |
| HU 2004001783 | A2 | 20050128 | HU 2004-1783 | 20020415 |
| CN 1990457 | A | 20070704 | CN 2006-10137409 | 20020415 |
| AT 365154 | T | 20070715 | AT 2002-739172 | 20020415 |
| EP 1818325 | A2 | 20070815 | EP 2007-10711 | 20020415 |
| R: | AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI | | | |
| NZ 543869 | A | 20070928 | NZ 2002-543869 | 20020415 |
| ES 2287284 | T3 | 20071216 | ES 2002-2739172 | 20020415 |
| ZA 2003007905 | A | 20050110 | ZA 2003-7905 | 20031009 |

10/531,714

| | | | | |
|------------------------|----|----------|-----------------|-------------|
| NO 2003004612 | A | 20031208 | NO 2003-4612 | 20031015 |
| MX 2003PA09441 | A | 20040212 | MX 2003-PA9441 | 20031015 |
| IN 2003CN01631 | A | 20051125 | IN 2003-CN1631 | 20031015 |
| HK 1057538 | A1 | 20070810 | HK 2004-100477 | 20040121 |
| AU 2006203679 | A1 | 20060914 | AU 2006-203679 | 20060824 |
| IN 2007CN02574 | A | 20071116 | IN 2007-CN2574 | 20070614 |
| PRIORITY APPLN. INFO.: | | | US 2001-284026P | P 20010416 |
| | | | CN 2002-811979 | A3 20020415 |
| | | | EP 2002-739172 | A3 20020415 |
| | | | WO 2002-US12681 | W 20020415 |
| | | | IN 2003-CN1631 | A3 20031015 |

OTHER SOURCE(S): MARPAT 137:325178
GI



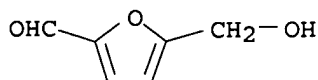
AB Title compds. I [A = (un)substituted heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un)substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino)(ethoxy)cyclobutenedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC₅₀ value of < 20 μ M in CXCR1 SPA assay and < 5 μ M in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 66 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:716267 CAPLUS

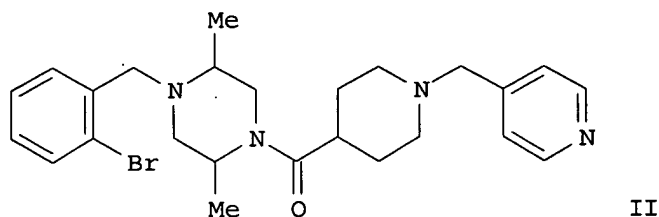
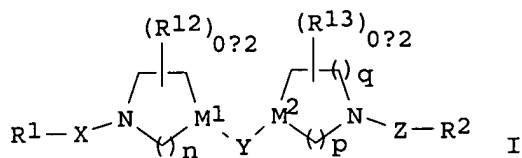
10/531,714

DOCUMENT NUMBER: 137:247716
TITLE: Preparation and use of substituted
piperazine/piperidine derivatives as H receptor
antagonists
INVENTOR(S): Rosenblum, Stuart B.; Zeng, Qingbei; Mutahi, Mwangi
Wa; Aslanian, Robert G.; Ting, Pauline C.; Shih,
Neng-Yang; Solomon, Daniel M.; Cao, Jianhua; Vaccaro,
Henry A.; McCormick, Kevin D.; Baldwin, John J.; Li,
Ge
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

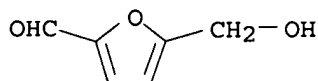
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|--|----------|-----------------|----------|
| WO 2002072570 | A2 | 20020919 | WO 2002-US7106 | 20020311 |
| WO 2002072570 | A3 | 20030306 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2440559 | A1 | 20020919 | CA 2002-2440559 | 20020311 |
| AU 2002244271 | A1 | 20020924 | AU 2002-244271 | 20020311 |
| US 2003109564 | A1 | 20030612 | US 2002-95134 | 20020311 |
| US 6849621 | B2 | 20050201 | | |
| EP 1373251 | A2 | 20040102 | EP 2002-709808 | 20020311 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| CN 1496362 | A | 20040512 | CN 2002-806561 | 20020311 |
| JP 2004520435 | T | 20040708 | JP 2002-571486 | 20020311 |
| MX 2003PA08356 | A | 20031211 | MX 2003-PA8356 | 20030912 |
| US 2005113383 | A1 | 20050526 | US 2004-974329 | 20041027 |
| US 7238688 | B2 | 20070703 | | |

PRIORITY APPLN. INFO.:
US 2001-275417P P 20010313
US 2002-95134 A3 20020311
WO 2002-US7106 W 20020311

OTHER SOURCE(S): MARPAT 137:247716
GI



- AB Title compds. I [R = (hetero)aryl, heterocycloalkyl, alkyl, carboxamido, etc.; X = alkyl, S(O)₂; Y = bond, CO, CS, alkyl, amido, etc.; M = C, N; Z = alkyl, SO₂, CO, carboxamido; R = 5-6 membered heteroaryl, alkyl, aryl, etc.; R = alkyl, OH, alkoxy, F, etc.; n, p, q = 1-3; with some provisions] were prepared For instance, 2,5-dimethylpiperazine was alkylated with 2-bromobenzaldehyde (CH₂Cl₂, NaHB(OAc)₃) and subsequently acylated with N-Boc-isonipecotic acid (CH₂Cl₂, PyBOP, i-Pr₂NEt). The resulting intermediate was deprotected and reductively alkylated with pyridine-4-carboxaldehyde to afford. Selected example compds. had K_i within 0.2 and 600 nM for the H₃ receptor. : I, alone and in combination with a H₁ receptor antagonist, are used for the treatment of various diseases or conditions, such as, allergy, allergy-induced airway responses and congestion (e.g., nasal congestion).
- IT 67-47-0, 5-Hydroxymethyl-2-furancarboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation and use of substituted piperazine/piperidine derivs. as H receptor antagonists)
- RN 67-47-0 CAPLUS
- CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 67 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:696666 CAPLUS

DOCUMENT NUMBER: 137:217244

TITLE: Preparation of amino acid-containing non-nucleoside reverse transcriptase inhibitors

INVENTOR(S): Zhou, Xiao-xiong; Johansson, Nils-Gunnar; Wahling, Horst; Sund, Christian; Salvador, Lourdes; Lindstrom, Stefan; Wallberg, Hans; Sahlberg, Christer

PATENT ASSIGNEE(S): Medivir AB, Swed.

SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of Appl. No. PCT/SE99/01403.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|--------------------|-------------|
| US 2002128301 | A1 | 20020912 | US 2001-927254 | 20010810 |
| ZA 9807267 | A | 19990215 | ZA 1998-7267 | 19980813 |
| WO 9909031 | A1 | 19990225 | WO 1998-SE1467 | 19980814 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1123935 | A2 | 20010816 | EP 2001-103370 | 19980814 |
| EP 1123935 | A3 | 20010905 | | |
| EP 1123935 | B1 | 20050413 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO | | | | |
| NZ 508502 | A | 20020426 | NZ 1998-508502 | 19980814 |
| CN 1872869 | A | 20061206 | CN 2006-10099722 | 19980814 |
| ZA 9901148 | A | 19990812 | ZA 1999-1148 | 19990212 |
| US 6458772 | B1 | 20021001 | US 1999-249317 | 19990212 |
| WO 9941275 | A1 | 19990819 | WO 1999-SE194 | 19990215 |
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| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| WO 2000047561 | A1 | 20000817 | WO 1999-SE1403 | 19990818 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
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| AU 775578 | B2 | 20040805 | AU 2001-35224 | 20010417 |
| AU 2003200551 | A1 | 20030501 | AU 2003-200551 | 20030218 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | SE 1998-452 | A 19980213 |
| | | | SE 1998-469 | A 19980216 |
| | | | SE 1998-1216 | A 19980403 |
| | | | WO 1998-SE1467 | W 19980414 |
| | | | ZA 1998-7267 | A 19980813 |
| | | | SE 1998-3438 | A 19981007 |
| | | | US 1999-249317 | A2 19990212 |
| | | | WO 1999-SE194 | W 19990215 |
| | | | WO 1999-SE1403 | A2 19990818 |
| | | | SE 1997-2957 | A 19970815 |
| | | | SE 1997-4147 | A 19971112 |
| | | | AU 1998-87548 | A3 19980814 |
| | | | CN 2003-2003157988 | A3 19980814 |
| | | | EP 1998-939041 | A3 19980814 |
| | | | NZ 1998-502837 | A1 19980814 |
| | | | AU 1999-32820 | A3 19990215 |

OTHER SOURCE(S): MARPAT 137:217244

AB Non-nucleoside reverse transcriptase inhibitors Rx-L*-O-Arl-
 CHR4CHR5NHC(:Z)NH-Ar2 [Arl is an unsatd., optionally substituted, mono- or

bicyclic ring structure comprising 0-3 hetero atoms selected from S, O and N; Ar2 is an aromatic, optionally substituted, monocyclic ring structure comprising at least one nitrogen hetero atom and 0-2 further hetero atoms selected from S, O and N; R4, R5 = H, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, alkanoyloxy, alkylthio, amino, carboxy, carbamoyl, cyano, halo, hydroxy, aminomethyl, hydroxymethyl, carboxymethyl, haloalkylthio, nitro; or R4 and R5 join to form a 3-6 membered, optionally substituted ring structure; Z = O or S; Rx is the residue of a natural or unnatural amino acid; L* is a linker moiety which is ether, carbonate or ester] or their pharmaceutically-acceptable salts were prepared as anti-HIV agents with favorable pharmacokinetic properties. Thus, (1S,2S)-N-[cis-2-(6-fluoro-2-(L-valyloxy)methoxycarbonyloxy-3-propionylphenyl)cyclopropyl]-N'-[2-(5-cyanopyridyl)]urea was prepared and showed 70% bioavailability of released drug at a dose of 0.027 mmol/kg after 6 h in a rat bioavailability assay model.

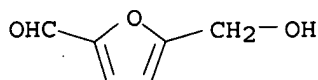
IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of amino acid-containing non-nucleoside reverse transcriptase inhibitors)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 68 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:575064 CAPLUS

DOCUMENT NUMBER: 137:125091

TITLE: Preparation of 2-(2,6-dioxo-3-piperidyl)isoindoline-1,3-diones, related compounds, and compositions thereof as TNF- α inhibitors for treatment of cancer, inflammatory disorders, heart disease, and related disorders

INVENTOR(S): Robarge, Michael J.; Chen, Roger Shen-Chu; Muller, George W.; Man, Hon-Wah

PATENT ASSIGNEE(S): Celgene Corporation, USA

SOURCE: PCT Int. Appl., 224 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

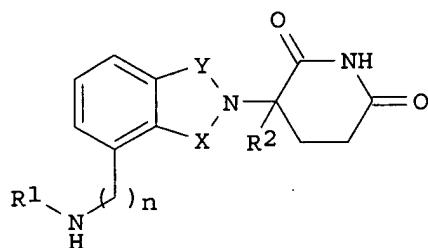
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2002059106 | A1 | 20020801 | WO 2001-US50401 | 20011221 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2003045552 | A1 | 20030306 | US 2001-972487 | 20011005 |
| CA 2433021 | A1 | 20020801 | CA 2001-2433021 | 20011221 |
| AU 2002248252 | A1 | 20020806 | AU 2002-248252 | 20011221 |
| EP 1363900 | A1 | 20031126 | EP 2001-997133 | 20011221 |

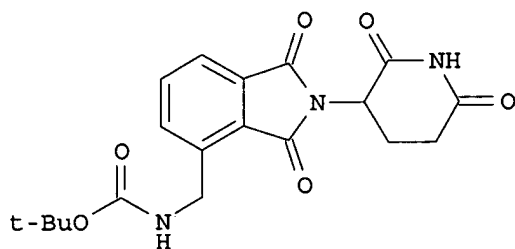
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| EP 1363900 | B1 | 20070124 | | |
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| HU 2003002578 | A2 | 20031128 | HU 2003-2578 | 20011221 |
| HU 2003002578 | A3 | 20070828 | | |
| JP 2004525889 | T | 20040826 | JP 2002-559408 | 20011221 |
| NZ 526893 | A | 20051028 | NZ 2001-526893 | 20011221 |
| AT 352548 | T | 20070215 | AT 2001-997133 | 20011221 |
| EP 1767533 | A1 | 20070328 | EP 2006-17608 | 20011221 |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI | | | | |
| ES 2275758 | T3 | 20070616 | ES 2001-1997133 | 20011221 |
| ZA 2003005759 | A | 20050117 | ZA 2003-5759 | 20030101 |
| MX 2003PA05786 | A | 20040126 | MX 2003-PA5786 | 20030625 |
| KR 747436 | B1 | 20070809 | KR 2003-708812 | 20030627 |
| HK 1061396 | A1 | 20070824 | HK 2004-102764 | 20040420 |
| JP 2006089495 | A | 20060406 | JP 2005-321049 | 20051104 |
| AU 2006200717 | A1 | 20060316 | AU 2006-200717 | 20060221 |
| KR 2007091235 | A | 20070907 | KR 2007-718941 | 20070817 |
| PRIORITY APPLN. INFO.: | | | US 2000-258372P | P 20001227 |
| | | | US 2001-972487 | A 20011005 |
| | | | AU 2002-248252 | A3 20011221 |
| | | | EP 2001-997133 | A3 20011221 |
| | | | JP 2002-559408 | A3 20011221 |
| | | | WO 2001-US50401 | W 20011221 |
| | | | KR 2007-703140 | A3 20070208 |

OTHER SOURCE(S): MARPAT 137:125091

GI



I

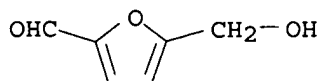


II

AB Title isoindole-imides I [wherein one of X and Y is CO and the other is CH2 or CO; R1 = H, (cyclo)alkyl, alkenyl, alkynyl, benzyl, aryl, alkylheterocycloalkyl, alkylheteroaryl, COR3, CSR3, CO2R4, alkyl-(NR6)2, alkyl-OR5, alkyl-CO2R5, CONHR3, CSNHR3, CON(R3)2, CSN(R3)2, or alkyl-OCOR5; R2 = H, benzyl, alkyl, alkenyl, or alkynyl; R3 = independently (cyclo)alkyl, alkenyl, alkynyl, benzyl, aryl, alkylheterocycloalkyl, alkylheteroaryl, alkyl-N(R6)2, alkyl-OR5, alkyl-CO2R5, alkyl-OCOR5, or CO2R5; R4 = alkyl, alkenyl, alkynyl, alkyl-OR5, benzyl, aryl, alkylheterocycloalkyl, or alkylheteroaryl; R5 =

alkyl, alkenyl, alkynyl, benzyl, aryl, or heteroaryl; R6 = independently H, alkyl, alkenyl, alkynyl, benzyl, (hetero)aryl, or alkyl-CO2R5; or R6 groups may join to form a heterocycloalkyl group; n = 0-1; with the proviso that when n = 0, R1 ≠ H; or pharmaceutically acceptable salts, hydrates, solvates, clathrates, enantiomers, diastereomers, racemates, or mixts. of stereoisomers thereof] were prepared for reducing the level of cytokines and their precursors in mammals. In particular, the invention pertains to isoindole-imide compds. that are potent inhibitors of the production of TNF- α (no data). For example, Me 2-(methoxycarbonyl)-3-nitrobenzoate was hydrogenated with 10% Pd/C (87%). The amine was converted to the nitrile by diazonium salt formation effected by treatment with NaNO₃ followed by cyanide formation using classic Sandmeyer procedure (65%). The nitrile was reduced with 10% Pd/C in MeOH and aqueous HCl under hydrogen to afford Me 3-aminomethyl-2-(methoxycarbonyl)benzoate•HCl (90%), which was treated with TEA and then reacted with di-t-Bu dicarbonate to give the carbamate (93%). Cyclization with 3-aminoglutarimide•HCl using diisopropylethylamine in DMF produced II (82%). The 2-(2,6-dioxo-3-piperidyl)isoindoline-1,3-diones and pharmaceutical compns. comprising them are useful for treating or preventing diseases or disorders in mammals, e.g. cancers, such as solid tumors and blood-born tumors; heart disease, such as congestive heart failure; osteoporosis; and genetic, inflammatory, allergic, and autoimmune diseases (no data).

IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of (oxopiperidyl)isoindolinone TNF- α inhibitors by cycloaddn. of aminoglutarimides to carboxybenzoates)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 69 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:39485 CAPLUS
 DOCUMENT NUMBER: 137:310758
 TITLE: Synthesis, chemistry and applications of 5-hydroxymethyl-furfural and its derivatives
 AUTHOR(S): Lewkowski, Jaroslaw
 CORPORATE SOURCE: Dep. Organic Chem., University of Lodz, Lodz, 90-136, Pol.
 SOURCE: ARKIVOC [online computer file] (2001), 2(1), No pp. given
 CODEN: AKVCFI
 URL: http://www.arkat-usa.org/ARKIVOC/JOURNAL_CONTENT/manuscripts/2001/01-403CR%20as%20published%20mainmanuscript.pdf
 PUBLISHER: ARKAT Foundation
 DOCUMENT TYPE: Journal; General Review; (online computer file)
 LANGUAGE: English
 AB A review on the recent developments in the synthesis, chemical and applications of 5-hydroxymethylfurfural (HMF) and its derivs. Due to its high reactivity and the polyfunctionality, HMF is a good raw material for the synthesis of precursors of various pharmaceuticals, thermo-resistant polymers and complex macrocycles. Dialdehydes are precursors for the synthesis of complexing macrocycles, oxo-porphyrins, oxo-annulenes as well as mono- and bis-alkenyl and alkynyl furans. The

diacid is a building block for numerous polyesters and polyamides and its derivs. are useful in pharmacol. HMF shows a weak cytotoxicity and mutagenicity in human. Derivs. of HMF are applied in agrochem. as fungicides, in galvanochem. as corrosion inhibitors, in cosmetic industry and as flavor agents. The synthesis of HMF is based on the triple dehydration of hexoses using various substrates such as oligo- and polysaccharides.

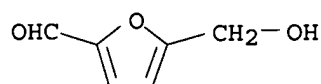
IT 67-47-0P, 5-Hydroxymethylfurfural

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis, chemical and applications of hydroxymethylfurfural, furandicarboxaldehyde, and furandicarboxylic acid)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



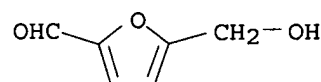
IT 67-47-0DP, 5-Hydroxymethylfurfural, derivs.

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis, chemical and applications of hydroxymethylfurfural, furandicarboxaldehyde, and furandicarboxylic acid)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 312 THERE ARE 312 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 70 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:34845 CAPLUS

DOCUMENT NUMBER: 136:241062

TITLE: Structural analysis on the constituents of *Lonicera* species. XVI. On the chemical constituents of the flower buds of *Lonicera japonica* thumb. (3)

AUTHOR(S): Kakuda, Rie; Yaoita, Yasunori; Machida, Koichi; Kikuchi, Masao

CORPORATE SOURCE: Tohoku Pharm. Univ., Japan

SOURCE: Journal of Tohoku Pharmaceutical University (2000), 47, 55-60

CODEN: JTPUFY; ISSN: 1345-157X

PUBLISHER: Tohoku Yakka Daigaku

DOCUMENT TYPE: Journal

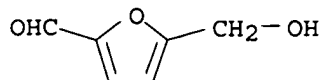
LANGUAGE: Japanese

AB Ergosta-5,24(28)-dien-3 β -ol, β -sitosterol, campesterol, stigmasterol, β -sitosterol β -D-glucopyranoside, 5-(hydroxymethyl)-2-furaldehyde, p-hydroxybenzaldehyde, protochatechualdehyde, p-hydroxybenzoic acid, vanillic acid, Me quinate, luteolin 7-O- β -D-glucopyranoside, kaempferol 3-O- β -D-glucopyranoside, quercetin 3-O- β -D-glucopyranoside, isorhamnetin 3-O- β -D-glucopyranoside, kaempferol 3-O-rutinoside, isorhamnetin 3-O-rutinoside, uridine, adenine, loganic acid, secologanoside, and other components were isolated from the flower buds of *Lonicera japonica* Thumb. (Loniceraeae). The structures of main compds. were elucidated on the

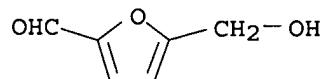
10/531,714

basis of NMR and other physicochem. evidences.

IT 67-47-0P, 5-(Hydroxymethyl)-2-furaldehyde
RL: PRP (Properties); PUR (Purification or recovery); PREP (Preparation)
(structural anal. on the constituents of *Lonicera* species. XVI. on the
chemical constituents of the flower buds of *Lonicera japonica* thumb. (3))
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 71 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:173328 CAPLUS
DOCUMENT NUMBER: 135:192824
TITLE: Study on chemical constituents of *Gymnadenia conopsea*
AUTHOR(S): Li, Shuai; Wang, Dong; Kuang, Haixue
CORPORATE SOURCE: College of Pharmacy, Helongjiang University of
Traditional Chinese Medicines, Harbin, 150040, Peop.
Rep. China
SOURCE: Zhongcaoyao (2001), 32(1), 18, 38
CODEN: CTYAD8; ISSN: 0253-2670
PUBLISHER: Zhongcaoyao Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB The chemical constituents of *Gymnadenia conopsea* were studied. Seven compds.
were separated and purified by solvent extraction and liquid chromatog. on
silica gel
column. The structures of the seven compds. were identified by spectral
analyses. The seven compds. were identified as octadecane (1), eugenol
(2), β -sitosterol (3), 5-hydroxymethylfuraldehyde (4),
 β -D-butylfructopyranoside (5), diosgenin (6), and fructose (7).
IT 67-47-0P, 5-(Hydroxymethyl)furaldehyde
RL: PUR (Purification or recovery); PREP (Preparation)
(chemical constituents of *Gymnadenia conopsea*)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 72 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:13471 CAPLUS
DOCUMENT NUMBER: 135:70930
TITLE: Antioxidants in medicinal plant extracts. A research
study of the antioxidant capacity of *Crataegus*,
Hamamelis and *Hydrastis*
AUTHOR(S): da Silva, Alda Pereira; Rocha, Rui; Silva, Cristina M.
L.; Mira, Lurdes; Duarte, M. Filomena; Florencio, M.
Helena
CORPORATE SOURCE: Laboratorio de Genetica da Faculdade de Medicina de
Lisboa, Lisbon, 1600, Port.
SOURCE: Phytotherapy Research (2000), 14(8), 612-616
CODEN: PHYREH; ISSN: 0951-418X
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal

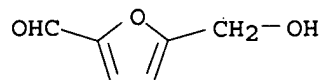
LANGUAGE: English

AB The antioxidant capacity of exts. of *Crataegus oxyacantha*, *Hamamelis virginiana*, *Hydrastis canadensis*, plants native to Europe and North America which have long been used in herbal medicine for the treatment of cardiac and circulatory functions, has been investigated. The total antioxidant potential conferred by all hydrogen donating antioxidants present in these exts. has been assessed by the ABTS assay and the relative order of antioxidant potential has been established. Gas chromatog. coupled to mass spectrometry (GC-MS) has been used for the chemical identification of the antioxidant volatile compds. present in the exts. The GC-MS data were related to the results obtained using the ABTS assay.

IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde
 RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
 (antioxidant capacity of *Crataegus*, *Hamamelis* and *Hydrastis* and their components)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 73 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:111443 CAPLUS

DOCUMENT NUMBER: 132:127698

TITLE: Compositions containing 5-hydroxymethyl-2-furaldehyde for therapeutic use

INVENTOR(S): Yan, Yongqing; Zhu, Danni; Chen, Ting; Xia, Yun; Li, Zhiming; Ma, Xiaohong

PATENT ASSIGNEE(S): China Pharmacy Univ., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7 pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| CN 1182589 | A | 19980527 | CN 1997-107191 | 19971113 |
| CN 1068777 | B | 20010725 | | |

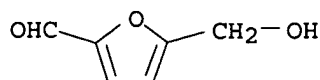
PRIORITY APPLN. INFO.: CN 1997-107191 19971113

AB 5-Hydroxymethyl-2-furaldehyde extracted from Shengmaisan [Chinese medicine] is useful for treatment of myocardial ischemia. Shengmaisan comprises *Panax ginseng*, *Ophiopogon japonicus* and *Schisandra chinensis*.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
 RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
 (compns. containing 5-hydroxymethyl-2-furaldehyde for therapeutic use)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 74 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:659396 CAPLUS

DOCUMENT NUMBER: 131:286826

TITLE: Preparation of amino acid-containing prodrugs of phosphorus-containing pharmaceuticals

INVENTOR(S): Zhou, Xiao-xiong; Johansson, Nils Gunnar; Wahling, Horst; Sund, Christian; Wallberg, Hans; Salvador, Lourdes; Lindstrom, Stefan

PATENT ASSIGNEE(S): Medivir AB, Swed.

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9951613 | A1 | 19991014 | WO 1999-SE528 | 19990330 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
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| ZA 9807267 | A | 19990215 | ZA 1998-7267 | 19980813 |
| WO 9909031 | A1 | 19990225 | WO 1998-SE1467 | 19980814 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6458772 | B1 | 20021001 | US 1999-249317 | 19990212 |
| WO 9941275 | A1 | 19990819 | WO 1999-SE194 | 19990215 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2325523 | A1 | 19991014 | CA 1999-2325523 | 19990330 |
| EP 1121366 | A1 | 20010808 | EP 1999-921327 | 19990330 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002510698 | T | 20020409 | JP 2000-542334 | 19990330 |
| IN 2000MN00432 | A | 20050318 | IN 2000-MN432 | 20000925 |
| AU 775578 | B2 | 20040805 | AU 2001-35224 | 20010417 |
| AU 2003200551 | A1 | 20030501 | AU 2003-200551 | 20030218 |
| PRIORITY APPLN. INFO.: | | | SE 1998-1216 | A 19980403 |

| | | |
|----------------|----|----------|
| ZA 1998-7267 | A | 19980813 |
| WO 1998-SE1467 | W | 19980814 |
| US 1999-249317 | A | 19990212 |
| WO 1999-SE194 | W | 19990215 |
| SE 1997-2957 | A | 19970815 |
| SE 1997-4147 | A | 19971112 |
| SE 1998-452 | A | 19980213 |
| SE 1998-469 | A | 19980216 |
| AU 1998-87548 | A3 | 19980814 |
| SE 1998-3438 | A | 19981007 |
| AU 1999-32820 | A3 | 19990215 |
| WO 1999-SE528 | W | 19990330 |

OTHER SOURCE(S): MARPAT 131:286826

AB Pharmaceutical compds. Drug-P(:O)-O-Linker(-R2')k-R2

[Drug-P(:O)-O- is the residue of a drug comprising a phosphonate, phosphinate, or phosphoryl function; R2 and R2' (if present) are independently the acyl residue of an aliphatic amino acid; Linker is an at least difunctional moiety comprising a first function ester-bonded to the phosphonate, phosphinate or phosphoryl function spaced from a second function ester-bonded to R2; and k is 1 or zero] were prepared which have enhanced bioavailability or other pharmacokinetic performance relative to the parent drug. Thus, 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid (alendronate) bis[2-methyl-2-(L-valyloxymethyl)propionyloxymethyl] ester was prepared and showed 42% bioavailability (vs. 2.2% for alendronate).

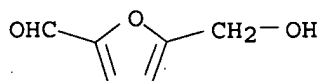
IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of amino acid-containing prodrugs of phosphorus-containing pharmaceuticals)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 75 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:606966 CAPLUS

DOCUMENT NUMBER: 131:228952

TITLE: Preparation of erythromycin A macrolide LHRH antagonists

INVENTOR(S): Sauer, Daryl R.; Haviv, Fortuna; Randolph, John; Mort, Nicholas A.; Dalton, Christopher R.; Bruncko, Milan; Kaminski, Michele A.; Crawford, Bradley W.; Frey, Lisa Marie; Greer, Jonathan

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: U.S., 32 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| ----- | --- | ----- | ----- | ----- |
| US 5955440 | A | 19990921 | US 1998-49963 | 19980327 |
| CA 2325521 | A1 | 19991007 | CA 1999-2325521 | 19990311 |
| WO 9950275 | A2 | 19991007 | WO 1999-US4658 | 19990311 |
| WO 9950275 | A3 | 20010222 | | |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|------------|----|----------|----------------|----------|
| AU 9930674 | A | 19991018 | AU 1999-30674 | 19990311 |
| BR 9909080 | A | 20001212 | BR 1999-9080 | 19990311 |
| EP 1066304 | A1 | 20010110 | EP 1999-912259 | 19990311 |
| EP 1066304 | B1 | 20050223 | | |

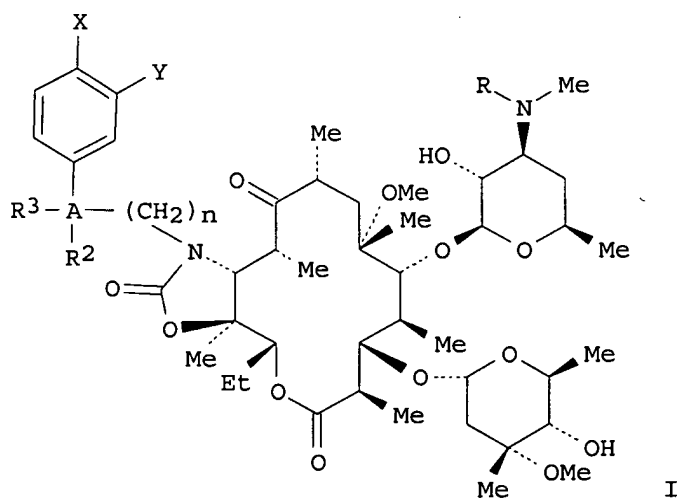
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO

| | | | | |
|----------------|----|----------|----------------|----------|
| TR 200002767 | T2 | 20010521 | TR 2000-2767 | 19990311 |
| HU 2001002011 | A2 | 20011128 | HU 2001-2011 | 19990311 |
| HU 2001002011 | A3 | 20030728 | | |
| JP 2002509937 | T | 20020402 | JP 2000-541178 | 19990311 |
| AT 289609 | T | 20050315 | AT 1999-912259 | 19990311 |
| PT 1066304 | T | 20050630 | PT 1999-912259 | 19990311 |
| ES 2238828 | T3 | 20050901 | ES 1999-912259 | 19990311 |
| IN 2000MN00403 | A | 20050715 | IN 2000-MN403 | 20000915 |
| MX 2000PA09423 | A | 20010419 | MX 2000-PA9423 | 20000926 |
| NO 2000004860 | A | 20001124 | NO 2000-4860 | 20000927 |
| BG 104844 | A | 20010731 | BG 2000-104844 | 20001011 |
| HK 1036284 | A1 | 20051209 | HK 2001-104717 | 20010709 |

PRIORITY APPLN. INFO.:

| | | |
|----------------|---|----------|
| US 1998-49963 | A | 19980327 |
| WO 1999-US4658 | W | 19990311 |

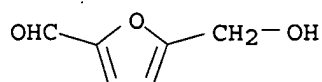
OTHER SOURCE(S): MARPAT 131:228952
GI



AB Macrolide erythromycins I (A is selected from the group consisting of: C, N, O; X and Y are independently hydrogen, halide, trifluoromethyl, alkoxy, alkyl, aryl; R is alkyl, cycloalkyl, heterocycle, substituted heterocycle, alkylcycloalkyl, substituted alkylcycloalkyl, alkylaryl, alkylheterocycle, alkenyl, alkynyl, C(S)NHR₄, C(NR₄)-NHR₄, wherein R₄ is hydrogen, alkyl, or aryl; R₂ and R₃ are hydrogen, Me, or R₂ and R₃ together with A to which they are attached may form a cyclic moiety, when A is C; R₃ is absent when A is N; and n = 1-3) were prepared as antibacterial agents. Disclosed are 3'-N-desmethyl-3'-N-substituted-6-O-methyl-11-deoxy-11,12-cyclic carbamate erythromycin A derivs. which are antagonists of LH-releasing hormone

(LHRH). Also disclosed are pharmaceutical compns. comprising the compds., to methods of using the compds. and to the process of making the same. Thus, 3'-N-desmethyl-3'-N-cyclopentyl-11-deoxy-11-[carboxy-(3,4-dichlorophenethylamino)]-6-O-methyl-erythromycin A 11,12-(cyclic carbamate) was prepared as antibacterial agent. Representative compds. of the present invention were evaluated in in vitro tests for LHRH rat pituitary receptor binding ($8.02 < pK_1 < 9.49$) and for LH inhibition from rat pituitary cells for antagonist potency (pA_2).

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of erythromycin A macrolide LHRH antagonists)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 76 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1999:529169 CAPLUS
 DOCUMENT NUMBER: 131:170633
 TITLE: Preparation of amino acid-containing prodrugs
 INVENTOR(S): Johansson, Nils Gunnar; Zhou, Xiao-xiong; Wahling, Horst; Sund, Christian; Wallberg, Hans; Salvador, Lourdes; Lindstrom, Stefan
 PATENT ASSIGNEE(S): Medivir AB, Swed.
 SOURCE: PCT Int. Appl., 167 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9941275 | A1 | 19990819 | WO 1999-SE194 | 19990215 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| ZA 9807267 | A | 19990215 | ZA 1998-7267 | 19980813 |
| WO 9909031 | A1 | 19990225 | WO 1998-SE1467 | 19980814 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1123935 | A2 | 20010816 | EP 2001-103370 | 19980814 |
| EP 1123935 | A3 | 20010905 | | |
| EP 1123935 | B1 | 20050413 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, | | | | |

SI, FI, RO

| | | | | |
|---|----|----------|--------------------|-------------|
| NZ 508502 | A | 20020426 | NZ 1998-508502 | 19980814 |
| CN 1872869 | A | 20061206 | CN 2006-10099722 | 19980814 |
| ZA 9901148 | A | 19990812 | ZA 1999-1148 | 19990212 |
| CA 2318978 | A1 | 19990819 | CA 1999-2318978 | 19990215 |
| AU 9932820 | A | 19990830 | AU 1999-32820 | 19990215 |
| AU 754733 | B2 | 20021121 | | |
| EP 1054891 | A1 | 20001129 | EP 1999-932500 | 19990215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CA 2325523 | A1 | 19991014 | CA 1999-2325523 | 19990330 |
| WO 9951613 | A1 | 19991014 | WO 1999-SE528 | 19990330 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1121366 | A1 | 20010808 | EP 1999-921327 | 19990330 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002510698 | T | 20020409 | JP 2000-542334 | 19990330 |
| CA 2362135 | A1 | 20000817 | CA 1999-2362135 | 19990818 |
| WO 2000047561 | A1 | 20000817 | WO 1999-SE1403 | 19990818 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9956658 | A | 20000829 | AU 1999-56658 | 19990818 |
| AU 770801 | B2 | 20040304 | | |
| EP 1150956 | A1 | 20011107 | EP 1999-943591 | 19990818 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002536435 | T | 20021029 | JP 2000-598482 | 19990818 |
| IN 2000MN00218 | A | 20050304 | IN 2000-MN218 | 20000726 |
| IN 2000MN00432 | A | 20050318 | IN 2000-MN432 | 20000925 |
| AU 775578 | B2 | 20040805 | AU 2001-35224 | 20010417 |
| IN 2001MN00927 | A | 20050304 | IN 2001-MN927 | 20010802 |
| US 2002128301 | A1 | 20020912 | US 2001-927254 | 20010810 |
| AU 2003200551 | A1 | 20030501 | AU 2003-200551 | 20030218 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | SE 1998-452 | A 19980213 |
| | | | SE 1998-469 | A 19980216 |
| | | | SE 1998-1216 | A 19980403 |
| | | | ZA 1998-7267 | A 19980813 |
| | | | WO 1998-SE1467 | W 19980814 |
| | | | SE 1998-3438 | A 19981007 |
| | | | SE 1997-2957 | A 19970815 |
| | | | SE 1997-4147 | A 19971112 |
| | | | AU 1998-87548 | A3 19980814 |
| | | | CN 2003-2003157988 | A3 19980814 |
| | | | EP 1998-939041 | A3 19980814 |
| | | | NZ 1998-502837 | A1 19980814 |
| | | | US 1999-249317 | A 19990212 |
| | | | AU 1999-32820 | A3 19990215 |
| | | | WO 1999-SE194 | W 19990215 |
| | | | WO 1999-SE528 | W 19990330 |
| | | | WO 1999-SE1403 | W 19990818 |

10/531,714

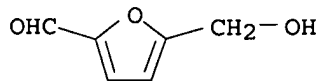
OTHER SOURCE(S): MARPAT 131:170633

AB Pharmaceutical compds. or intermediates in their synthesis
D*-Linker*(R2')k-R2 [R2 and R2' (if present) is the amide or ester residue of an aliphatic amino acid, k is 0 or 1, D* is a drug residue bearing an accessible function selected from amine, hydroxy and carboxy, or a group amenable to attachment to the accessible function, Linker* is an at least bifunctional linker comprising a first function bound to the accessible function spaced from a second function forming an amide or acyl bond with the aliphatic amino acid] were prepared Thus, 2',3'-dideoxy-3'-fluoro-5'-O-{3-[1,3-bis(L-valyloxy)-2-propyloxycarbonyl]propanoyl}guanosine was prepared and shown to provide significantly enhanced oral bioavailability relative to the active metabolite 2',3'-dideoxy-3'-fluoroguanosine.

IT 67-47-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid-containing prodrugs)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 77 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:600014 CAPLUS

DOCUMENT NUMBER: 129:245410

TITLE: Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Koji; Ookubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF

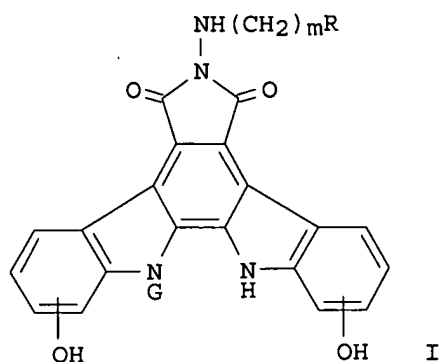
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|-----------------|-------------|
| ----- | ---- | ----- | ----- | ----- |
| JP 10245390 | A | 19980914 | JP 1997-61875 | 19970228 |
| JP 3536574 | B2 | 20040614 | | |
| JP 2004099617 | A | 20040402 | JP 2003-351296 | 20031009 |
| PRIORITY APPLN. INFO.: | | | JP 1997-61875 | A3 19970228 |
| OTHER SOURCE(S): | MARPAT 129:245410 | | | |
| GI | | | | |

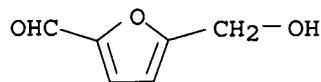


AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β -D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH₂)_mR = CH₂C₆H₃(OH)_{2-3,5}] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice.

IT 67-47-0, 5-Hydroxymethylfurfural
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of glucopyranosylindolopyrrolocarbazole derivs. as antitumor agents)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 78 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:572297 CAPLUS

DOCUMENT NUMBER: 129:203270

TITLE: Preparation of prolinamide derivatives as thrombin inhibitors

INVENTOR(S): Lumma, William C.; Tucker, Thomas J.; Witherup, Keith M.; Brady, Stephen F.; Whitter, Willie L.; Vacca, Joseph P.; Coburn, Craig; Shafer, Jules A.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 24 pp.
 CODEN: USXXAM

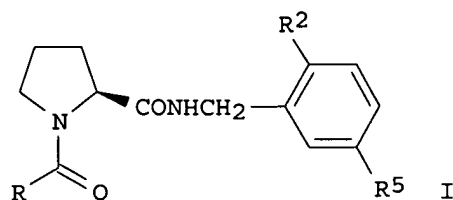
DOCUMENT TYPE: Patent

LANGUAGE: English

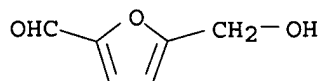
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|-----------------|----------|
| US 5798377 | A | 19980825 | US 1996-734148 | 19961021 |
| PRIORITY APPLN. INFO.: | | | US 1996-734148 | 19961021 |
| OTHER SOURCE(S): | MARPAT 129:203270 | | | |
| GI | | | | |



- AB Prolinamide derivs. I (R = RaRbCHCHX, where Ra and Rb = H, alkyl, aryl, cycloalkyl or RaRbC = cycloalkyl, X = NHRC, where Rc = H, Me, hydroxy-, carboxy- or carboxamidoalkyl, phenylalkylsulfonyl, etc.; R2 and R5 = H, alkyl, alkoxy, halo, carboxy, etc.) or their pharmaceutically acceptable salts were prepared as thrombin inhibitors. Thus, D-β,β-diphenylala, Pro-N-(2,5-dichlorophenyl)methylamide, prepared by amidation of the proline derivative, showed $K_i > 10$ nM and < 500 nM for inhibition of thrombin.
- IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of prolinamide derivs. as thrombin inhibitors)
- RN 67-47-0 CAPLUS
- CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

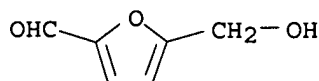
- L3 ANSWER 79 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
- ACCESSION NUMBER: 1998:552780 CAPLUS
- DOCUMENT NUMBER: 130:7325
- TITLE: Research on chemical dynamic changes and drug efficacy of Shengmaisan (SMS) complex prescription (II)
- AUTHOR(S): Zhu, Danni; Li, Zhiming; Yan, Yongqing; Zhu, Jinggang
- CORPORATE SOURCE: Department of Chinese Medicinal Prescription, China Pharmaceutical University, Nanjing, 210038, Peop. Rep. China
- SOURCE: Zhongguo Zhongyao Zazhi (1998), 23(5), 291-293
 CODEN: ZZZAE3; ISSN: 1001-5302
- PUBLISHER: Zhongguo Yaoxuehui Zhongguo Zhongyi Yanjiuyuan Zhongya Yanjiuso
- DOCUMENT TYPE: Journal
- LANGUAGE: Chinese
- AB The content changes of 5-hydroxymethyl-2-furaldehyde (5-HMF), a new compound, were reported in the previous paper and the content changes of 5-HMF in eight prescriptions of different composition were further determined by HPLC with a view to find the chemical dynamic changes and compatibility of medicines. The contents of 5-HMF in different combinations of Radix Ophiopogonis and Fructus Schisandrae, different boiling times and boiling frequency were examined by HPLC on Shim-pack CLC-ODS column with CH3OH:H2O (40:60) at 289 nm. The results indicated that 5-HMF was produced in the boiling process of Radix Ophiopogonis and Fructus Schisandra combined. The contents of 5-HMF could increase with the increase of Radix Ophiopogonis amount, reaching the highest value after 1.5 h boiling and two times of boiling.
- IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

10/531,714

RL: BOC (Biological occurrence); BSU (Biological study, unclassified);
BIOL (Biological study); OCCU (Occurrence)
(chemical dynamic changes and drug efficacy of Shengmaisan, a combination
of Ophiopogon root and Schisandra fruits)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 80 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:214944 CAPLUS

DOCUMENT NUMBER: 128:299414

TITLE: Effects of Shimotsu-to on the microcirculation of the
bulbar conjunctiva and hemorheological parameters in
normal subjects

AUTHOR(S): Kojima, S.; Hikiami, H.; Yang, Q.; Matsumi, S.; Umeda,
Y.; Terasawa, K.

CORPORATE SOURCE: Central Research Laboratories, Yomeishu Seizo Co.,
Ltd., Nagano, 399, Japan

SOURCE: Phytomedicine (1998), 5(1), 19-24

CODEN: PYTOEY; ISSN: 0944-7113

PUBLISHER: Gustav Fischer Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of "Shimotsu-to" (Si-Wu-Tang in Chinese), one of the most
important prescriptions for "ketsukyo" and "oketsu" syndrome in
traditional Chinese medicine, on the microcirculation of bulbar
conjunctiva and the hemorheol. parameters were examined. By HPLC the mean
constituents were determined. After a h of oral administration of Shimotsu-to
extract, the blood flow rate and the blood flow volume increased and the DEA
(maximum diameter of the column of intravascular erythrocyte aggregation)
decreased. The whole blood viscosity declined at middle and high shear
rates, but both the plasma viscosity and the erythrocyte deformability
were not effected. These results suggest that Shimotsu-to has a salutary
effect on the microcirculation through a decrease in the whole blood
viscosity.

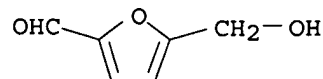
IT 67-47-0, 5-Hydroxymethyl-2-furfural

RL: BAC (Biological activity or effector, except adverse); BOC (Biological
occurrence); BSU (Biological study, unclassified); THU (Therapeutic use);
BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(Shimotsu-to constituents and hemorheol. effects)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 81 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:116570 CAPLUS

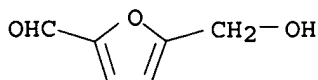
DOCUMENT NUMBER: 128:172224

TITLE: Identification of a pill for eye-diseases from
traditional Chinese medicine

AUTHOR(S): Martens-Lobenhoffer, J.; Behrens-Baumann, W.; Loesche,
D.; Meyer, F. P.

10/531,714

CORPORATE SOURCE: Institute Clinical Pharmacology, Otto-von-Guericke-
University, Magdeburg, D-39120, Germany
SOURCE: Pharmazie (1998), 53(2), 136-137
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The "pill 7" used in the traditional Chinese medicine was analyzed for
components. Benzoic, palmitic, stearic, oleic, linoleic acid,
 β -sitosterol, α -tocopherol, germacrene D (a natural
sesquiterpene), 5-hydroxymethyl-2-furaldehyde, diisooctyl phthalate,
catechin tannins, lignin, starch, crystals, parts of vessels, and
sclerenchym fibers were found.
IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
RL: ANT (Analyte); BOC (Biological occurrence); BSU (Biological study,
unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL
(Biological study); OCCU (Occurrence); USES (Uses)
(identification of a pill for eye-diseases from traditional Chinese
medicine)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 82 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:400089 CAPLUS
DOCUMENT NUMBER: 127:13457
TITLE: Peptide derivative thrombin inhibitors, preparation
and activity thereof, and pharmaceutical
compositions
INVENTOR(S): Lumma, William C.; Tucker, Thomas J.; Witherup, Keith
M.; Brady, Stephen F.; Whitter, Willie L.; Vacca,
Joseph P.; Coburn, Craig; Shafer, Jules A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9715190 | A1 | 19970501 | WO 1996-US16865 | 19961021 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2233860 | A1 | 19970501 | CA 1996-2233860 | 19961021 |
| AU 9674634 | A | 19970515 | AU 1996-74634 | 19961021 |
| AU 709088 | B2 | 19990819 | | |
| EP 858262 | A1 | 19980819 | EP 1996-936804 | 19961021 |
| EP 858262 | B1 | 20021204 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 11514378 | T | 19991207 | JP 1996-516702 | 19961021 |

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| | | | | |
|------------------------|----|----------|-----------------|------------|
| AT 228760 | T | 20021215 | AT 1996-936804 | 19961021 |
| ES 2186807 | T3 | 20030516 | ES 1996-936804 | 19961021 |
| PRIORITY APPLN. INFO.: | | | US 1995-6076P | P 19951024 |
| | | | GB 1996-5163 | A 19960312 |
| | | | US 1996-23164P | P 19960805 |
| | | | WO 1996-US16865 | W 19961021 |

OTHER SOURCE(S): MARPAT 127:13457

AB Peptide derivs. (Markush included) are prepared which inhibit human thrombin. The compds. of the invention may be used for inhibition of thrombus formation. Preparation of e.g. Boc-D-cyclohexylglycine-proline-N-[2-(O-ethylacetamido)-5-chloro]benzylamide is described. Biol. activity of compds. of the invention is reported, and tablet and i.v. formulations are presented.

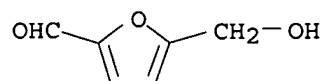
IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction; peptide derivative thrombin inhibitors, preparation and activity thereof, and pharmaceutical compns.)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 83 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:668158 CAPLUS

DOCUMENT NUMBER: 125:308780

TITLE: Study on processing of Rehmannia glutinosa Libosch.
II. Influence of processing on the reducing sugar content

AUTHOR(S): Liu, Meili; Bai, Rongzhi; Feng, Hanlin

CORPORATE SOURCE: Tianjing Inst. of Pharmaceuticals, Tianjing, 300193,
Peop. Rep. China

SOURCE: Zhongcaoyao (1996), 27(8), 470

CODEN: CTYAD8; ISSN: 0253-2670

PUBLISHER: Guojia Yiyao Guanliju Tianjin Yaowu Yanjiusuo

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

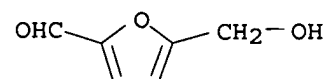
AB The Rehmannia glutinosa before and after steaming had different pharmaceutical features. Study on the alteration of reducing sugar content during processing showed that maximum reducing sugar content occurred at the 4th h of pressured steaming or the 24th h of atmospheric steaming. Since part of the polysaccharides and holosides were hydrolyzed to reducing sugar during the process of steaming, the reducing sugar content was increased. But long time steaming caused transformation of reducing sugar to 5-hydroxymethyl furfural, which made the product bitter. The results suggest that proper time course of steaming is important and reducing sugar content can be a valid quality control standard

IT 67-47-0, 5-Hydroxymethyl furfural

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(steaming of Rehmania glutinosa effect on reducing sugars)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 84 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:123378 CAPLUS

DOCUMENT NUMBER: 124:211789

TITLE: The effect of productive technologic processes on 5-hydroxymethylfurfural in glucose injection solution

AUTHOR(S): Liu, Laer; Chen, Lixin; Zhang, Zheng; Peng, Chengsheng; Xie, Qiuyuan; Liu, Fengqin; Wang, Bin
CORPORATE SOURCE: 163 Hospital PLA, Changsha, 410003, Peop. Rep. China
SOURCE: Zhongguo Yaoxue Zazhi (Beijing) (1995), 30(9), 553-5
CODEN: ZYZAEU; ISSN: 1001-2494

PUBLISHER: Zhongguo Yaoxuehui

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB Factors affecting 5-hydroxymethylfurfural content were estimated by orthodox cross designed expts. The main factors involved was the sterilizing time, temperature and position in the autoclave. The effect of pH was insignificant, but storage time showed no influence. Concentrated mother solns. prepared overnight contained more 5-HMF even after sterilization.

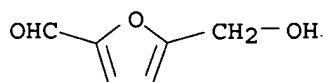
IT 67-47-0, 5-Hydroxymethylfurfural

RL: ANT (Analyte); PEP (Physical, engineering or chemical process); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)

(production technol. processes effect on hydroxymethylfurfural in glucose injection solution)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 85 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:81330 CAPLUS

DOCUMENT NUMBER: 124:192929

TITLE: Simultaneous determination of sugars and their degradation product 5-hydroxymethylfurfural in foods and pharmaceuticals by high-performance liquid chromatography

AUTHOR(S): Yuan, Jianping; Guo, Siyuan; Li, Lin
CORPORATE SOURCE: Coll. Light Ind. Food Eng., South China Univ. Technol., Canton, 510641, Peop. Rep. China

SOURCE: Fenxi Huaxue (1996), 24(1), 57-60

CODEN: FHHHDT; ISSN: 0253-3820

PUBLISHER: Zhongguo Huaxuehui Fenxi Huaxue Bianji Weiyuanhui

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB 5-Hydroxymethylfurfural (5-HMF) is a degradation product of sugars. A HPLC method for the simultaneous determination of sucrose, glucose, fructose and 5-HMF

in foods and pharmaceuticals by HPLC is reported. 5-HMF and sugars are separated on an Aminex HPX-87H column (300 + 7.8 mm) with acetonitrile-0.01 mol/L H2SO4 (40:60) as mobile phase and with dual detectors, a UV detector (280 nm) to measure 5-HMF, and a refractometer to measure sugars.

IT 67-47-0, 5-Hydroxymethylfurfural

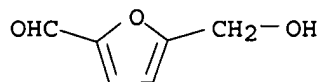
RL: ANT (Analyte); ANST (Analytical study)

(simultaneous determination of sugars and their degradation product 5-hydroxymethylfurfural in foods and pharmaceuticals by HPLC)

RN 67-47-0 CAPLUS

10/531,714

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 86 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:27558 CAPLUS

DOCUMENT NUMBER: 124:126971

TITLE: Chemical studies on crude drug processing. X. On the constituents of Rehmanniae Radix (4): comparison of the constituents of various Rehmanniae Radixes originating in China, Korea, and Japan

AUTHOR(S): Kitagawa, Isao; Fukuda, Youichi; Taniyama, Toshio; Yoshikawa, Masayuki

CORPORATE SOURCE: Fac. Pharmaceutical Sci., Osaka Univ., Osaka, 565, Japan

SOURCE: Yakugaku Zasshi (1995), 115(12), 992-1003

CODEN: YKKZAJ; ISSN: 0031-6903

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

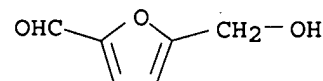
AB In order to characterize the chemical change of their constituents during the processing of various Rehmanniae Radixes, we have investigated the constituents by comparing with those of Chinese Juku-jio (variously processed root of Chinese Rehmannia sp.), Korean Kan-jio (dried root), and Japanese Juku-jio (steamed root), prepared from Rehmannia glutinosa Libosch. var. purpurea Makino (Akaya-jio in Japanese) and Rehmannia glutinosa Libosch. forma hueichingensis Hsiao (Kaikai-jio in Japanese). During processing in preparation of Kan-jio and Juku-jio from Sho-jio, jio-serebroside and acetoside were isolated, and the iridoid glycosides were completely degraded or their contents decreased remarkably. Quant. anal. by means of gas liquid chromatog. (GLC) has confirmed that the contents of monosaccharides and oligosaccharides in Kan-jio and Juku-jio increased more than those in Sho-jio. During the course of these studies, a new iridoid glycoside named 6'-O-acetylcatalpol was isolated from Japanese Sho-jio and the structure was determined

IT. 67-47-0, 2-Furancarboxaldehyde, 5-hydroxymethyl-

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses) (comparison of the constituents of various Rehmanniae Radixes from China, Korea, and Japan)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 87 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:626552 CAPLUS.

DOCUMENT NUMBER: 123:65648

TITLE: A study of decomposition of intravenous sucrose infusions

AUTHOR(S): Rathi, R.; Dhaneshwar, S. R.

CORPORATE SOURCE: Dept. Pharmacy, SGSITS, Indore, 452 003, India

10/531,714

SOURCE: Eastern Pharmacist (1995), 38(448), 133-5
CODEN: EAPHA6; ISSN: 0012-8872

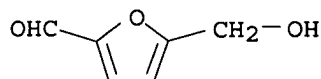
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A practical approach for studying the breakdown of refined sugar solns. under different sterilizing conditions has been developed using an autoclave. The effects of presence of sodium-chloride, E.D.T.A., pH, temperature, concentration and the time of heating on the inversion of refined sugar in aqueous solns. were studied. Exptl. findings showed that formation of 5-hydroxymethyl-2-furfuraldehyde (5-HMF) is less if refined sugar solution is subjected to sterilizing condition of lower temperature for longer time rather than vice versa. Use of sodium chloride, EDTA, sodium sulfite checks the rate of inversion, and also effects the formation of 5-HMF in refined sugar solution. Adjustment of pH of refined sugar solution (before autoclaving) to 3 results in less 5-HMF being produced, and inversion can be carried out at lower temps. compared to unadjusted solns. Assay procedure is correlated with invert sugar scale in polarimeter to simplify the procedure and for saving time.

IT 67-47-0, 5-Hydroxymethyl-2-furfuraldehyde
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(study of decomposition of i.v. sucrose infusions)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 88 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:449749 CAPLUS

DOCUMENT NUMBER: 122:222591

TITLE: Characteristic component of Rehmanniae Radix Preparata compared to Rehmanniae Radix and Rehmanniae Radix Crudus

AUTHOR(S): Hong, Sun Pyo; Kim, Young Chul; Kim, Kyeong Ho; Park, Jeong Hill; Park, Man Ki

CORPORATE SOURCE: College Pharmacy, Seoul National University, Seoul, 151-742, S. Korea

SOURCE: Analytical Science & Technology (1993), 6(4), 401-4
CODEN: ASCTET; ISSN: 1225-0163

PUBLISHER: Korean Society of Analytical Sciences

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Rehmanniae Radix Preparata is manufactured with Rehmanniae Radix according to KP V. For quality control of Rehmanniae Radix Preparata, its standard component is required. The methanol exts. of Rehmanniae Radix crudus, Rehmanniae Radix, Rehmanniae Radix preparata were divided into the three groups of ether, butanol and aqueous fraction by liquid-liquid separation. In the comparative TLC of the ether fraction, the characteristic component of Rehmanniae Radix preparata was found. The ether fraction was evaporated and separated on the silica gel column with chloroform-methanol and further separated

by silica gel TLC with chloroform-methanol-water. The component was elucidated as 5-(hydroxymethyl)-2-furancarboxaldehyde (5-HMF). 5-HMF was not found in Rehmanniae Radix crudus and found in Rehmanniae radix is much less quantities than Rehmanniae Radix Preparata.

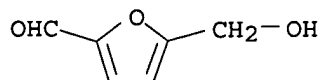
IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde

RL: ANT (Analyte); BOC (Biological occurrence); BSU (Biological study,

unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(Rehmanniae Radix characteristic component)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 89 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:449469 CAPLUS

DOCUMENT NUMBER: 122:222659

TITLE: Influence of intermediate pH of glucose injection on glucose content, pH and 5-hydroxymethylfurfural content of final product

AUTHOR(S): Zhang, Wen; Jiang, Lei; Ma, Yan; Guo, Ningning; Jiang, Guohui; Zhang, Weicong

CORPORATE SOURCE: Laiyang Central Hosp., Laiyang, 265200, Peop. Rep. China

SOURCE: Zhongguo Yaoxue Zazhi (Beijing) (1995), 30(2), 90-1
CODEN: ZYZAEU; ISSN: 1001-2494

PUBLISHER: Zhongguo Yaoxuehui

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

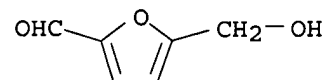
AB The effects of intermediate pH of glucose injection during preparation process on the main indexes, the glucose content, pH and 5-hydroxymethylfurfural content, were studied for control of final product. The results showed that the intermediate pH between 3.80-4.00 might be selected.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(pH effect on stability of glucose injections)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 90 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:422191 CAPLUS

DOCUMENT NUMBER: 122:196660

TITLE: Processing of adhesive Rehmannia (Rehmannia glutinose). I. Extraction, separation, identification and assay of 5-hydroxymethyl-furfural

AUTHOR(S): Liu, Meili; Bai, Mei; Bai, Rongzhi; Feng, Hanlin

CORPORATE SOURCE: Tianjin Inst. Pharmaceutical Res., State Pharmaceutical Adm. China, Tianjin, 300193, Peop. Rep. China

SOURCE: Zhongcaoyao (1995), 26(1), 13-14

CODEN: CTYAD8; ISSN: 0253-2670

PUBLISHER: Guojia Yiyao Guanliju Tianjin Yaowu Yanjiuso

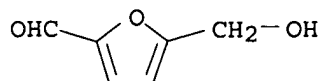
DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB In the study of processing of Dihuang, a traditional Chinese drug composed of the rhizome of Rehmannia glutinose Libosch, attention was paid to reveal the chemical changes occurred in the course of processing. In order

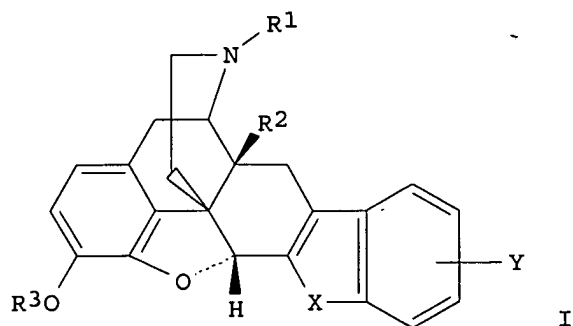
to find some clues for the process control, changes in the TLC spectrograms were examined and one of the component peak was found changing gradually as the processing went on. Phytochem. separation and identification revealed that the component peak features 5-hydroxymethylfurfural (5-HMF). TLC spectrometric estimation of the 5-HMF contents was developed and used to monitor the process. It was found that the 5-HMF content at the end of processing was 20 times higher than that at the start. Biol. assay indicated that 5-HMF possesses marked antiplatelet activity, which supports the use of Dihuang as a blood activating agent in traditional Chinese medicine.

IT 67-47-0, 5-(Hydroxymethyl)-2-furfural
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); FMU (Formation, unclassified); BIOL (Biological study); FORM (Formation, nonpreparative); OCCU (Occurrence)
 (hydroxymethylfurfural content in processing of Dihuang (Rehmannia glutinosa rhizome))
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 91 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:205989 CAPLUS
 DOCUMENT NUMBER: 122:265742
 TITLE: Opioid agonist compounds as analgesics
 INVENTOR(S): Dappen, Michael S.; Pitzele, Barnett S.; Rafferty, Michael F.
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA
 SOURCE: U.S., 21 pp. Cont.-in-part of U.S. 5,225,417.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|-----------------|-------------|
| US 5354863 | A | 19941011 | US 1993-21694 | 19930224 |
| US 5225417 | A | 19930706 | US 1992-823221 | 19920121 |
| US 5436249 | A | 19950725 | US 1994-243661 | 19940516 |
| PRIORITY APPLN. INFO.: | | | US 1992-823221 | A2 19920121 |
| | | | US 1993-21694 | A1 19930224 |
| OTHER SOURCE(S): | MARPAT 122:265742 | | | |
| GI | | | | |

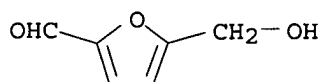


AB The present invention provides novel substituted opioid analgesic compds. I (R1 = CN; R2 = OR4 wherein R4 = O2CH, O2C-C1-5-alkyl; R3 = CHO, CO-C1-5-alkyl or -alkoxy; X = O, Y = H) which are opioid agonists, and which are useful as analgesic agents for the treatment of pain, pharmaceutical compns. comprising a therapeutically-effective amount of a compound I in combination with a pharmaceutically-acceptable carrier, and a method for eliminating or ameliorating pain in an animal comprising administering a therapeutically-effective amount of a compound of I to the animal. PBQ writhing assay at 10 and/or 30 mpk/g body weight (i.g. or s.c.): 4/10 mice exhibited inhibition of writhing. Tail flick assay: active at a dose of ca. 10 nmol. Opiate binding assay: mean IC50 (nM) values of 1 to >10000 with $\mu/8$ ratios of 1.2 to >850.

IT 67-47-0, 5-(Hydroxymethyl)furfural
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (opioid agonist compds. as analgesics)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 92 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:486211 CAPLUS

DOCUMENT NUMBER: 121:86211

TITLE: Hydroxymethylfurfural, a possible basic chemical for industrial intermediates

AUTHOR(S): Kunz, Markwart

CORPORATE SOURCE: Inst. Landwirtschaftliche Technol. Zuckerind., Tech. Univ. Braunschweig, Braunschweig, 3300, Germany

SOURCE: Studies in Plant Science (1993), 3(Inulin and Inulin-Containing Crops), 149-60
 CODEN: SPLCEU; ISSN: 0928-3420

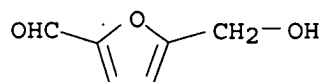
DOCUMENT TYPE: Journal

LANGUAGE: English

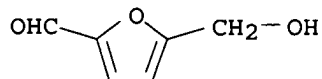
AB Fructose ex inulin can be readily converted to the basic chemical hydroxymethylfurfural (HMF). Due to its various functionalities HMF, in its turn, could be utilized to produce a wide range of chemical intermediates or end-products. Among the reactions possible, some are discussed to illustrate the potential to open up important fields of industrial application of these HMF-derived chems., for instance as polymers, surfactants, solvents, pharmaceuticals and plant protection agents. In particular polymers seem to constitute a very interesting area of potential applications. Among these polymers, polyesters and

polyamides, the latter being comparable with the terephthalic acid- and isophthalic acid-based polyamides Kevlar and Nomex, are worth mentioning. In addition, conducting polyene-like furan polymers seem to be promising, especially for their potential application in batteries, sensors and switches. However, prerequisite for a substantial future role of HMF as a basic chemical is a low price. It means that, if fructose ex inulin should be used for HMF production, the price level for inulin should be roughly DM 1000 per ton.

IT 67-47-0, Hydroxymethylfurfural
 RL: USES (Uses)
 (use of fructose-derived, in organic and polymer synthesis)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 93 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1994:161915 CAPLUS
 DOCUMENT NUMBER: 120:161915
 TITLE: Semiautomatic determination of furanic aldehydes in food and pharmaceutical samples by a stopped-flow injection analysis method
 AUTHOR(S): Espinosa-Mansilla, A.; Munoz de la Pena, A.; Salinas, F.
 CORPORATE SOURCE: Dep. Anal. Chem., Univ. Extremadura, Badajoz, 06071, Spain
 SOURCE: Journal of AOAC International (1993), 76(6), 1255-61
 CODEN: JAINEE; ISSN: 1060-3271
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A kinetic study of the reactions of 5-hydroxymethyl-2-furfuraldehyde and furfural with 2-thiobarbituric acid (TBA) by a stopped-flow flow injection anal. technique has been undertaken. A semiautomatic method for the anal. determination of these furanic aldehydes is proposed on the basis of reaction with TBA. The proposed stopped-flow method was successfully applied to several com. pharmaceutical preps. and food samples. The procedure is faster than the earlier procedure for determination of these compds. in foods and pharmaceuticals.
 IT 67-47-0, 5-Hydroxymethyl-2-furfuraldehyde
 RL: ANT (Analyte); ANST (Analytical study)
 (determination of, by stopped-flow injection, in food and pharmaceutical samples)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 94 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1994:144340 CAPLUS
 DOCUMENT NUMBER: 120:144340
 TITLE: Stability-indicating HPLC assay for paracetamol,

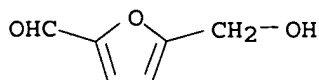
guaiphenesin, sodium benzoate and oxomemazine in cough syrup

AUTHOR(S): Hewala, Ismail I.
 CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, 21521, Egypt
 SOURCE: Analytical Letters (1994), 27(1), 71-93
 CODEN: ANALBP; ISSN: 0003-2719
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A stability-indicating, specific, sensitive and validated reversed-phase HPLC assay for paracetamol, guaiphenesin, sodium benzoate and oxomemazine in the presence of degradation products, i.e. 4-aminophenol and guaiacol, as well, as the co-formulated adjuvants and 5-hydroxymethylfurfural, a commonly formed compound in syrups during formulation and/or storage of pharmaceutical syrups, has been developed to allow simultaneous determination of these compds. in a cough syrup. The HPLC method includes the use of a two-line solvent delivery system. The specificity, precision in term of both repeatability (i.e. intraday precision) and reproducibility (i.e. interday precision), limit of detection of the degradation products and ruggedness due to column to column batch and source variation have been discussed. The developed method has been applied for the determination of the main drugs and their degradation products in freshly prepared as well as in stored samples of cough syrup.

IT 67-47-0, 5-Hydroxymethylfurfural
 RL: ANT (Analyte); ANST (Analytical study)
 (determination of, in cough syrup as degradation product, by stability-indicating reversed-phase HPLC)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 95 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

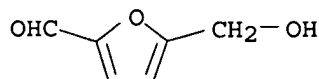
ACCESSION NUMBER: 1994:62086 CAPLUS
 DOCUMENT NUMBER: 120:62086
 TITLE: Studies on aldose reductase inhibitors from natural products. V. Active components of Hachimijiogan (Kampo medicine)

AUTHOR(S): Shimizu, Mineo; Zenko, Yutaka; Tanaka, Ryoichi;
 Matsuzawa, Tomoko; Morita, Naokata
 CORPORATE SOURCE: Fac. Pharm. Sci., Toyama Med. and Pharm. Univ.,
 Sugitani, 930-01, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1993), 41(8),
 1469-71
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Aldose reductase (AR) inhibitory activity-directed fractionation of Hachimijiogan led to the isolation of 5-(hydroxymethyl)-2-furfuraldehyde (I) and ellagic acid (II). II was reported to be a strong AR inhibitor in this series of study on AR inhibitors, but I is the first isolation from a natural source and as an AR inhibitor. The AR inhibitory activity of the 8 crude drugs which constitute Hachimijiogan, and a comparison of their components by TLC, were also examined Corni fructus was one of the important drugs having an AR inhibitory effect, and only in this drug were I and II present together.

10/531,714

IT 67-47-0, 5-(Hydroxymethyl)-2-furfuraldehyde
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(of Hachimijiogan, aldose reductase inhibitory activity of)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

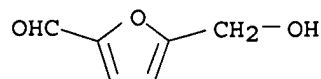


L3 ANSWER 96 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:33982 CAPLUS
DOCUMENT NUMBER: 120:33982
TITLE: Production of chlorine dioxide solution having low chloride ions
INVENTOR(S): Roozdar, Habib
PATENT ASSIGNEE(S): ARCO Research Co., Inc., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9317960 | A1 | 19930916 | WO 1993-US2015 | 19930304 |
| W: CA | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 629177 | A1 | 19941221 | EP 1993-907256 | 19930304 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| PRIORITY APPLN. INFO.: | | | US 1992-846468 | A 19920304 |
| | | | US 1992-980262 | A 19921123 |
| | | | WO 1993-US2015 | W 19930304 |

AB The process comprises forming a solution mixture of a salt of chlorite and a low pKa biol. compatible acid to produce chlorous acid and adding a disproportionation agent into the solution to enhance chlorous acid disproportionation to ClO₂. The residual chloride ions in the solution is minimized and the disinfecting solution can be used in the food processing, drinking water, pharmaceutical production, and medical and dental related industries.

IT 67-47-0, 5-Hydroxymethyl-2-furfural
RL: USES (Uses)
(in chloride-low chlorine dioxide manufacture from chlorite)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

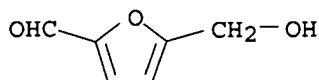


L3 ANSWER 97 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:219948 CAPLUS
DOCUMENT NUMBER: 118:219948
TITLE: Detection and determination of interfering 5-hydroxymethylfurfural in the analysis of

caramel-colored pharmaceutical syrups
 AUTHOR(S): Hewala, I. I.; Zoweil, A. M.; Onsi, S. M.
 CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, 21521, Egypt
 SOURCE: Journal of Clinical Pharmacy and Therapeutics (1993), 18(1), 49-53
 CODEN: JCPTED; ISSN: 0269-4727
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A comparison between different caramels described for use in the pharmaceutical industry is presented. An interfering substance, 5-hydroxymethylfurfural (5-HMF), was detected in some caramels. Conditions and proofs for the formation of 5-HMF are presented. Interference by 5-HMF during the anal. of the active drugs and the possibility of interaction with the active drugs during the shelf-life of the drug formulation are discussed. A limit test for 5-HMF in caramel was developed. The test depends on measuring the difference in absorbance between two equimolar solns. of caramel, one of which contains sodium borohydride. The test is sensitive and selective for the detection and determination of trace amts. of 5-HMF without interference from the brown products of caramel.

IT 67-47-0, 5-Hydroxymethylfurfural
 RL: ANT (Analyte); ANST (Analytical study)
 (determination of, in caramel-colored syrups, spectrophotometric)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 98 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1993:197888 CAPLUS
 DOCUMENT NUMBER: 118:197888
 TITLE: Optimization of moist heat-sterilization of glucose infusions. The effect of different Fo-values on the pH and 5-hydroxymethyl-2-furaldehyde content of the solutions

AUTHOR(S): Mannermaa, J. P.; Yliruusi, J.; Kanerva, U.
 CORPORATE SOURCE: Orion Corp. Farmos, Oulu, SF-90650, Finland
 SOURCE: Pharmazeutische Industrie (1992), 54(8), 729-32
 CODEN: PHINAN; ISSN: 0031-711X
 DOCUMENT TYPE: Journal
 LANGUAGE: English

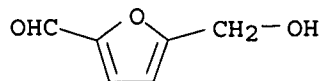
AB The effect of steam sterilization efficiency (Fo value) on 5-hydroxymethyl-2-furaldehyde (I) formation and the pH of glucose (II) infusions containing 5, 20, or 40% II and bottled in 500-mL glass bottles was studied for Fo values of 1.5, 5, 15, 50, and 150 min. Thus, at lower Fo, I levels were independent of the II concentration, but at Fo values of 50 or 150 min, concentration-dependencies were observed. At the highest Fo, a decrease in I level with increasing II was seen. HPLC demonstrated I as practically the sole (>99%) degradation product of II. With the exception of solns. sterilized to Fo values of 5-15 min, infusion pH generally decreased. For 20% II solns. sterilized than stored for 30 days, a pH decrease of .apprx.0.2 units was observed.
 IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
 RL: FORM (Formation, nonpreparative)

10/531,714

(formation of, during steam sterilization of glucose infusion solns.,
sterilization efficiency effect on pH and)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 99 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:537547 CAPLUS

DOCUMENT NUMBER: 117:137547

TITLE: Toxicity potential of compounds found in parenteral solutions with rubber stoppers

AUTHOR(S): Danielson, James W.

CORPORATE SOURCE: Steril. Anal. Res. Cent., Food Drug Adm., Minneapolis, MN, USA

SOURCE: Journal of Parenteral Science and Technology (1992), 46(2), 43-7

CODEN: JPATDS; ISSN: 0279-7976

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Leached stopper components found in parenteral solns. produced by several manufacturers were identified and quantitated. Their toxicity potential was determined by comparing the types and quantities of the leached components with known toxicity levels and/or harmful effects. Toxicity potentials for benzaldehyde, 2-butoxyethanol, cyclohexanone, ethylbenzene, 1,1,2,2-tetrachloroethane, and tetrachloroethylene are listed. Breakdown products of dextrose (furfural and 5-hydroxymethylfurfural), which may also have harmful effects, were quantitated.

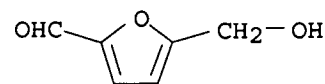
IT 67-47-0, 5-Hydroxymethylfurfural

RL: PRP (Properties)

(toxicity of, as dextrose decomposition product leached from parenteral solns. with rubber stoppers)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 100 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:406257 CAPLUS

DOCUMENT NUMBER: 117:6257

TITLE: Simultaneous determination of 2-furfuraldehyde and 5-(hydroxymethyl)-2-furfuraldehyde by derivative spectrophotometry

AUTHOR(S): Tu, Duonan; Xue, Saifeng; Meng, Chunyuan; Espinosa-Mansilla, Anunciacion; Munoz de la Pena, Arsenio; Salinas Lopez, Francisco

CORPORATE SOURCE: Dep. Anal. Chem., Univ. Extremadura, Badajoz, 06071, Spain

SOURCE: Journal of Agricultural and Food Chemistry (1992), 40(6), 1022-5

CODEN: JAFCAU; ISSN: 0021-8561

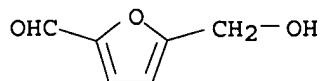
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The reactions of 2-furfuraldehyde (FUR) and 5-(hydroxymethyl)-2-furfuraldehyde (HMF) with 2-thiobarbituric acid (TBA) were investigated. These compds. react with TBA in an acidic medium, and the reaction is accelerated by heating at moderate temperature. The yellow reaction products show high absorption in the visible region. The spectral overlapping of the reaction products of FUR and HMF with TBA was resolved by first-derivative spectrophotometry. The simultaneous determination of FUR and HMF mixts. is accomplished by taking the first-derivative signal at 436 nm for FUR determination and at 414 nm for HMF determination, resp. The method was applied to a com. orange juice and oral rehydration salt formulations.

IT 67-47-0, 5-Hydroxymethylfurfural
 RL: ANST (Analytical study)
 (determination of furfural and, in orange juice and pharmaceuticals by first-derivative spectrophotometry with thiobarbituric acid)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

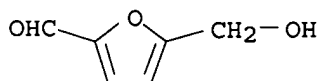


L3 ANSWER 101 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1991:49542 CAPLUS
 DOCUMENT NUMBER: 114:49542
 TITLE: Effect of pH on changes occurring in glucose solutions during sterilization
 AUTHOR(S): Rogacka-Majcher, Krystyna; Janczar, Lucyna; Krowczynski, Leszek
 CORPORATE SOURCE: Akad. Med. im. Mikolaja Kopernika, Krakow, Pol.
 SOURCE: Farmacja Polska (1989), 45(8-9), 519-23
 CODEN: FAPOA4; ISSN: 0014-8261
 DOCUMENT TYPE: Journal
 LANGUAGE: Polish

AB Glucose solns. of concentration of 5, 10, 20, 40, and 66% were prepared in McIlvaine's buffer (in the range of pH 3.4-6.4). The solns. were sterilized under various conditions. The stability of glucose in infusion solns. was found to depend on the solution pH, heating time, and time of autoclave cooling. The least decomposition of glucose was shown at pH 4.4-5.0.

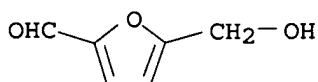
IT 67-47-0
 RL: FORM (Formation, nonpreparative)
 (formation of, as glucose decomposition product, in infusion during sterilization, pH effect on)

RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

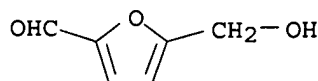


L3 ANSWER 102 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1990:185762 CAPLUS
 DOCUMENT NUMBER: 112:185762
 TITLE: Effects of sodium and potassium chlorides in equimolar concentrations on 5-HMF formation in glucose solutions exposed to thermal sterilization
 AUTHOR(S): Zakrzewski, Zdzislaw; Furmanczyk, Zdzislaw; Wosinska,

Sylwia
 CORPORATE SOURCE: Zakl. Farm. Stosowanej Inst. Nauki Leku, Akad. Med.,
 Warszawa, Pol.
 SOURCE: Farmacja Polska (1989), 45(4), 225-8
 CODEN: FAPOA4; ISSN: 0014-8261
 DOCUMENT TYPE: Journal
 LANGUAGE: Polish
 OTHER SOURCE(S): CASREACT 112:185762
 AB The effects of the presence of NaCl and KCl in glucose infusion solns. on
 glucose thermal decomposition during sterilization at 120° for 20 or 180
 min were studied. Glucose concns. tested were 2.5, 4.3, 5, and 10% and
 the salt concns. used were equimolar at 0.180-0.225% NaCl and 0.230-0.283%
 KCl. Both salts increased the formation of the glucose degradation product
 5-hydroxymethylfurfural (5-HMF), with NaCl causing more degradation than KCl.
 The degradation rate increased with salt concns.
 IT 67-47-0, 5-Hydroxymethylfurfural
 RL: FORM (Formation, nonpreparative)
 (formation of, in glucose infusions during thermal sterilization,
 electrolytes increase of)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

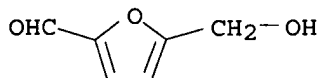


L3 ANSWER 103 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1990:25482 CAPLUS
 DOCUMENT NUMBER: 112:25482
 TITLE: Effect of the electrolyte components of peritoneal
 dialysis solutions on stability of glucose
 AUTHOR(S): Trzeciak, Marzena; Zakrzewski, Zdzislaw; Siedlecka,
 Ewa; Furmanczyk, Zdzislaw
 CORPORATE SOURCE: Inst. Drug Sci., Sch. Med., Warsaw, 02-097, Pol.
 SOURCE: Acta Poloniae Pharmaceutica (1989), 46(2), 174-8
 CODEN: APPHAX; ISSN: 0001-6837
 DOCUMENT TYPE: Journal
 LANGUAGE: Polish
 AB The effect of electrolytes present in pharmaceutical normotonic
 and hypertonic solns. for peritoneal dialysis [Na+ 139, Ca2+ 2, Mg2+ 0.75,
 Cl- 99.5, AcO- 45, and glucose (I) 83.33 and 333.3 mmol/L, resp.] on
 decomposition of I was investigated under the routine sterilization conditions
 (120°, 100 min). The formation of 5-hydroxymethylfurfural was
 considered as the decomposition criterion. I was least stable in presence of
 AcONa and NaCl, and most in that of CaCl2 and MgCl2.
 IT 67-47-0, 5-Hydroxymethylfurfural
 RL: FORM (Formation, nonpreparative)
 (formation of, as glucose degradation product, in peritoneal dialysis
 solns. during sterilization)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

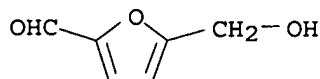


10/531,714

ACCESSION NUMBER: 1989:560171 CAPLUS
DOCUMENT NUMBER: 111:160171
TITLE: HPLC studies on the degradation profiles of glucose 5% solutions subjected to heat sterilization in a microprocessor-controlled autoclave
AUTHOR(S): Cook, A. P.; MacLeod, T. M.; Appleton, J. D.; Fell, A. F.
CORPORATE SOURCE: Area Pharm. Lab., Ninewells Hosp., Dundee, UK
SOURCE: Journal of Clinical Pharmacy and Therapeutics (1989), 14(3), 189-95
CODEN: JCPTED; ISSN: 0269-4727
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A practical useful relationship between degradation and Fo (the equivalent time required in min at 121° to produce the same microbiol. killing effect as the process used) at various temps. is given. This may be of value for identifying the most suitable sterilization conditions for a number of glucose products and other pharmaceuticals. Autoclaving at a high temperature to a low final Fo value gave the maximum product integrity.
IT 67-47-0, 5-Hydroxymethylfurfural
RL: BIOL (Biological study)
(glucose degradation product, in solns. subjected to heat sterilization in autoclave, HPLC study of)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



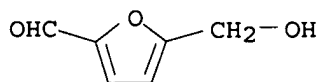
L3 ANSWER 105 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:412380 CAPLUS
DOCUMENT NUMBER: 111:12380
TITLE: Chemical studies on Chanraodangshen (the root of Codonopsis pilosula var. volubilis)
AUTHOR(S): Sha, Dezhi; Lu, Yunru; Shen, Liansheng
CORPORATE SOURCE: Dep. Chin. Pharm., Beijing Coll. Chin. Med., Beijing, Peop. Rep. China
SOURCE: Yaowu Fenxi Zazhi (1989), 9(1), 13-17
CODEN: YFZADL; ISSN: 0254-1793
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB Eleven compds. (including 4 pentacyclic triterpenes, alkanes, and 3 sterols) were isolated and identified from petroleum, Et acetate, n-butanol, and water-soluble alkaloid fractions of C. pilosula roots.
IT 67-47-0, 5-(Hydroxymethyl)-2-furaldehyde
RL: BIOL (Biological study)
(isolation and identification of, from Chanraodangshen (Codonopsis pilosula volubilis root))
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 106 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

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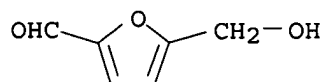
ACCESSION NUMBER: 1989:219225 CAPLUS
DOCUMENT NUMBER: 110:219225
TITLE: Detection of 5-hydroxymethylfurfural by thin-layer chromatography in pharmaceutical preparations containing glucose
AUTHOR(S): Santoro, Maria Ines R. M.; Hackmann, Erika R. M.; Magalhaes, Joao F.
CORPORATE SOURCE: Fac. Cienc. Farm., Univ. Sao Paulo, Sao Paulo, Brazil
SOURCE: Anais de Farmacia e Quimica (1988), Supl., 58-64
CODEN: AFQUEB; ISSN: 0003-2441
DOCUMENT TYPE: Journal
LANGUAGE: Portuguese
AB 5-Hydroxymethylfurfural (HMF), a glucose decomposition product, was determined in glucose-containing oral rehydration salt solns. by TLC. Silica gel GF-254 coated plates were used. The following mobile phases were tested: (a) EtOH-CHCl₃-25% NH₄OH-H₂O (5:3:1.5:0.5); (b) C₆H₆-MeOH (5:2); (c) C₆H₆-MeOH (9:1), and (d) EtOHc-iso-PrOH-H₂O (65:23:12); and as developing agents: (1) p-anisaldehyde-H₂SO₄; (2) vanillin-H₂SO₄; (3) ammoniacal AgNO₃, and (4) 2,4-dinitrophenylhydrazine. The plates, before being developed, were observed at UV light (254 and 366 nm), for HMF alone detection. All the developing agents were adequate for HMF and other substances detection. p-Anisaldehyde-H₂SO₄ was better for differentiation of products. The hRf obtained with the different systems were: (mobile phase, main spot of samples, HMF, glucose): (a), 34, 92, 35; (b), 36, 86, 38; (c), 0, 24, 0 and (d), 23, 93, 36. The HMF presence in the samples was compared with a standard
IT 67-47-0, 5-Hydroxymethylfurfural
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in pharmaceutical solns. containing glucose by TLC)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



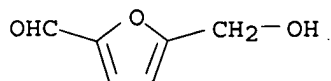
L3 ANSWER 107 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:205645 CAPLUS
DOCUMENT NUMBER: 110:205645
TITLE: Chemical studies on traditional medicines acting on animal isolated organs. 4. The screening of Chinese crude drugs for calcium antagonist activity: identification of active principles from the aerial part of Pogostemon cablin and the fruits of Prunus mume
AUTHOR(S): Ichikawa, Kazuo; Kinoshita, Takeshi; Sankawa, Ushio
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1989), 37(2), 345-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Hot aqueous exts. of 134 Chinese crude drugs were subjected to screening for inhibitory activity on K⁺ contracture of guinea pig taenia coli, and significant activity was observed in 17 crude drugs. Chemical investigations of 2 crude drugs, Kakko and Ubai, which originate from P. cablin and P. mume, resp., were undertaken, and patchouli alc. and 5-(hydroxymethyl)-2-furaldehyde were identified as their active principles, resp.

10/531,714

IT 67-47-0, 5-(Hydroxymethyl)-2-furaldehyde
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(of *Pogostemon cablin* and *Prunus mume* fruits, calcium antagonist activity of)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 108 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:141365 CAPLUS
DOCUMENT NUMBER: 110:141365
TITLE: Constituents of the stems of *Eucommia ulmoides* Oliv
AUTHOR(S): Gewali, Mohan Bikram; Hattori, Masao; Namba, Tsuneo
CORPORATE SOURCE: Res. Inst. Wakan-Yaku, Toyama Med. Pharm. Univ.,
Toyama, 930-01, Japan
SOURCE: Shoyakugaku Zasshi (1988), 42(3), 247-8
CODEN: SHZAAY; ISSN: 0037-4377
DOCUMENT TYPE: Journal
LANGUAGE: English
AB *Eucommiol*, 1-deoxy*eucommiol*, syringin, coniferin, koaburaside, geniposide, geniposidic acid, and 5-(hydroxymethyl)-2-furaldehyde were isolated from the stems of *E. ulmoides* together with large amts. of glucose and sucrose.
IT 67-47-0, 5-(Hydroxymethyl)-2-furaldehyde
RL: BOC (Biological occurrence); BSU (Biological study, unclassified);
BIOL (Biological study); OCCU (Occurrence)
(of *Eucommia ulmoides* stems)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 109 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:121226 CAPLUS
DOCUMENT NUMBER: 110:121226
TITLE: Stability of galactose in aqueous solutions
AUTHOR(S): Bhargava, Vijay O.; Rahman, Shafiqur; Newton, David W.
CORPORATE SOURCE: Marion Lab., Kansas City, MO, USA
SOURCE: American Journal of Hospital Pharmacy (1989), 46(1),
104-8
CODEN: AJHPA9; ISSN: 0002-9289
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The stability of 5-30% (weight/volume) galactose in sterile water for injection and acetate and phosphate buffers was studied in relation to buffer concentration, pH, storage at 25, 45, and 65° for 6 wk, and autoclaving at 121° for 30 min. Galactose degradation increased with increasing temperature and buffer concentration. Galactose solns. in water and phosphate incurred <5% degradation on autoclaving; however, the 30% solns. in acetate buffers lost up to 21% of initial content. Yellow discoloration of solns. was associated with autoclaving and prolonged exposure at 65° and appeared in some solns. that did not exceed the USP XXI limit of 5-hydroxymethylfurfural

and related compds. in dextrose injection. The estimated room temperature shelf-life of galactose in sterile water for injection sterilized by 0.45-µm-porosity membrane filtration is 4 and one-half mo. Solns. may also be sterilized by autoclaving at 121° for 30 min; galactose solns. containing pH buffers should not be sterilized by autoclaving.

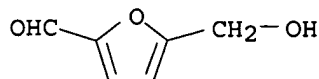
IT 67-47-0, 5-Hydroxymethylfurfural

RL: FORM (Formation, nonpreparative)

(formation of, during galactose degradation in solns.)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 110 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:173652 CAPLUS

DOCUMENT NUMBER: 108:173652

TITLE: Difference spectrophotometric assay of 5-hydroxymethylfurfuraldehyde in hydrolyzed pharmaceutical syrups. II. Isoniazid reagent

AUTHOR(S): Davidson, A. G.; Dawodu, T. O.

CORPORATE SOURCE: Dep. Pharm., Univ. Strathclyde, Glasgow, G1 1XW, UK

SOURCE: Journal of Pharmaceutical and Biomedical Analysis (1988), 6(1), 61-6

CODEN: JPBADA; ISSN: 0731-7085

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A rapid difference spectrophotometric assay of 5-hydroxymethylfurfuraldehyde (I) in degraded syrups involves the measurement of the difference absorbance at 340 nm of the isonicotinoyl hydrazone of I, formed at room temperature in an acidic solution of isoniazid, relative to an equimolar solution of I, which was reduced, and the isoniazid reagent. The procedure is accurate, precise and selective for I in the syrups examined. The limits of detection and determination were 0.91 µg and

12.4

µg mL⁻¹, resp.

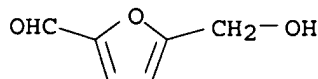
IT 67-47-0, 5-Hydroxymethylfurfuraldehyde

RL: ANT (Analyte); ANST (Analytical study)

(determination of, in com. syrups by difference spectrophotometry, isoniazid in)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 111 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:561796 CAPLUS

DOCUMENT NUMBER: 107:161796

TITLE: Difference spectrophotometric assay of 5-hydroxymethylfurfuraldehyde in hydrolyzed pharmaceutical syrups. I. Sodium borohydride reagent

AUTHOR(S): Davidson, A. G.; Dawodu, T. O.

CORPORATE SOURCE: Dep. Pharm., Univ. Strathclyde, Glasgow, G1 1XW, UK

10/531,714

SOURCE: Journal of Pharmaceutical and Biomedical Analysis
(1987), 5(3), 213-22
CODEN: JPBADA; ISSN: 0731-7085

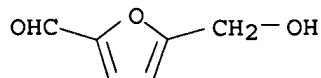
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A rapid difference spectrophotometric procedure is described for the assay of 5-hydroxymethylfurfuraldehyde (5-HMF) in hydrolyzed pharmaceutical syrups. The assay involves measurement of the difference absorbance at 283 nm (ΔA_{283}) of a solution of 5-HMF at pH 8 relative to that of an equimolar solution in which the absorption of the 5-HMF has been destroyed by reduction of the carbonyl group by NaBH_4 . The ΔA_{283} is proportional to the concentration of 5-HMF and is unaffected by the presence of sucrose (the sugar component of syrup) or of dextrose or levulose (the principal sugars of invert syrup). The accuracy, precision and selectivity of the method are discussed. The limits of detection and determination are 0.78 and 9.6 $\mu\text{g mL}^{-1}$, resp. The assay was applied successfully to samples of syrup containing hydroxybenzoate (paraben) preservatives, invert syrup, simple linctus, ephedrine elixir and raspberry syrup.

IT 67-47-0, 5-Hydroxymethylfurfuraldehyde
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in hydrolyzed pharmaceutical syrups by difference spectrophotometry)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 112 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:230336 CAPLUS

DOCUMENT NUMBER: 104:230336

TITLE: Study of the effect of stabilizers and methods of ampul preparation on the stability of multicomponent infusion solutions

AUTHOR(S): Korytnyuk, R. S.

CORPORATE SOURCE: Kiev. Inst. Usoversh. Vrachei, Kiev, USSR

SOURCE: Farmatsiya (Moscow, Russian Federation) (1986), 35(2), 10-13

CODEN: FRMTAL; ISSN: 0367-3014

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB The stability of multicomponent infusion solns. containing glucose [50-99-7], KCl , MgCl_2 , KH_2PO_4 , NaH_2PO_4 , and Na lactate [72-17-3] was studied. The rate of degradation of glucose and lactate in the presence of electrolytes was lower in concentrated than in diluted solns. The stability of the solution was improved if stored in ampuls (ampuling in a CO_2 medium) and by addition of 0.1% Na metabisulfite as an antioxidant. The preparation of solns. with 10-fold greater concentration as a method for improving the stability is also discussed.

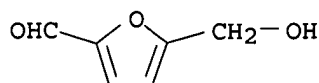
IT 67-47-0

RL: BIOL (Biological study)

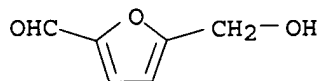
(of infusion solns., as glucose degradation product, stability in relation to)

RN 67-47-0 CAPLUS

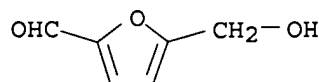
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 113 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1985:31976 CAPLUS
 DOCUMENT NUMBER: 102:31976
 ORIGINAL REFERENCE NO.: 102:5073a,5076a
 TITLE: A review of 5-hydroxymethylfurfural (HMF) in parenteral solutions
 AUTHOR(S): Ulbricht, Richard J.; Northup, Sharon J.; Thomas, John A.
 CORPORATE SOURCE: Travenol Lab., Inc., Morton Grove, IL, 60053, USA
 SOURCE: Fundamental and Applied Toxicology (1984), 4(5), 843-53
 CODEN: FAATDF; ISSN: 0272-0590
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 AB A review with 35 refs. of the chemical formation, toxicity, and pharmacokinetics of 5-hydroxymethylfurfural (HMF) [67-47-0] and certain other decomposition products found in parenteral solns.
 IT 67-47-0 67-47-0D, degradation products
 RL: BIOL (Biological study)
 (in parenteral solns., properties of)
 RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

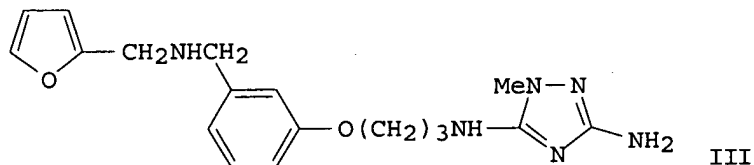
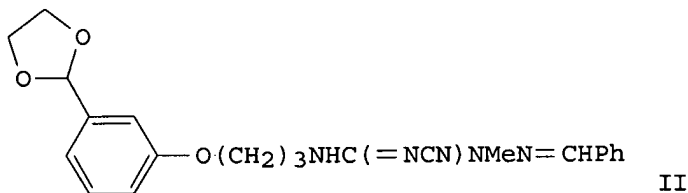
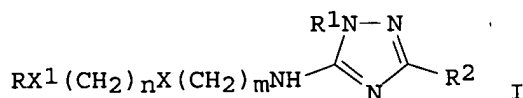


RN 67-47-0 CAPLUS
 CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 114 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1981:550671 CAPLUS
 DOCUMENT NUMBER: 95:150671
 ORIGINAL REFERENCE NO.: 95:25223a,25226a
 TITLE: 1,2,4-Triazole derivatives and pharmaceutical compositions containing them
 INVENTOR(S): Bradshaw, John; Clitherow, John Watson; Bays, David Edmund; Hayes, Roger; MacKinnon, John Wilson Macfarlane
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
 SOURCE: Eur. Pat. Appl., 36 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------|------|--------------------------------------|-----------------|------------|
| EP 29303 | A1 | 19810527 | EP 1980-303729 | 19801022 |
| EP 29303 | B1 | 19850130 | | |
| R: BE, CH, DE, FR, GB, IT, NL, SE | | | | |
| AU 8063602 | A | 19810430 | AU 1980-63602 | 19801022 |
| AU 539189 | B2 | 19840913 | | |
| GB 2063253 | A | 19810603 | GB 1980-34046 | 19801022 |
| GB 2063253 | B | 19830914 | | |
| JP 56090071 | A | 19810721 | JP 1980-148111 | 19801022 |
| ZA 8006495 | A | 19820127 | ZA 1980-6495 | 19801022 |
| PRIORITY APPLN. INFO.: | | | GB 1979-36545 | A 19791022 |
| | | | GB 1979-36546 | A 19791022 |
| | | | GB 1980-27740 | A 19800827 |
| OTHER SOURCE(S): | | CASREACT 95:150671; MARPAT 95:150671 | | |
| GI | | | | |



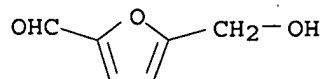
AB Triazoles I (X = CH₂, O, S, NH; X₁ = optionally substituted 2,5-furandiyl, 2,5-thiophenediyl, m-C₆H₄, p-C₆H₄; R = aminoalkyl; R₁ = H, optionally substituted alkyl, alkenyl; R₂ = H, optionally substituted alkyl, alkenyl, OH, alkoxy, amino; m = 2-4; n = 0-2) were prepared. Thus, 3.06 g II was treated with 15 mL furfurylamine and NaBH₄ to give 0.77 g III which had an ED₅₀ of 0.095 mg/kg for inhibiting histamine-induced stomach secretion in the rat stomach preparation.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminomethylphenoxypropyltriazolediamine)

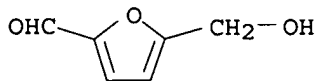
RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



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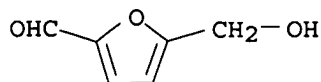
ACCESSION NUMBER: 1979:192650 CAPLUS
DOCUMENT NUMBER: 90:192650
ORIGINAL REFERENCE NO.: 90:30539a,30542a
TITLE: Rapid, stability-indicating, high-pressure liquid chromatographic determination of theophylline, guaifenesin, and benzoic acid in liquid and solid pharmaceutical dosage forms
AUTHOR(S): Heidemann, D. R.
CORPORATE SOURCE: Dorsey Lab. Div., Sandoz, Inc., Lincoln, NE, USA
SOURCE: Journal of Pharmaceutical Sciences (1979), 68(4), 530-2
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Theophylline [58-55-9], guaifenesin [93-14-1], and benzoic acid [65-85-0] were determined by reversed-phase high-pressure liquid chromatog. without interference from active and(or) vehicle decomposition A degradation product of sucrose, 5-hydroxymethylfurfural [67-47-0], can be identified and quantified in liquid samples simultaneously.
IT 67-47-0
RL: ANST (Analytical study)
(sucrose degradation product, determination of, in pharmaceuticals, by high-pressure liquid chromatog.)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



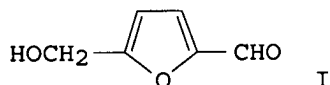
L3 ANSWER 116 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1977:522848 CAPLUS
DOCUMENT NUMBER: 87:122848
ORIGINAL REFERENCE NO.: 87:19441a,19444a
TITLE: Spectrophotometric determination of diphenhydramine hydrochloride in pharmaceutical preparations
AUTHOR(S): Maghssoudi, Rostam H.; Fawzi, Ahmad B.; Moosavi Meerkalaiee, Majd Aldeen N.
CORPORATE SOURCE: Coll. Pharm., Tehran Univ., Tehran, Iran
SOURCE: Journal - Association of Official Analytical Chemists (1977), 60(4), 926-8
CODEN: JANCA2; ISSN: 0004-5756
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A spectrophotometric method was developed for determining diphenhydramine-HCl [147-24-0], based on CHCl₃ extraction of its complex formed with bromocresol green. The complex solution in CHCl₃ showed maximum absorption at 415 nm and obeyed Beer's law over the range 3.0-12.0 µg/mL. The molar absorptivity of the complex was 2.02 + 104. Complex formation and extraction were complete and quant. over the pH range 2-5. The ratio of diphenhydramine to bromocresol green was 1:1. Excipients, coloring matter, flavoring agents, and other substances likely to be present in diphenhydramine preps. did not interfere with the determination Direct detns. in tablet, capsule, sirup, and lotion preps. were carried out, and the average recovery was 100 ± 1.0%.
IT 67-47-0
RL: USES (Uses)
(diphenhydramine hydrochloride determination in presence of)
RN 67-47-0 CAPLUS

10/531,714

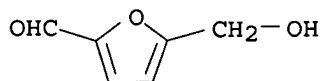
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 117 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1977:177217 CAPLUS
DOCUMENT NUMBER: 86:177217
ORIGINAL REFERENCE NO.: 86:27755a,27758a
TITLE: Levels of 5-hydroxymethylfurfural in dextrose injection
AUTHOR(S): Murty, B. S. R.; Kapoor, J. N.; Smith, F. X.
CORPORATE SOURCE: Invenex Pharm., Grand Island, NY, USA
SOURCE: American Journal of Hospital Pharmacy (1977), 34(2), 205-6
CODEN: AJHPA9; ISSN: 0002-9289
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A freshly prepared solution of dextrose [50-99-7] (1 g/2 mL) had a 5-hydroxymethylfurfural (I) [67-47-0] level of 0.10 µg/mL. The level in 50% dextrose injection, within 24 hr of manufacturing, was 0.72 µg/mL. The level of I in 50% dextrose injection, after storage for 4 years at 70°, was 5.80 µg/mL. It is recommended that a quant. procedure for determining this impurity be included in quality control testing of dextrose injection.
IT 67-47-0
RL: BIOL (Biological study)
(as impurity, in dextrose injection solns.)
RN 67-47-0 CAPLUS
CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 118 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:432967 CAPLUS
DOCUMENT NUMBER: 83:32967
ORIGINAL REFERENCE NO.: 83:5209a,5212a
TITLE: Spectrophotometric evaluation of mannitol solutions for parenteral use
AUTHOR(S): Kubiak, Zbigniew; Latka, Anna
CORPORATE SOURCE: Akad. Med., Krakow, Pol.
SOURCE: Farmacja Polska (1975), 31(1), 25-30
CODEN: FAPOA4; ISSN: 0014-8261
DOCUMENT TYPE: Journal
LANGUAGE: Polish

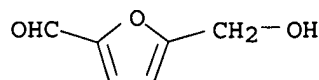
10/531,714

AB The 6 parenteral mannitol [69-65-8] solns. analyzed showed varying levels of contamination by 5-hydroxymethylfurfural [67-47-0]. The presence of the latter was determined from absorption at 280 nm, and appeared to be related to inadequate purification of the pharmaceutical.

IT 67-47-0
RL: BIOL (Biological study)
(mannitol parenteral solns. contamination with)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 119 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:41087 CAPLUS

DOCUMENT NUMBER: 80:41087

ORIGINAL REFERENCE NO.: 80:6703a,6706a

TITLE: Determination of diphenhydramine hydrochloride in elixir

AUTHOR(S): Woo, Diane; Yen, John K. C.; Heimlich, Kenneth R.

CORPORATE SOURCE: Smith Kline and French Canada Ltd., Montreal, QC, Can.

SOURCE: Journal of Pharmaceutical Sciences (1973), 62(12), 1993-4
CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

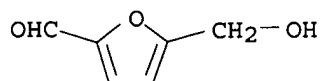
LANGUAGE: English

AB A uv spectrometric method for the determination of diphenhydramine-HCl in the presence of its postulated decomposition products and 5-(hydroxymethyl)-2-furaldehyde in elixirs was developed. The method involves simple estns. with cyclohexane and is suitable for routine and stability assays of various pharmaceutical liquid formulation. The technique is a modification of the USP XVIII method.

IT 67-47-0
RL: ANST (Analytical study)
(diphenhydramine determination in presence of)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 120 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:466146 CAPLUS

DOCUMENT NUMBER: 77:66146

ORIGINAL REFERENCE NO.: 77:10895a,10898a

TITLE: Determination of glucose stability in a concentrated plasma-replacing Ringer solution

AUTHOR(S): Shpak, R. S.

CORPORATE SOURCE: Kiev. Inst. Usoversh. Vrachei, Kiev, USSR

SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1972), 6(5), 50
CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB Decomposition products of glucose were determined in concentrated Ringers solution containing 18

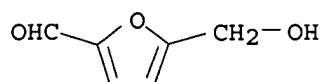
g NaCl, 0.4 g KCl and CaCl₂, 2 g anhydrous glucose, made up to 100 ml with water for injection. The solution was stabilized with 0.1N NaOH to pH 3.8-4.5 and with 0.05% Ca Na₂-EDTA, filtered, sealed in ampuls, and sterilized at 100° for 1 hr. The uv spectrum showed no decomposition products prior to sterilization, 2 slight maximum in the 220-230 and 280-300 nm regions after sterilization, and after prolonged heating, resembled that of hydroxymethylfurfural (I). Using a molar extinction coefficient of 16,900 at λ_{maximum} 282.5 nm, 12-15 times as much I was present in Ringer's solution which was not stabilized with 0.1N NaOH and CaNa₂-EDTA after 6-12 mo. storage.

IT 67-47-0

RL: BIOL (Biological study)
(glucose thermal decomposition product)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 121 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:131432 CAPLUS

DOCUMENT NUMBER: 76:131432

ORIGINAL REFERENCE NO.: 76:21253a, 21256a

TITLE: Physicochemical examination of glucose injection

AUTHOR(S): Okada, Satoshi; Iga, Soichiro; Ueoka, Sumiko; Isaka, Hiroshi

CORPORATE SOURCE: Japan

SOURCE: Eisei Shikensho Hokoku (1971), (89), 87-90

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

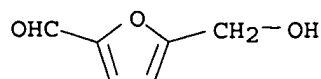
AB The conversions of glucose, on heating in water, to 5-hydroxymethylfurfural (I) and 3-deoxyglucosone (II), and further to acidic substances were investigated by uv and pH methods, resp. In addition, the quality of glucose injections on the market was examined. The conversions of glucose to I and II on heating (60 min. at 115°) were enhanced with increasing glucose concns. (5 to 10 and 20). The conversions of I to acidic substances, which reflected a pH decrease of glucose aqueous solns., were also enhanced when temperature or heating time was increased (100° to 115 and 121° and 20 min to 60 and 100 min). The amts. of I or II and pH of 5 and 20 glucose injections were measured and a correlation anal. was made between pH (x) and the concentration of I or II (y, uv absorption at 284 or 228 m μ). There was a significant neg. correlation at 5 level between pH and the concentration of I, but not between pH and that of II. The regression lines for 5 and 20 glucose injections were $x = 4.785 - 0.487y$ and $x = 5.020 - 0.387y$, resp. The quality of glucose injections was highly dependent on heating conditions for sterilization.

IT 67-47-0

RL: BIOL (Biological study)
(glucose decomposition product)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



L3 ANSWER 122 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1956:10105 CAPLUS

DOCUMENT NUMBER: 50:10105

ORIGINAL REFERENCE NO.: 50:2121g-h

TITLE: Spectrochemical study of parenteral solutions. I.
Dextrose injection

AUTHOR(S): Iwamoto, Takio; Saito, Moritami; Taga, Mitsuhiro

CORPORATE SOURCE: Hokkaido Inst. Public Health, Sapporo

SOURCE: Yakugaku Zasshi (1955), 75, 1158-60

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB In examining 20% parenteral solns. of glucose by ultraviolet absorption it was found that com. products contained 5-hydroxymethyl-furfural (I) with λ_{maximum} 2840 A., a thermal decomposition product of glucose, and a substance (II) with λ_{maximum} 2270 A., which is chiefly formed by sterilization at 100°. I is formed at a higher temperature. The II was assumed to have the structure of $>\text{C}:\text{C}:\text{C}:\text{C}<$ or $>\text{C}:\text{C}:\text{C}:\text{O}$.

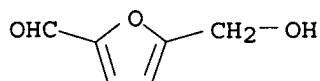
IT 67-47-0P, 2-Furaldehyde, 5-(hydroxymethyl)-

RL: PREP (Preparation)

(in glucose com. preps.)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)



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